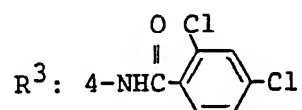
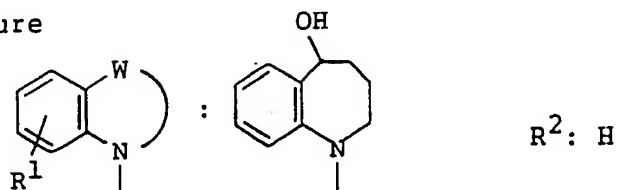

Example 580

Structure



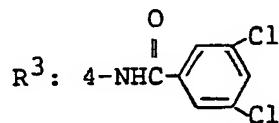
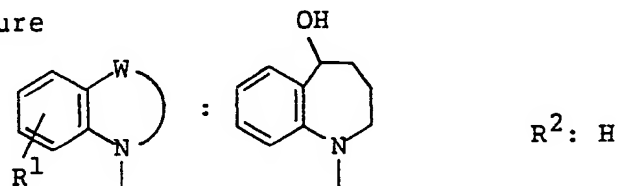
Crystalline form: Colorless amorphous

NMR analysis: 93)

Form: Free

Example 581

Structure



Crystalline form: White powder

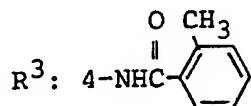
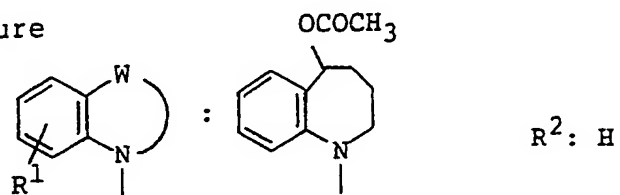
Recrystallization solvent: Ethyl acetate/n-hexane

Melting Point: 256.5 - 257°C

Form: Free

Example 582

Structure



Crystalline form: White powder

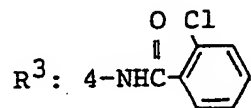
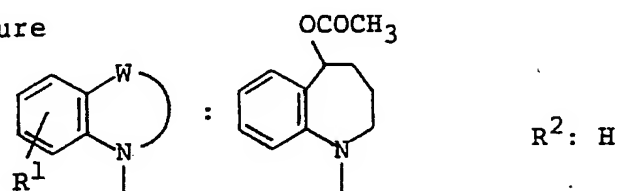
Recrystallization solvent: Ethyl acetate/n-hexane

Melting Point: 193 - 194°C

Form: Free

Example 583

Structure



Crystalline form: White powder

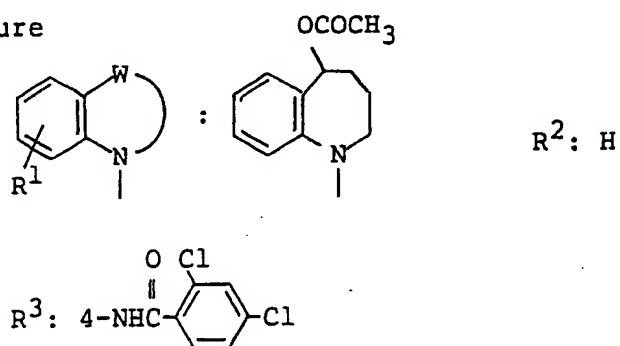
Recrystallization solvent: Ethyl acetate/n-hexane

Melting Point: 227 - 230°C

Form: Free

Example 584

Structure



Crystalline form: White powder

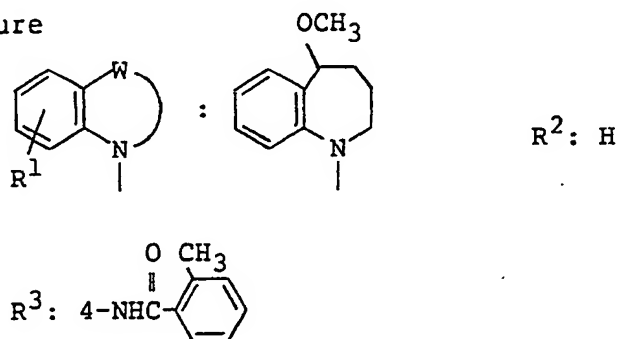
Recrystallization solvent: Ethyl acetate/n-hexane

Melting Point: 199.5 - 202°C

Form: Free

Example 585

Structure



Crystalline form: White powder

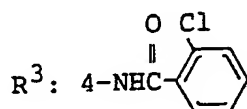
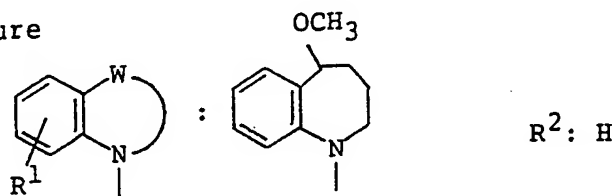
Recrystallization solvent: Ethyl acetate/n-hexane

Melting Point: 219 - 220°C

Form: Free

Example 586

Structure



Crystalline form: White powder

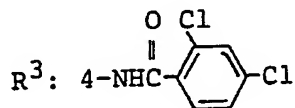
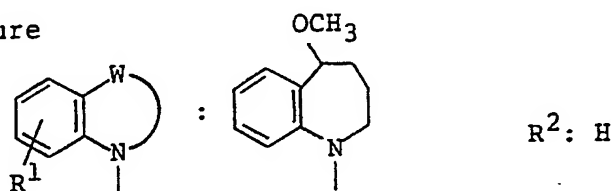
Recrystallization solvent: Ethyl acetate/n-hexane

Melting Point: 190 - 191.5°C

Form: Free

Example 587

Structure



Crystalline form: White powder

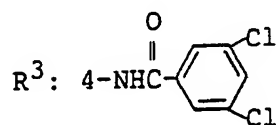
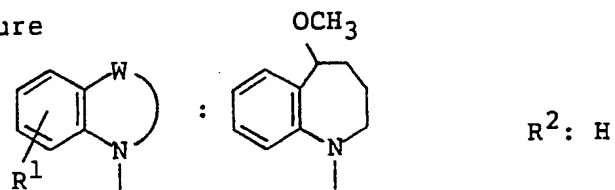
Recrystallization solvent: Ethyl acetate/n-hexane

Melting Point: 184 - 185°C

Form: Free

Example 588

Structure



Crystalline form: White powder

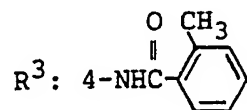
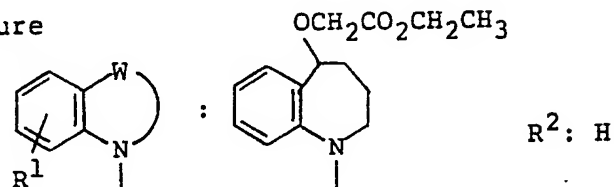
Recrystallization solvent: Ethyl acetate/n-hexane

Melting Point: 223 - 224°C

Form: Free

Example 589

Structure



Crystalline form: White powder

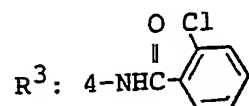
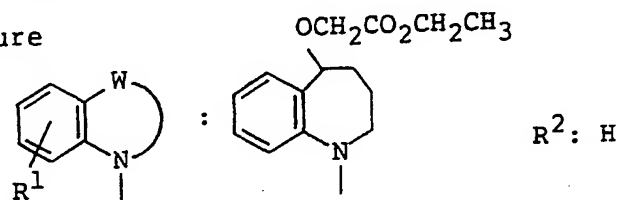
Recrystallization solvent: Ethyl acetate/n-hexane

Melting Point: 178 - 181°C

Form: Free

Example 590

Structure



Crystalline form: Colorless needles

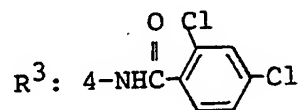
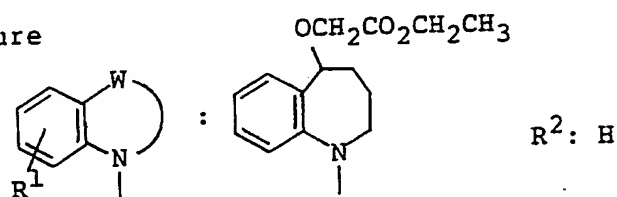
Recrystallization solvent: Ethyl acetate/n-hexane

Melting Point: 168 - 168.5°C

Form: Free

Example 591

Structure



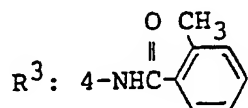
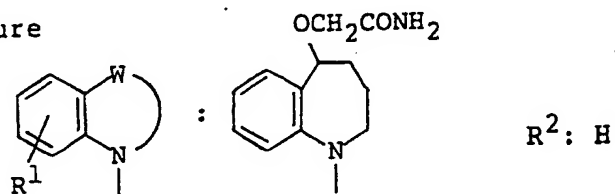
Crystalline form: Colorless amorphous

NMR analysis: 94)

Form: Free

Example 592

Structure



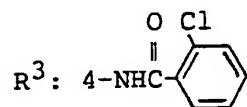
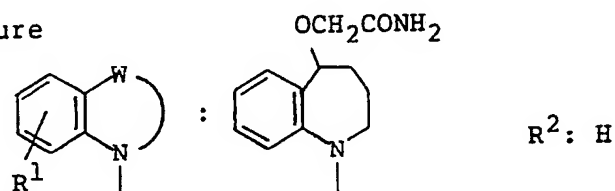
Crystalline form: Colorless amorphous

NMR analysis: 95)

Form: Free

Example 593

Structure



Crystalline form: White powder

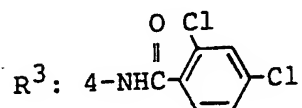
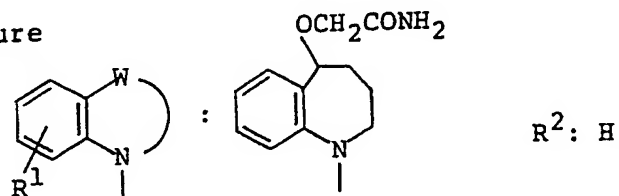
Recrystallization solvent: Ethyl acetate/n-hexane

Melting Point: 196 - 197°C

Form: Free

Example 594

Structure



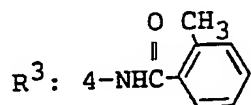
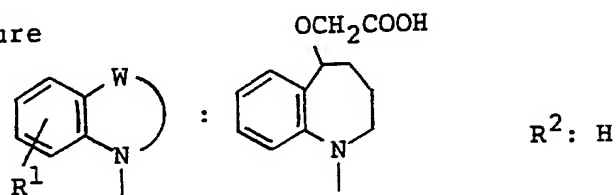
Crystalline form: Colorless amorphous

NMR analysis: 96)

Form: Free

Example 595

Structure



Crystalline form: White powder

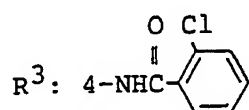
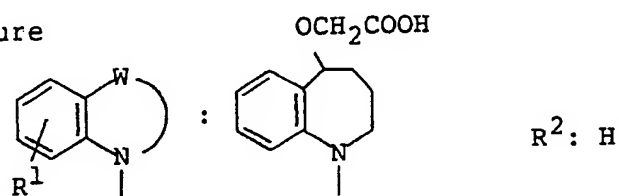
Recrystallization solvent: Ethanol/water

Melting Point: 188 - 189°C

Form: Free

Example 596

Structure



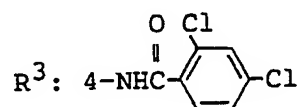
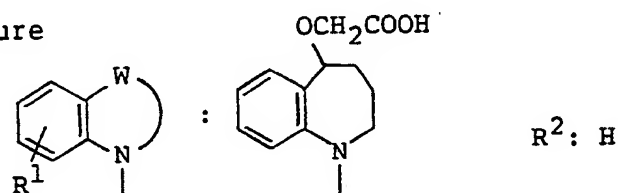
Crystalline form: Colorless amorphous

NMR analysis: 97)

Form: Free

Example 597

Structure



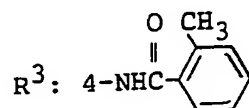
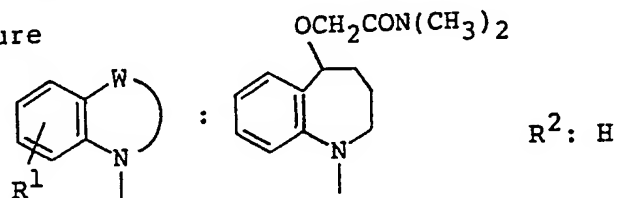
Crystalline form: Colorless amorphous

NMR analysis: 98)

Form: Free

Example 598

Structure



Crystalline form: Colorless prisms

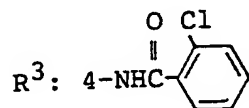
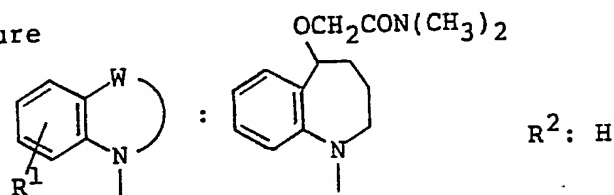
Recrystallization solvent: Ethyl acetate/n-hexane

Melting Point: 203 - 204°C

Form: Free

Example 599

Structure



Crystalline form: White powder

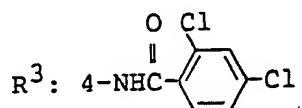
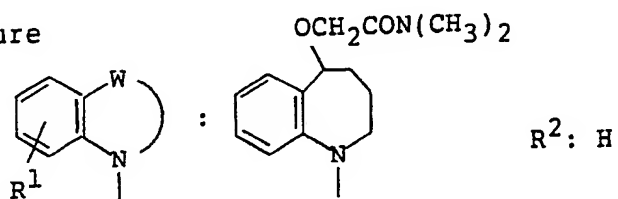
Recrystallization solvent: Ethyl acetate/n-hexane

Melting Point: 196 - 197°C

Form: Free

Example 600

Structure



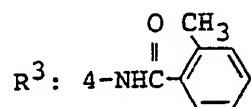
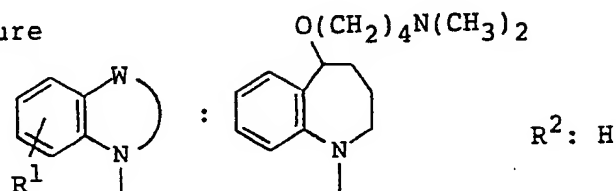
Crystalline form: Colorless amorphous

NMR analysis: 99)

Form: Free

Example 601

Structure



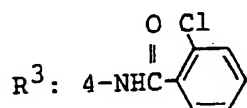
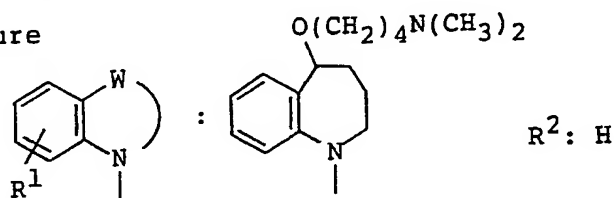
Crystalline form: Colorless amorphous

NMR analysis: 100)

Form: Free

Example 602

Structure



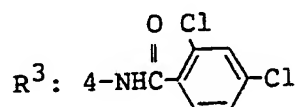
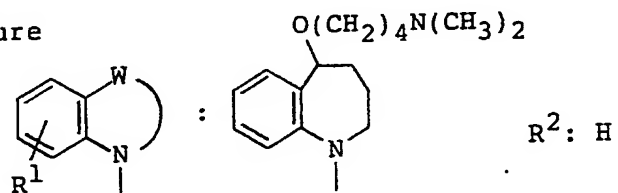
Crystalline form: Colorless amorphous

NMR analysis: 101)

Form: Free

Example 603

Structure



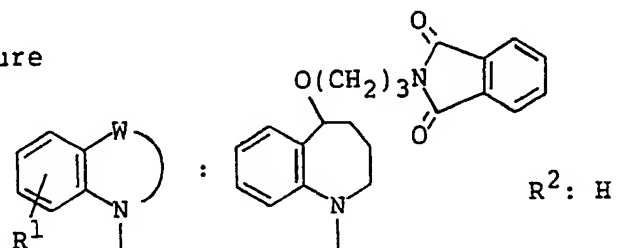
Crystalline form: Colorless amorphous

NMR analysis: 102)

Form: Free

Example 604

Structure



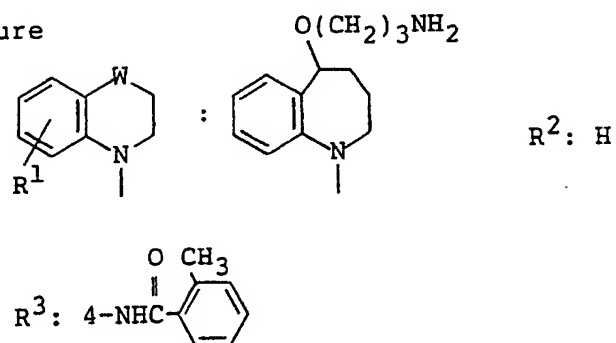
Crystalline form: Colorless amorphous

NMR analysis: 103)

Form: Free

Example 605

Structure



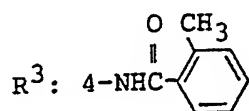
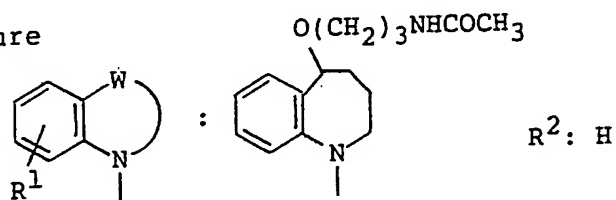
Crystalline form: Colorless amorphous

NMR analysis: 104)

Form: Free

Example 606

Structure



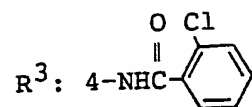
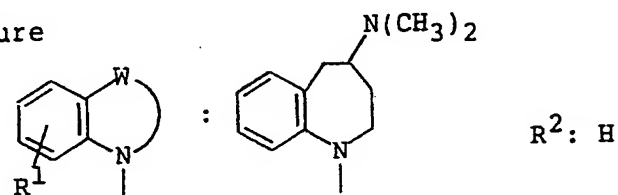
Crystalline form: Colorless amorphous

NMR analysis: 105)

Form: Free

Example 607

Structure



Crystalline form: Colorless needles

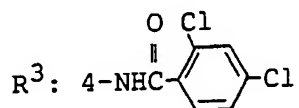
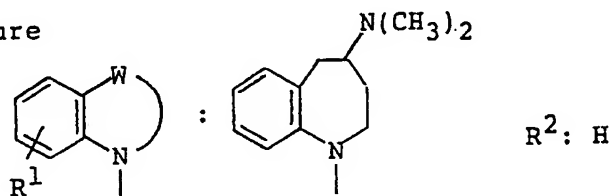
Recrystallization solvent: Ethanol

Melting Point: 169 - 171°C

Form: Free

Example 608

Structure



Crystalline form: Colorless needles

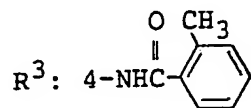
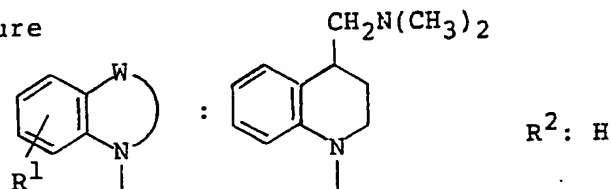
Recrystallization solvent: Ethanol/diethyl ether

Melting Point: 178 - 181°C

Form: Free

Example 609

Structure



Crystalline form: White powder

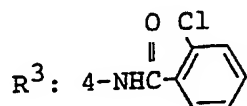
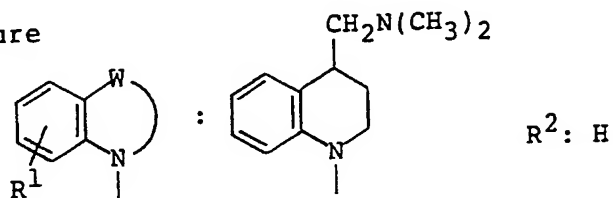
Recrystallization solvent: Ethanol

Melting Point: 187 - 188°C

Form: Free

Example 610

Structure



Crystalline form: White powder

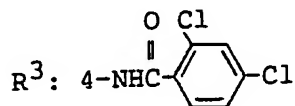
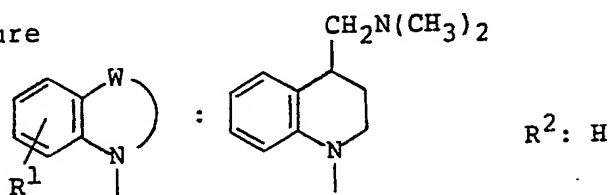
Recrystallization solvent: Ethanol

Melting Point: 181 - 183°C

Form: Free

Example 611

Structure



Crystalline form: White powder

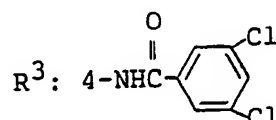
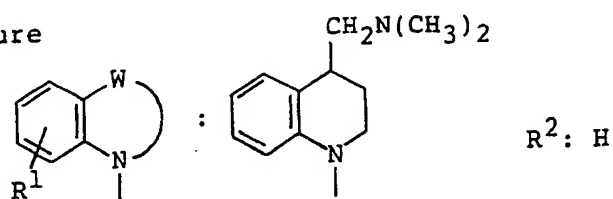
Recrystallization solvent: Ethanol

Melting Point: 124 - 127°C

Form: Free

Example 612

Structure



Crystalline form: White powder

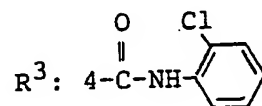
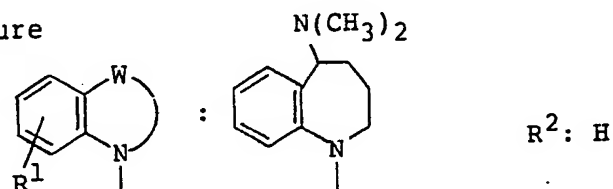
Recrystallization solvent: Ethanol

Melting Point: 179 - 181°C

Form: Free

Example 613

Structure



Crystalline form: White powder

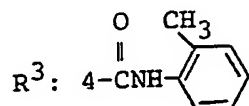
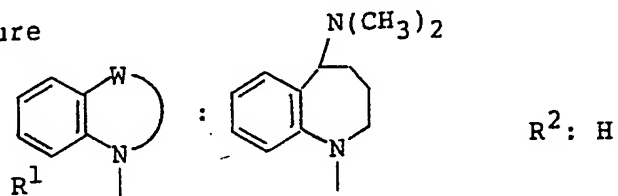
Recrystallization solvent: Ethanol

Melting Point: 148 - 150°C

Form: Free

Example 614

Structure



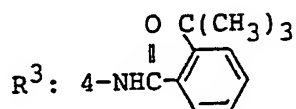
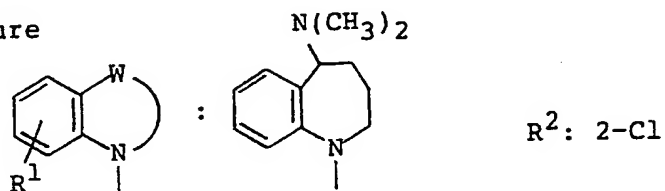
Crystalline form: Colorless amorphous

NMR analysis: 106)

Form: Free

Example 615

Structure



Crystalline form: White powder

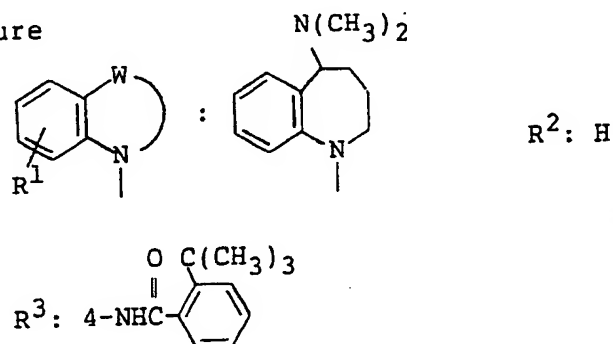
Recrystallization solvent: Ethanol

Melting Point: 219 - 220°C

Form: Free

Example 616

Structure



Crystalline form: White powder

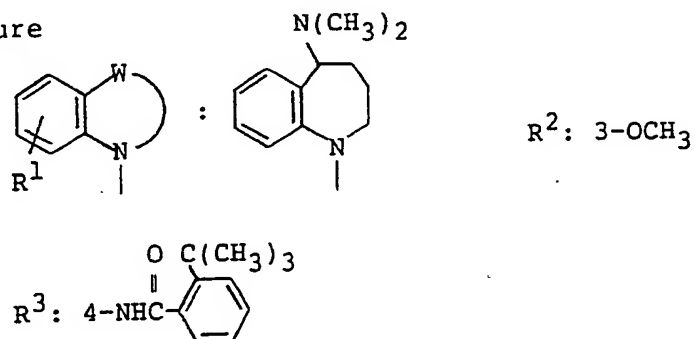
Recrystallization solvent: Ethanol

Melting Point: 226 - 228°C

Form: Free

Example 617

Structure



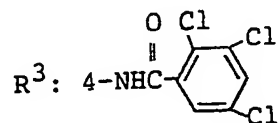
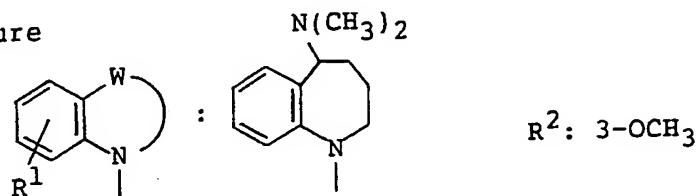
Crystalline form: Colorless amorphous

NMR analysis: 107)

Form: Free

Example 618

Structure



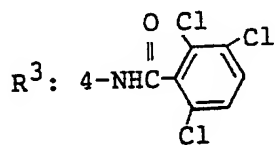
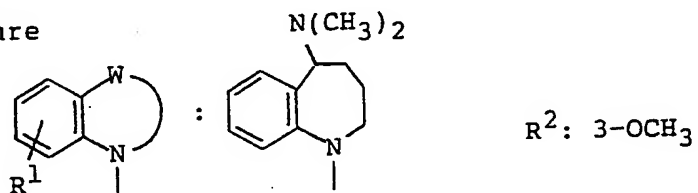
Crystalline form: Colorless amorphous

NMR analysis: 108)

Form: Free

Example 619

Structure



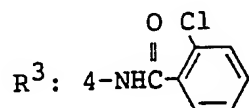
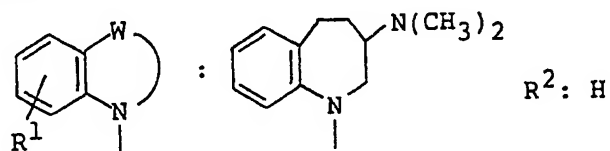
Crystalline form: Colorless amorphous

NMR analysis: 109)

Form: Free

Example 620

Structure



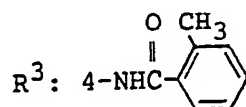
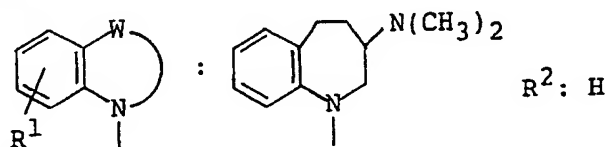
Crystalline form: Colorless amorphous

NMR analysis: 110)

Form: Free

Example 621

Structure



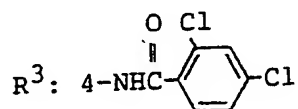
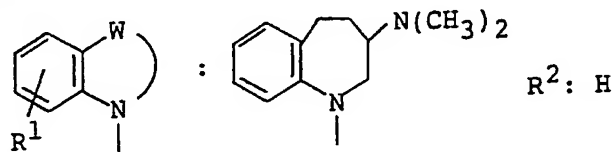
Crystalline form: Colorless amorphous

NMR analysis: 111)

Form: Free

Example 622

Structure



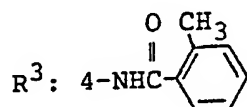
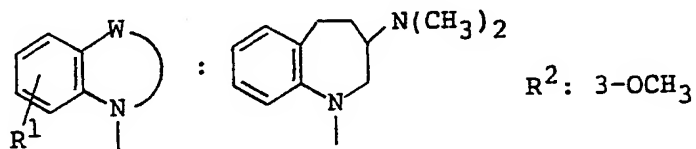
Crystalline form: Colorless amorphous

NMR analysis: 112)

Form: Free

Example 623

Structure



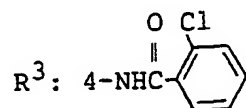
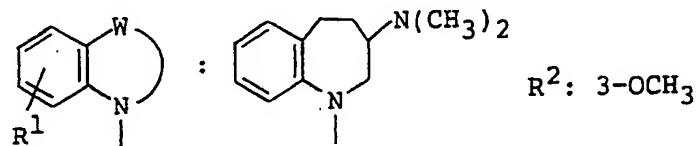
Crystalline form: Colorless amorphous

NMR analysis: 113)

Form: Free

Example 624

Structure



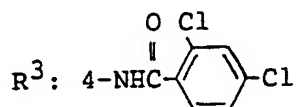
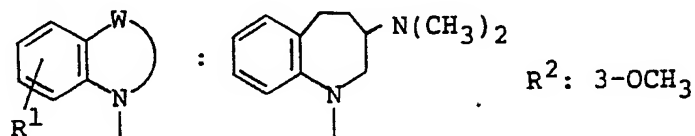
Crystalline form: Colorless amorphous

NMR analysis: 114)

Form: Free

Example 625

Structure



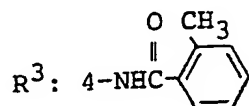
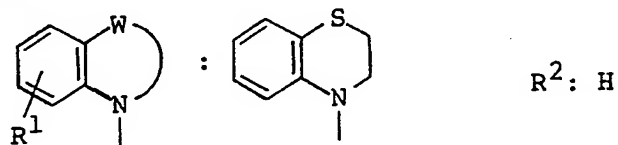
Crystalline form: Colorless amorphous

NMR analysis: 115)

Form: Free

Example 626

Structure



Crystalline form: White powder

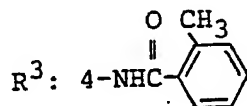
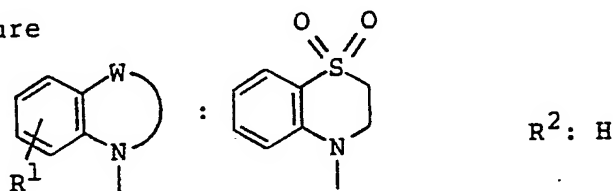
Recrystallization solvent: Diethyl ether/dichloromethane

Melting Point: 183 - 184°C

Form: Free

Example 627

Structure



Crystalline form: White powder

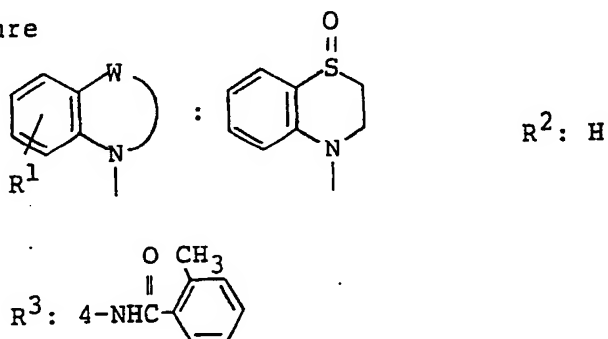
Recrystallization solvent: Diethyl ether/dichloromethane

Melting Point: 219 - 220°C

Form: Free

Example 628

Structure



Crystalline form: White powder

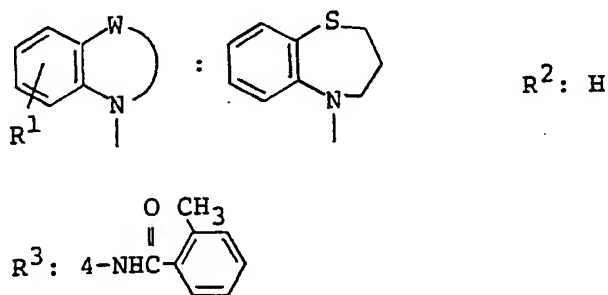
Recrystallization solvent: Diethyl ether/dichloromethane

Melting Point: 240 - 241°C

Form: Free

Example 629

Structure



Crystalline form: White powder

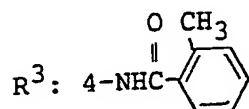
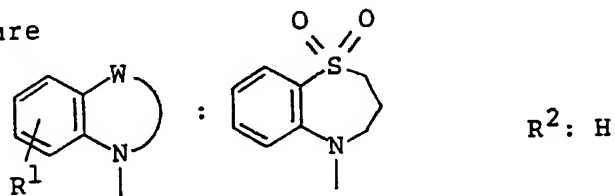
Recrystallization solvent: Diethyl ether/dichloromethane

Melting Point: 205 - 206°C

Form: Free

Example 630

Structure



Crystalline form: White powder

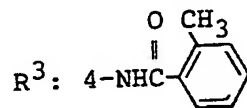
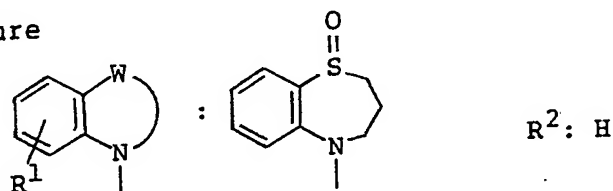
Recrystallization solvent: Diethyl ether/dichloromethane

Melting Point: 238 - 239°C

Form: Free

Example 631

Structure



Crystalline form: White powder

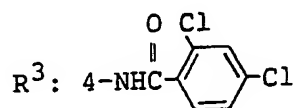
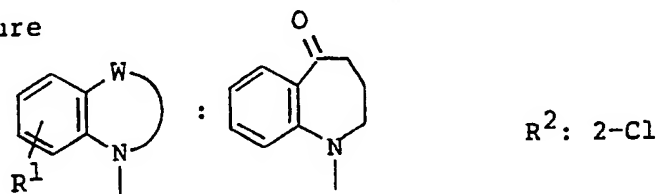
Recrystallization solvent: Diethyl ether/dichloromethane

Melting Point: 233 - 234°C

Form: Free

Example 632

Structure



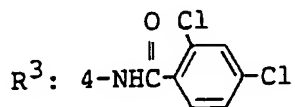
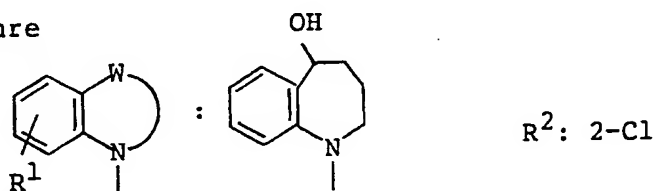
Crystalline form: Colorless amorphous

NMR analysis: 116)

Form: Free

Example 633

Structure



Crystalline form: White powder

Recrystallization solvent: Diethyl ether/dichloromethane

Melting Point: 259.5 - 260.5°C

Form: Free

- 80) $^1\text{H-NMR}(\text{CDCl}_3)$ δ ; 1.24-5.26 (18H, m), 6.39-7.59 (13H, m)
- 81) $^1\text{H-NMR}(\text{CDCl}_3)$ δ ; 1.70-2.10 (m, 2H), 2.15-2.60 (m, 12H), 3.56 (t, $J=5.8$ Hz, 1H), 3.65-3.95 (m, 4H), 4.05-4.25 (m, 1H), 6.64 (d, $J=7.7$ Hz, 1H), 6.85-7.50 (m, 9H), 8.11 (brs, 1H), 8.42 (d, $J=8.8$ Hz, 1H)
- 82) $^1\text{H-NMR}(\text{CDCl}_3)$ δ ; 2.00-2.90 (m, 3H), 2.49 (s, 3H), 3.70-3.90 (m, 1H), 4.00-4.20 (m, 1H), 4.80-5.00 (m, 1H), 6.89 (d, $J=6.3$ Hz, 1H), 6.95-7.65 (m, 11H), 7.70 (brs, 1H)
- 83) $^1\text{H-NMR}(\text{CDCl}_3)$ δ ; 1.95-2.90 (m, 2H), 2.48 (s, 3H), 2.55 (s, 3H), 3.77 (t, $J=5.1$ Hz, 1H), 3.92 (t, $J=6.7$ Hz, 2H), 6.72 (d, $J=8.0$ Hz, 1H), 6.90-7.15 (m, 2H), 7.15-7.70 (m, 9H), 7.81 (brs, 1H)
- 84) $^1\text{H-NMR}(\text{CDCl}_3)$ δ ; 2.11 (s, 3H), 2.20-2.40 (m, 2H), 2.50 (s, 3H), 3.80-4.10 (m, 1H), 4.12-4.25 (m, 1H), 6.03 (t, $J=4.3$ Hz, 1H), 6.80-7.65 (m, 12H), 7.80 (brs, 1H)
- 85) $^1\text{H-NMR}(\text{CDCl}_3)$ δ ; 1.80-2.40 (m, 5H), 2.45 (s, 3H), 2.81 (s, 3H), 3.55-3.82 (m, 1H), 4.15-4.40 (m, 1H), 5.90-6.10 (m, 1H), 6.80-7.80 (m, 12H), 8.67 (brs, 1H)
- 86) $^1\text{H-NMR}(\text{CDCl}_3)$ δ ; 1.95-2.35 (2H, m), 2.75-3.0 (2H, m), 3.0-5.4 (2H, m), 6.55-7.95 (11H, m), 8.09 (1H, s)

- 87) $^1\text{H-NMR}(\text{DMSO-}d_6)$ δ ; 1.85-2.2 (2H, m), 2.7-2.95 (2H, m), 3.5-5.0 (2H, m), 6.8-7.8 (12H, m), 10.60 (1H, s)
- 88) $^1\text{H-NMR}(\text{CDCl}_3)$ δ ; 0.8-1.1 (3H, m), 1.2-2.35 (6H, m), 2.35-5.25 (6H, m), 6.63 (1H, d, $J=7.7$ Hz), 6.8-7.6 (9H, m), 7.67 (1H, d, $J=8.2$ Hz), 7.9-8.15 (1H, m)
- 89) $^1\text{H-NMR}(\text{CDCl}_3)$ δ ; 1.7-2.9 (7H, m), 4.5-6.5 (3H, m), 6.55-6.75 (1H, m), 6.85-7.6 (12H, m)
- 90) $^1\text{H-NMR}(\text{CDCl}_3)$ δ ; 1.65-3.1 (7H, m), 4.7-6.6 (3H, m), 6.6-6.8 (1H, m), 6.85-7.65 (12H, m)
- 91) $^1\text{H-NMR}(\text{CDCl}_3)$ δ ; 1.8-2.4 (2H, m), 2.86 (2H, t, $J=6$ Hz), 3.1-5.15 (2H, m), 6.85-7.5 (8H, m), 7.5-7.85 (3H, m), 8.19 (1H, s)
- 92) $^1\text{H-NMR}(\text{CDCl}_3)$ δ ; 1.46-2.28 (4H, m), 2.37 (3H, s), 2.58-2.90 (1H, m), 4.57-5.10 (2H, m), 6.59 (1H, d, $J=7.6$ Hz), 6.91-7.52 (11H, m), 7.62 (1H, d, $J=7.6$ Hz), 8.10-8.40 (1H, m)
- 93) $^1\text{H-NMR}(\text{CDCl}_3)$ δ ; 1.45-1.91 (2H, m), 1.91-2.65 (2H, m), 2.65-2.90 (1H, m), 4.63-5.22 (2H, m), 6.63 (1H, d, $J=7.4$ Hz), 7.34-8.03 (11H, m), 10.16-10.44 (1H, m)
- 94) $^1\text{H-NMR}(\text{CDCl}_3)$ δ ; 1.08-1.47 (3H, m), 1.50-1.97 (2H, m), 1.97-2.48 (2H, m), 2.65-3.02 (1H, m), 4.00-4.43 (4H, m), 4.52-5.15 (2H, m), 6.50-6.79 (1H, m), 6.90-7.70 (10H, m), 8.26-8.60 (1H, m)

- 95) $^1\text{H-NMR}(\text{CDCl}_3)$ δ ; 1.56-2.67 (4H, m), 2.46 (3H, s),
2.67-3.03 (1H, m), 3.82-4.32 (2H, m), 4.45-5.15
(2H, m), 5.43-5.83 (1H, m), 6.20-6.45 (1H, m),
6.50-6.86 (2H, m), 6.86-7.70 (10H, m), 7.76-8.10
(1H, m)
- 96) $^1\text{H-NMR}(\text{CDCl}_3)$ δ ; 1.52-1.90 (2H, m), 1.90-2.54 (2H,
m), 2.67-3.05 (1H, m), 3.74-4.32 (2H, m), 4.38-5.17
(2H, m), 5.52-5.98 (1H, brs), 6.20-6.48 (1H, brs),
6.55-6.84 (1H, m), 6.89-7.55 (9H, m), 7.55-7.77
(1H, m), 8.15-8.86 (1H, brs)
- 97) $^1\text{H-NMR}(\text{DMSO}-d_6)$ δ ; 1.26-2.49 (4H, m), 2.57-2.93
(1H, m), 4.07-4.43 (2H, m), 4.44-4.98 (2H, m),
6.62-6.87 (1H, m), 6.92-7.80 (11H, m), 10.57 (1H,
s), 12.74 (1H, s)
- 98) $^1\text{H-NMR}(\text{CDCl}_3)$ δ ; 1.52-1.89 (2H, m), 1.89-2.56 (2H,
m), 2.65-3.02 (1H, m), 3.90-4.40 (2H, m), 4.40-5.07
(2H, m), 6.58-6.78 (1H, m), 6.90-7.70 (10H, m),
8.57-8.81 (1H, brs)
- 99) $^1\text{H-NMR}(\text{CDCl}_3)$ δ ; 1.49-1.89 (2H, m), 1.89-2.60 (2H,
m), 2.63-3.23 (7H, m), 4.04-4.49 (2H, m), 4.52-5.21
(2H, m), 6.52-6.80 (1H, m), 6.89-7.84 (10H, m),
8.08-8.52 (1H, m)
- 100) $^1\text{H-NMR}(\text{CDCl}_3)$ δ ; 1.41-1.86 (6H, m), 1.86-2.53 (4H,
m), 2.25 (3H, s), 2.29 (3H, s), 2.43 (3H, s), 2.60-
2.97 (1H, m), 3.36-3.77 (2H, m), 4.40-5.10 (2H, m),
6.54-6.72 (1H, m), 6.88-7.67 (11H, m), 8.27-8.58

- (1H, m)
- 101) $^1\text{H-NMR}(\text{CDCl}_3)$ δ ; 1.44-1.85 (6H, m), 1.85-2.61 (4H, m), 2.32 (3H, s), 2.35 (3H, s), 2.61-3.00 (1H, m), 3.33-3.76 (2H, m), 4.40-5.20 (2H, m), 6.57-6.75 (1H, m), 6.90 - 7.70 (11H, m), 8.50-8.93 (1H, m)
- 102) $^1\text{H-NMR}(\text{CDCl}_3)$ δ ; 1.49-2.04 (6H, m), 2.10-3.02 (5H, m), 2.47 (6H, s), 3.40-3.88 (2H, m), 4.30-5.17 (2H, m), 6.59-6.78 (1H, m), 6.93-7.76 (10H, m), 8.75-9.40 (1H, m)
- 103) $^1\text{H-NMR}(\text{CDCl}_3)$ δ ; 1.47-2.47 (6H, m), 2.44 (3H, s), 2.62-3.03 (1H, m), 3.47-4.03 (4H, m), 4.48-5.17 (2H, m), 6.51-6.74 (1H, m), 6.87-7.62 (11H, m), 7.62-7.77 (2H, m), 7.77-8.03 (3H, m)
- 104) $^1\text{H-NMR}(\text{CDCl}_3)$ δ ; 1.42-2.32 (6H, m), 2.44 (3H, s), 2.57-2.97 (1H, m), 3.12-3.83 (4H, m), 4.39-5.13 (2H, m), 6.50-6.71 (1H, m), 6.90-7.73 (12H, m)
- 105) $^1\text{H-NMR}(\text{CDCl}_3)$ δ ; 1.50-2.63 (9H, m), 2.47 (3H, s), 2.66-3.07 (1H, m), 3.10-3.88 (4H, m), 4.40-5.17 (2H, m), 5.87-6.23 (1H, brs), 6.60-6.79 (1H, m), 6.94-7.60 (11H, m), 7.67 (1H, s)
- 106) $^1\text{H-NMR}(\text{CDCl}_3)$ δ ; 1.20-2.53 (13H, m), 2.63-2.82, 3.00-3.13, 3.50-3.67, 4.05-4.23 (total 3H, m), 6.55 - 8.00 (13H, m)
- 107) $^1\text{H-NMR}(\text{CDCl}_3)$ δ ; 1.41 (9H, s), 1.20-2.55 (10H, m), 3.42-4.20 (5.8H, m), 5.00-5.20 (0.2H, m), 6.60-7.67 (10H, m), 7.99 (1H, brs), 8.26 (1H, d, J=8.4 Hz)

- 108) $^1\text{H-NMR}(\text{CDCl}_3)$ δ ; 1.2-3.0 (10H, m), 3.0-5.2 (6H, m), 6.5-7.7 (8H, m), 8.22 (1H, d, $J=8.4$ Hz), 8.36 (1H, s)
- 109) $^1\text{H-NMR}(\text{CDCl}_3)$ δ ; 1.2-3.0 (10H, m), 3.0-5.2 (6H, m), 6.3-7.7 (10H, m)
- 110) $^1\text{H-NMR}(\text{CDCl}_3)$ δ ; 1.5-1.7 (1H, m), 2.2-2.7 (2H, m), 2.40 (6H, s), 2.7-3.0 (3H, m), 5.1-5.3 (1H, m), 6.67 (1H, d, $J=7.7$ Hz), 6.9-7.5 (10H, m), 7.69 (1H, d, $J=6$ Hz), 8.06 (1H, s)
- 111) $^1\text{H-NMR}(\text{CDCl}_3)$ δ ; 1.4-1.7 (1H, m), 2.1-2.7 (2H, m), 2.40 (6H, s), 2.44 (3H, s), 2.7-3.0 (3H, m), 5.1-5.3 (1H, m), 6.68 (1H, d, $J=7.8$ Hz), 6.9-7.5 (11H, m), 7.66 (1H, s)
- 112) $^1\text{H-NMR}(\text{CDCl}_3)$ δ ; 1.5-1.8 (1H, m), 2.1-2.7 (2H, m), 2.38 (6H, s), 2.7-3.0 (3H, m), 5.1-5.3 (1H, m), 6.66 (1H, d, $J=7.7$ Hz), 6.9-7.0 (9H, m), 7.57 (1H, d, $J=8.3$ Hz), 8.42 (1H, s)
- 113) $^1\text{H-NMR}(\text{CDCl}_3)$ δ ; 1.5-1.8 (1H, m), 2.1-2.7 (2H, m), 2.41 (6H, s), 2.48 (3H, s), 2.7-3.0 (3H, m), 3.68 (3H, s), 5.2-5.4 (1H, m), 6.6-6.8 (2H, m), 6.9-7.5 (8H, m), 8.09 (1H, s), 8.26 (1H, d, $J=8.1$ Hz)
- 114) $^1\text{H-NMR}(\text{CDCl}_3)$ δ ; 1.5-1.7 (1H, m), 2.1-2.3 (1H, m), 2.41 (6H, s), 2.4-2.6 (1H, m), 2.8-3.0 (3H, m), 3.71 (3H, s), 5.2-5.4 (1H, m), 6.6-6.8 (2H, m), 6.9-7.5 (7H, m), 7.7-7.8 (1H, m), 8.27 (1H, d, $J=8.4$ Hz), 8.57 (1H, s)

- 115) $^1\text{H-NMR}(\text{CDCl}_3)$ δ ; 1.5-1.7 (1H, m), 2.1-2.7 (2H, m), 2.41 (6H, s), 2.7-3.0 (3H, m), 3.71 (3H, s), 5.2-5.4 (1H, m), 6.6-7.6 (8H, m), 7.70 (1H, d, $J=8.3$ Hz), 8.24 (1H, d, $J=8.5$ Hz), 8.59 (1H, s)
- 116) $^1\text{H-NMR}(\text{CDCl}_3)$ δ ; 1.8-2.3 (3H, m), 2.7-2.9 (2H, m), 3.5-3.7 (1H, m), 6.8-8.0 (10H, m), 8.7-9.1 (1H, br)

Example 634

To a mixture of 5-oxo-1-[4-(3,5-dichlorobenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine (4 g) and pyridine (50 ml) is added hydroxylamine hydrochloride (1.84 g) and the mixture is refluxed for 2.5 hours. The reaction solution is concentrated and water is added to the resulting residue. The precipitated crystal is collected by filtration, and recrystallized from dioxane/water to give 5-hydroxyimino-1-[4-(3,5-dichlorobenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine (2 g) as white powder, m.p. 272 - 273°C.

Example 635

5-Chloro-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine (0.8 g) is dissolved in dimethylformamide and thereto is added sodium azide (0.18 g) at room temperature. The mixture is stirred at room temperature overnight, and further reacted with heating at 50°C for 5 hours. Water is added to the reaction mixture and the precipitated crystal is collected by filtration to give 5-azido-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-

tetrahydro-1H-benzazepine (0.68 g) as light brown powder.

$^1\text{H-NMR}(\text{CDCl}_3)$ δ ; 1.65-3.1 (8H, m), 4.7-6.6 (3H, m), 6.6-6.8 (1H, m), 6.85-7.65 (12H, m)

Example 636

5-Azido-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine (0.63 g) is dissolved in ethanol and thereto is added 10 % Pd-C (0.1 g). The mixture is subjected to catalytic hydrogenation at room temperature under 1 atm. of hydrogen. Pd-C is removed by filtration and the filtrate is evaporated. The resulting residue is purified by silica gel column chromatography (eluent; dichloromethane : methanol = 50 : 1), and recrystallized from diethyl ether to give 5-amino-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine (0.34 g) as white powder, m.p. 198.5 - 199.5°C.

Example 637

To 5-hydroxy-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine (0.58 g) are added acetic anhydride (8.0 ml) and pyridine (2.0 ml). The mixture is stirred at room temperature for 1 hour. Water is added to the reaction mixture and the precipitated crystal is collected by filtration, and recrystallized from ethyl acetate/n-hexane to give 5-acetyloxy-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine (0.56 g) as white powder, m.p. 193 - 194°C.

Example 638

5-Ethoxycarbonylmethoxy-1-[4-(2-methylbenzoyl-amino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine (1.00 g) is dissolved in methanol (35 ml) and thereto are added aqueous ammonia (20 ml) and ammonium chloride (0.50 g). The mixture is heated at 100°C for 3.5 hours in a sealed tube. After cooling, the reaction solution is concentrated under reduced pressure and acidified with hydrochloric acid, and extracted with dichloromethane. The extract is dried over magnesium sulfate and the solvent is distilled off. The resulting residue is purified by silica gel column chromatography (eluent; dichloromethane : methanol = 15 : 1) to give 5-carbamoylmethoxy-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine (0.68 g) as colorless amorphous.

$^1\text{H-NMR}(\text{CDCl}_3)$ δ ; 1.56-2.67 (4H, m), 2.46 (3H, s), 2.67-3.03 (1H, m), 3.82-4.32 (2H, m), 4.45-5.15 (2H, m), 5.43-5.83 (1H, m), 6.20-6.45 (1H, m), 6.50-6.86 (2H, m), 6.86-7.70 (10H, m), 7.76-8.10 (1H, m)

Using the suitable starting materials, the compounds of the above Examples 593 and 594 are obtained in the same manner as in Example 638.

Example 639

5-Ethoxycarbonylmethoxy-1-[4-(2,4-dichlorobenzoyl-amino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine (0.94 g) is dissolved in ethanol (100 ml) and thereto is added 5N aqueous sodium hydroxide solution (0.50 ml). The mixture is

stirred at room temperature for 2 hours. The reaction solution is concentrated under reduced pressure and to the resulting residue is added diluted hydrochloric acid and then extracted with dichloromethane. The extract is dried over magnesium sulfate and the solvent is distilled off. The resulting residue is washed with n-hexane and collected by filtration to give 5-carboxymethoxy-1-[4-(2,4-dichloro-benzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine (0.79 g) as colorless amorphous.

$^1\text{H-NMR}(\text{CDCl}_3)$ δ ; 1.52-1.89 (2H, m), 1.89-2.56 (2H, m), 2.65-3.02 (1H, m), 3.90-4.40 (2H, m), 4.40-5.07 (2H, m), 6.58-6.78 (1H, m), 6.90-7.70 (10H, m), 8.57-8.81 (1H, brs)

Using the suitable starting materials, the compounds of the above Examples 595 and 596 are obtained in the same manner as in Example 639.

Example 640

5-Carboxymethoxy-1-[4-(2-methylbenzoylamino)-benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine (0.55 g) is dissolved in dimethylformamide (20 ml) and thereto are added dimethylamine hydrochloride (0.20 g) and diethyl chlorophosphate (0.33 g). To the mixture is added triethylamine (1.0 ml) under ice-cooling, and the mixture is stirred under ice-cooling for 30 minutes, and at room temperature for more 2 hours. Water is added to the reaction solution and the precipitated crystal is collected by filtration and recrystallized from ethyl acetate/n-hexane to give 5-

dimethylaminocarbonylmethoxy-1-[4-(2-methylbenzoylamino)-benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine (0.50 g) as colorless prisms, m.p. 203 - 204°C.

Using the suitable starting materials, the compounds of the above Examples 599 and 600 are obtained in the same manner as in Example 640.

Example 641

5-[3-(Phthalimid-1-yl)propoxy]-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine (1.26 g) is dissolved in ethanol (100 ml) and thereto is added hydrazine hydrate (1.0 ml). The mixture is refluxed with stirring for 1 hour. The reaction solution is evaporated under reduced pressure and to the resulting residue is added dichloromethane. The insoluble materials are removed by filtration. The filtrate is purified by silica gel column chromatography (eluent; dichloromethane : methanol : aqueous ammonia = 70 : 10 : 1) to give 5-(3-aminopropoxy)-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine (0.70 g) as colorless amorphous.

$^1\text{H-NMR}(\text{CDCl}_3)$ δ ; 1.42-2.32 (6H, m), 2.44 (3H, s), 2.57-2.97 (1H, m), 3.12-3.83 (4H, m), 4.39-5.13 (2H, m), 6.50-6.71 (1H, m), 6.90-7.73 (12H, m)

Example 642

A solution of 5-dimethylamino-1-[3-methoxy-4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-

benzazepine (0.50 g) in dichloromethane (30 ml) is added dropwise to a solution of 1M boron tribromide in dichloromethane (5.46 ml) at -45°C. After completion of the dropping, the mixture is stirred for 1 day while the temperature of the reaction mixture is gradually raised to room temperature. To the reaction solution is added water and the mixture is neutralized with sodium hydrogen carbonate, and extracted with dichloromethane. The extract is washed with saturated saline solution and dried over magnesium sulfate. The solvent is distilled off and the resulting residue is purified by silica gel column chromatography (eluent; chloroform : methanol = 500 : 1), and recrystallized from methanol/diethyl ether to give 5-dimethylamino-1-[3-hydroxy-4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine (0.33 g) as white powder, m.p. 201.5 - 202.5°C.

Using the suitable starting materials, the compounds of the above Examples 10, 32, 343, 356, 535, 555 and 556 are obtained in the same manner as in Example 642.

Example 643

To a solution of 4-[4-(2-methylbenzoylamino)-benzoyl]-3,4-dihydro-2H-1,4-benzazepine (0.5 g) in dichloromethane (10 ml) is added m-chloroperbenzoic acid (0.58 g) under ice-cooling, and the mixture is stirred at room temperature for 6 hours. The above reaction solution is poured into an aqueous solution of sodium carbonate (0.6 g) in water (10 ml) and the mixture is extracted with dichloro-

methane. The extract is washed with water, and dried over magnesium sulfate. The solvent is distilled off under reduced pressure and the resulting residue is purified by silica gel column chromatography (eluent; dichloromethane : methanol = 100 : 1), and recrystallized from diethyl ether/dichloromethane to give 4-[4-(2-methylbenzoylamino)-benzoyl]-3,4-dihydro-2H-1,4-benzothiazine-1,1-dioxide (0.49 g) as white powder, m.p. 219 - 220°C.

Using the suitable starting materials, the compound of the above Example 630 is obtained in the same manner as in Example 643.

Example 644

To a suspension of 4-[4-(2-methylbenzoylamino)-benzoyl]-3,4-dihydro-2H-1,4-benzothiazine (0.5 g) in methanol (15 ml) is added an aqueous solution of sodium metaperiodate (0.28 g) in water (2.5 ml) and the mixture is stirred at room temperature for 72 hours. Water is added to the reaction solution and extracted with dichloromethane. The extract is dried over magnesium sulfate and the solvent is distilled off under reduced pressure. The resulting residue is purified by silica gel column chromatography (eluent; dichloromethane : methanol = 100 : 1), and recrystallized from dichloromethane/diethyl ether to give 4-[4-(2-methylbenzoylamino)benzoyl]-3,4-dihydro-2H-1,4-benzothiazin-1-oxide (0.34 g) as white powder, m.p. 240 - 241°C.

Using the suitable starting materials, the compound of the above Example 631 is obtained in the same manner as in Example 644.

Example 645

5-Hydroxy-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine (3.57 g) is dissolved in dichloromethane (30 ml) and pyridine (1.1 ml), and thereto is added dropwise methanesulfonyl chloride (0.9 ml) in small portions at 0°C. Then, the mixture is stirred at room temperature for 3 days. The solvent is distilled off and the resulting residue is poured into ice-water. The precipitated crystal is collected by filtration, washed with water, and dried to give 5-chloro-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine (3.10 g) as light yellow powder.

$^1\text{H-NMR}(\text{CDCl}_3)$ δ ; 1.7-2.9 (8H, m), 4.5-6.5 (3H, m), 6.55-6.75 (1H, m), 6.85-7.6 (12H, m)

Example 646

5-Hydroxy-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine (2.69 g) is dissolved in dimethylformamide (30 ml) and thereto are added 60 % sodium hydride dispersion in mineral oil (0.44 g) and ethyl bromoacetate (1.00 ml) under ice-cooling, and the mixture is stirred at room temperature for 4 hours. The reaction solution is poured into an aqueous ammonium chloride solution under ice-cooling, and extracted with ethyl

acetate. The extract is dried over magnesium sulfate and the solvent is distilled off. The resulting residue is purified by silica gel column chromatography (eluent; ethyl acetate : n-hexane = 1 : 2), and recrystallized from ethyl acetate/n-hexane to give 5-ethoxycarbonylmethoxy-1-[4-(2-methylbenzoylamino)benzoyl-2,3,4,5-tetrahydro-1H-benzazepine (2.10 g) as white powder, m.p. 178 - 181°C.

Using the suitable starting materials, the compounds of the above Examples 585 - 588 and 590 - 606 are obtained in the same manner as in Example 646.

Example 647

Using the suitable starting materials, the compounds of the above Examples 546 and 578 - 581 are obtained in the same manner as in Example 384.

Example 648

Using the suitable starting materials, the compounds of the above Examples 537 - 545, 547, 549 - 556, 561 - 564, 566, 568 - 571, 577, 601 - 603 and 607 - 625 are obtained in the same manner as in Example 388.

Example 649

Using the suitable starting materials, the compounds of the above Examples 549, 568 - 571, 575 and 606 are obtained in the same manner as in Example 389.

Example 650

Using the suitable starting materials, the compounds of the above Examples 537 - 545, 547, 549 - 556,

561 - 566, 568 - 571, 575, 577, 607, 608 and 613 - 625 are obtained in the same manner as in Example 390.

Example 651

Using the suitable starting materials, the compounds of the above Examples 601 - 603, 605 and 606 are obtained in the same manner as in Example 397.

Example 652

Using the suitable starting materials, the compound of the above Example 604 is obtained in the same manner as in Example 398.

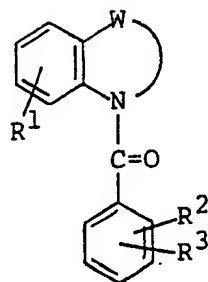
Example 653

Using the suitable starting materials, the following compound is obtained in the same manner as in Examples 1, 382, 388 and 390.

5-Methylamino-1-[2-chloro-4-(2-methylbenzoyl-amino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine, white powder, m.p. 184.5 - 185.5°C (recrystallized from ethanol)

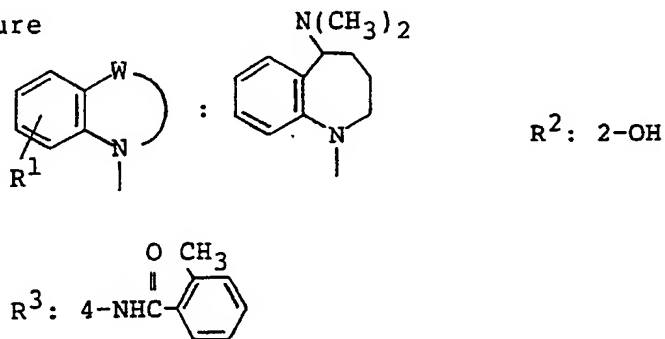
Using the suitable starting materials, the compounds of the following Table 4 are obtained in the same manner as in Examples 1 and 382.

Table 4



Example 654

Structure



Crystalline form: White powder

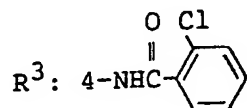
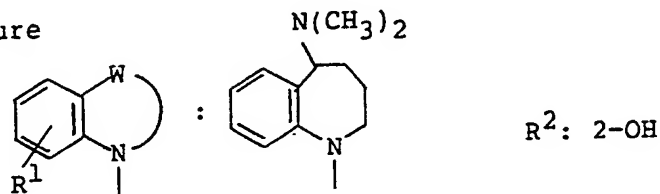
Recrystallization solvent: Methanol/n-hexane

Melting Point: 193.5 - 196°C

Form: Free

Example 655

Structure



Crystalline form: White powder

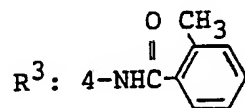
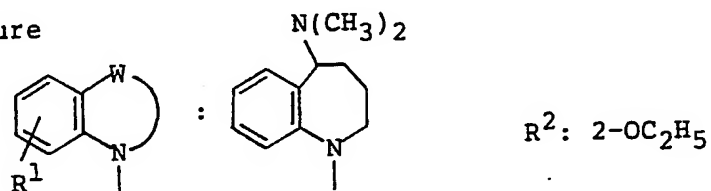
Recrystallization solvent: Methanol/n-hexane

Melting Point: 195 - 198°C

Form: Free

Example 656

Structure



Crystalline form: White powder

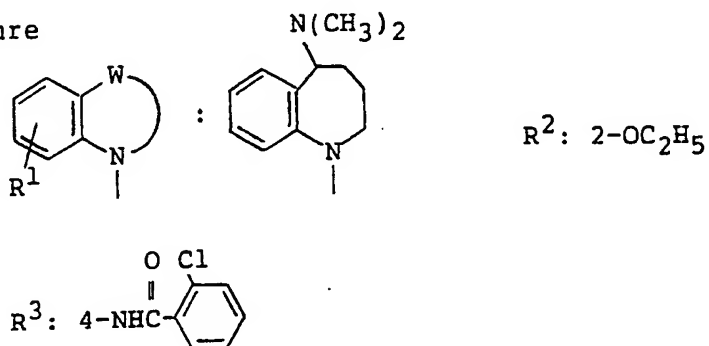
Recrystallization solvent: Methanol

Melting Point: 230.5 - 231.5°C

Form: Free

Example 657

Structure



Crystalline form: White powder

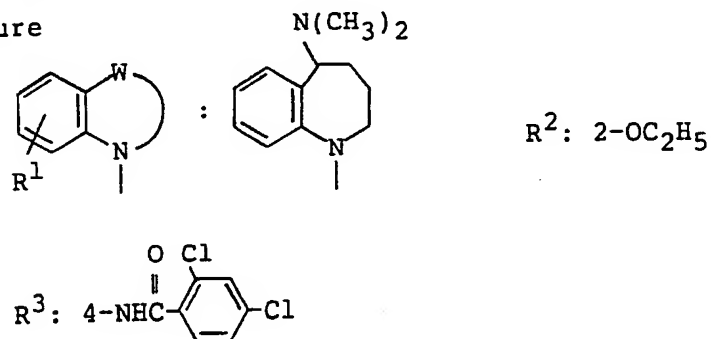
Recrystallization solvent: Methanol/diethyl ether

Melting Point: 223 - 224.5°C

Form: Free

Example 658

Structure



Crystalline form: White powder

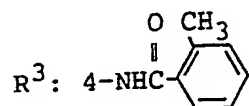
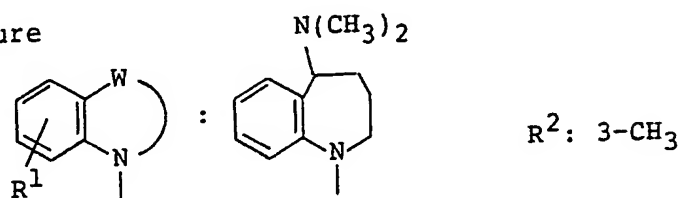
Recrystallization solvent: Methanol/diethyl ether

Melting Point: 173 - 174°C

Form: Free

Example 659

Structure



Crystalline form: White powder

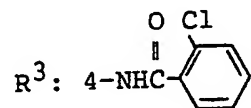
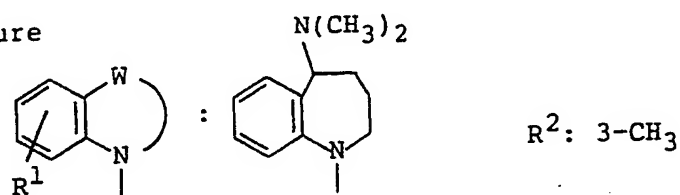
Recrystallization solvent: Methanol/diethyl ether

Melting Point: 174 - 175°C

Form: Free

Example 660

Structure



Crystalline form: White powder

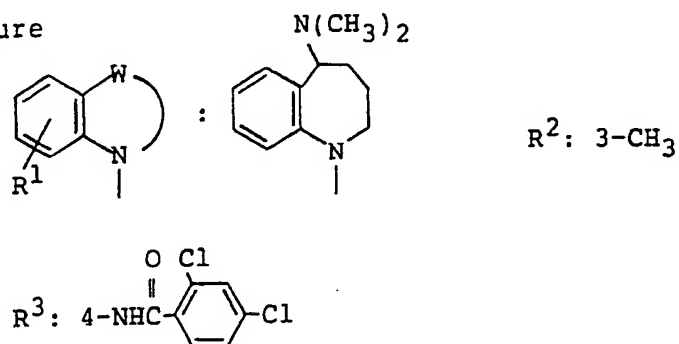
Recrystallization solvent: Methanol/diethyl ether

Melting Point: 198 - 200°C

Form: Free

Example 661

Structure



Crystalline form: White powder

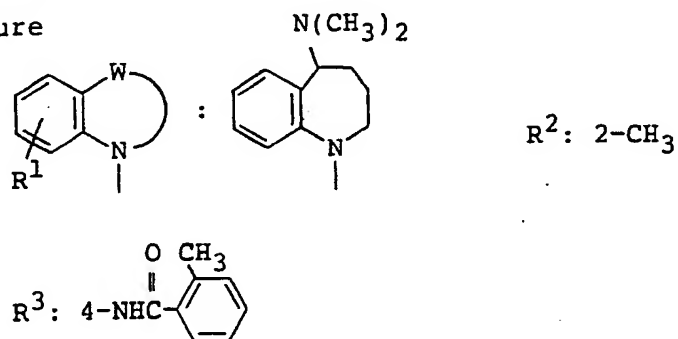
Recrystallization solvent: Methanol/n-hexane

Melting Point: 149 - 150.5°C

Form: Free

Example 662

Structure



Crystalline form: White powder

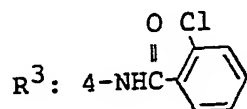
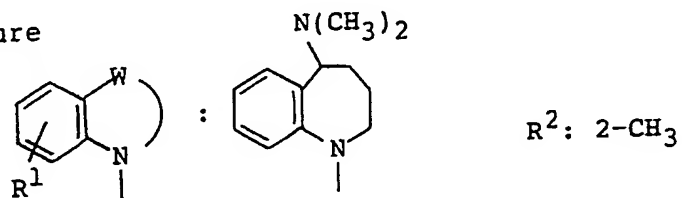
Recrystallization solvent: Methanol/diethyl ether

Melting Point: 183 - 185°C

Form: Free

Example 663

Structure



Crystalline form: White powder

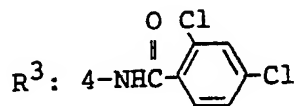
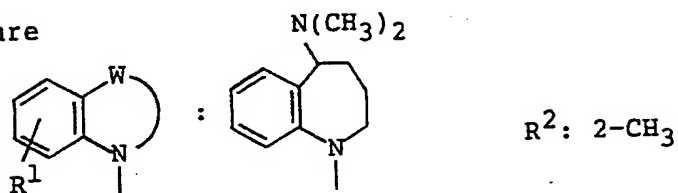
Recrystallization solvent: Methanol/diethyl ether

Melting Point: 203 - 207°C

Form: Free

Example 664

Structure



Crystalline form: White powder

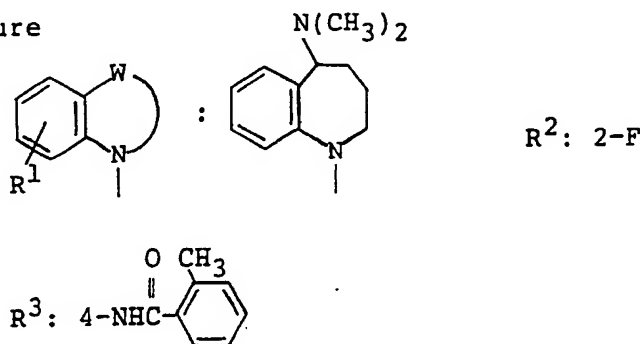
Recrystallization solvent: Methanol/diethyl ether

Melting Point: 221 - 222°C

Form: Free

Example 665

Structure



Crystalline form: White powder

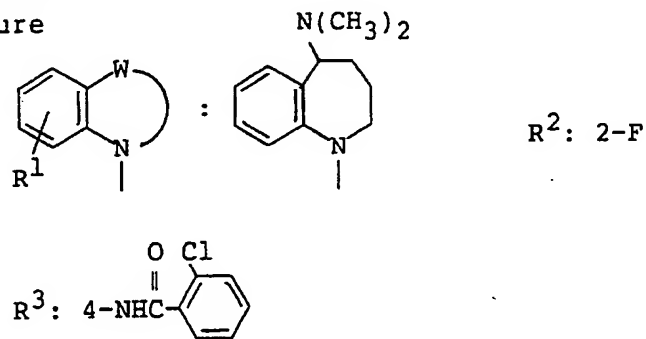
Recrystallization solvent: Methanol/diethyl ether

Melting Point: 189 - 191°C

Form: Free

Example 666

Structure



Crystalline form: White powder

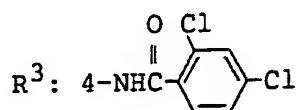
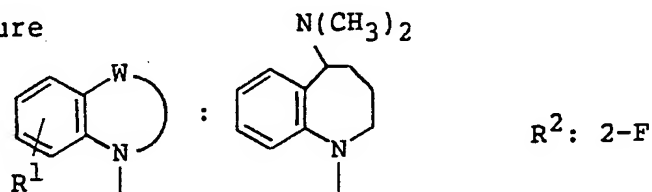
Recrystallization solvent: Methanol/diethyl ether

Melting Point: 215.5 - 217°C

Form: Free

Example 667

Structure



Crystalline form: White powder

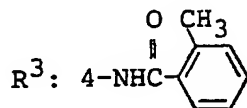
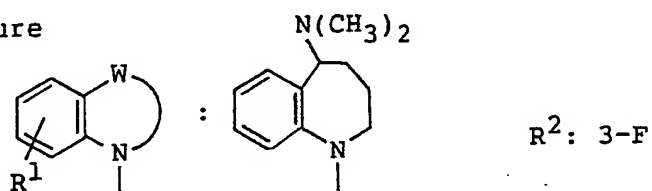
Recrystallization solvent: Methanol/n-hexane

Melting Point: 192 - 194°C

Form: Free

Example 668

Structure



Crystalline form: White powder

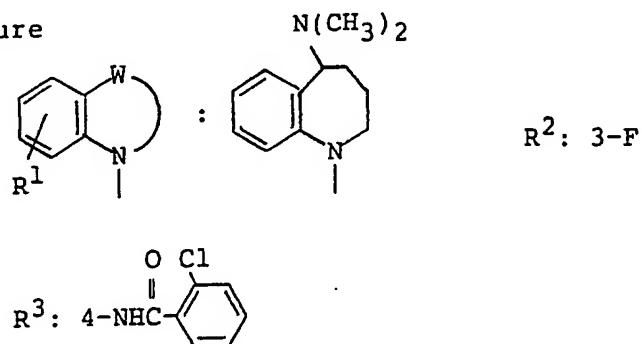
Recrystallization solvent: Methanol/diethyl ether

Melting Point: 195 - 196°C

Form: Free

Example 669

Structure



Crystalline form: White powder

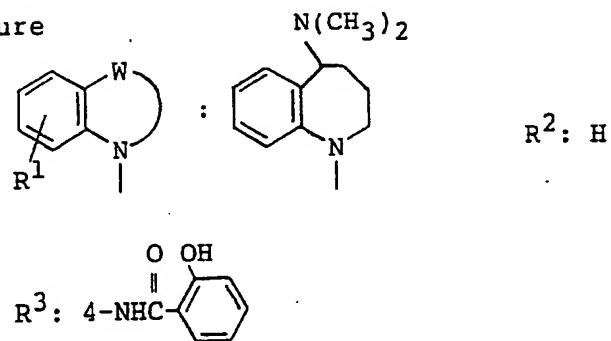
Recrystallization solvent: Methanol/diethyl ether

Melting Point: 202 - 204.5°C

Form: Free

Example 670

Structure



Crystalline form: White powder

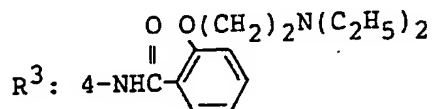
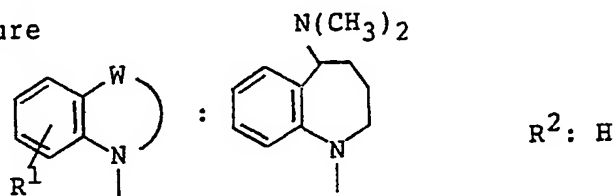
Recrystallization solvent: Methanol/diethyl ether

Melting Point: 183 - 187°C

Form: Free

Example 671

Structure



Crystalline form: White powder

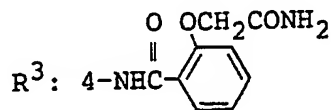
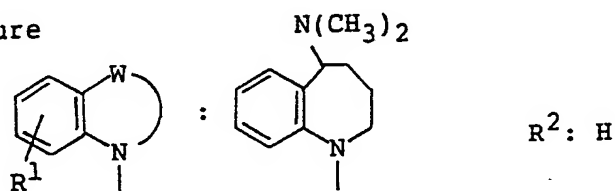
Recrystallization solvent: Methanol/diethyl ether

Melting Point: 120 - 122°C

Form: Free

Example 672

Structure



Crystalline form: White powder

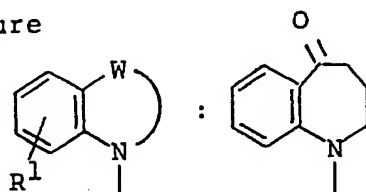
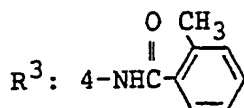
Recrystallization solvent: Chloroform/diethyl ether

Melting Point: 208 - 210°C

Form: Free

Example 673

Structure

 $R^2: 2\text{-OCH}_3$ 

Crystalline form: White powder

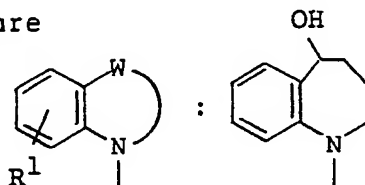
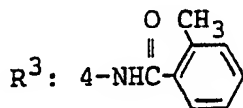
Recrystallization solvent: Methanol/diethyl ether

Melting Point: 182 - 183°C

Form: Free

Example 674

Structure

 $R^2: 2\text{-OCH}_3$ 

Crystalline form: White powder

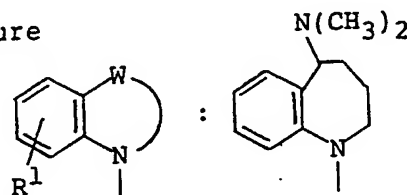
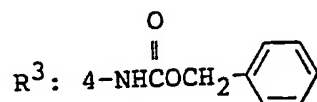
Recrystallization solvent: Methanol/diethyl ether

Melting Point: 257 - 259°C

Form: Free

Example 675

Structure

 $R^2: 2-OC_2H_5$  $R^3: 4-NHCOCH_2-$

Crystalline form: White powder

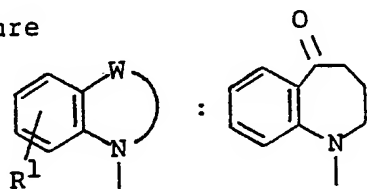
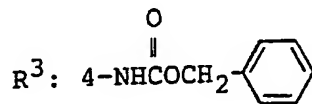
Recrystallization solvent: Dichloromethane/diethyl ether

Melting Point: 134 - 135°C

Form: Free

Example 676

Structure

 $R^2: 2-OCH_3$  $R^3: 4-NHCOCH_2-$

Crystalline form: White powder

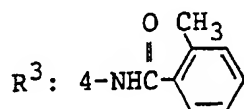
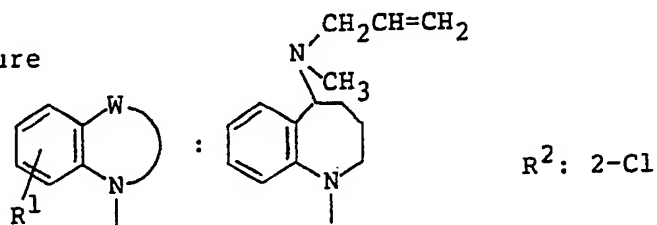
Recrystallization solvent: Methanol/diethyl ether

Melting Point: 167 - 169°C

Form: Free

Example 677

Structure



Crystalline form: Light brown prisms

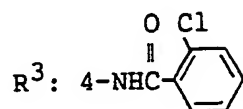
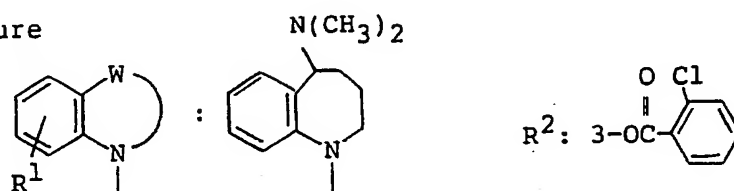
Recrystallization solvent: Ethyl acetate/n-hexane

Melting Point: 170 - 172°C

Form: Free

Example 678

Structure



Crystalline form: White powder

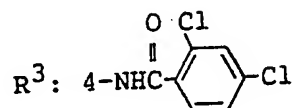
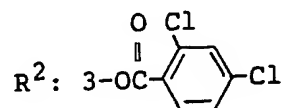
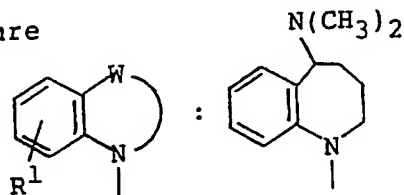
Recrystallization solvent: Ethyl acetate/n-hexane

Melting Point: 181.5 - 182.5°C

Form: Free

Example 679

Structure



Crystalline form: White powder

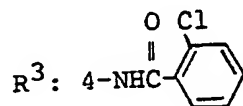
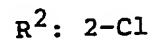
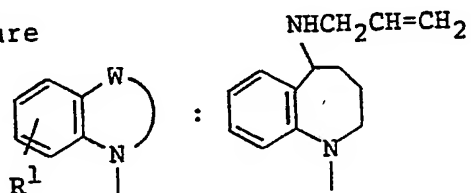
Recrystallization solvent: Ethyl acetate/n-hexane

Melting Point: 176.5 - 177°C

Form: Free

Example 680

Structure



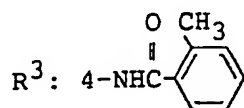
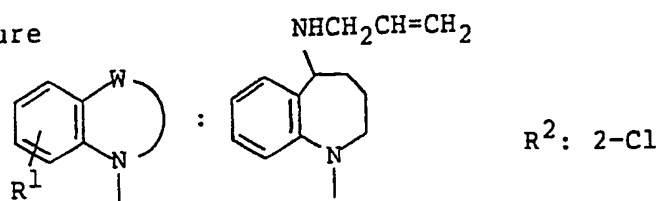
Crystalline form: Yellow amorphous

NMR analysis: 117)

Form: Free

Example 681

Structure



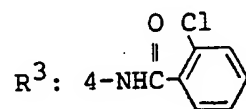
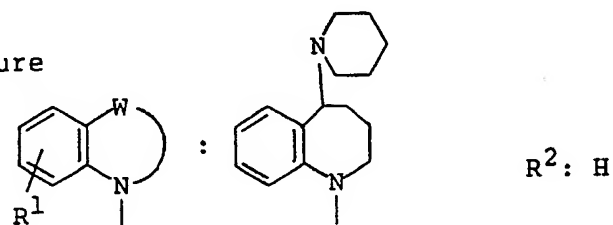
Crystalline form: Yellow amorphous

NMR analysis: 118)

Form: Free

Example 682

Structure



Crystalline form: White powder

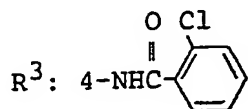
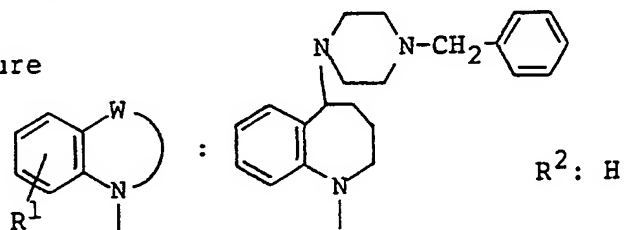
Recrystallization solvent: Ethanol

Melting Point: 236 - 239°C

Form: Free

Example 683

Structure



Crystalline form: White powder

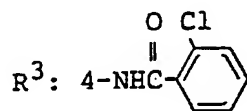
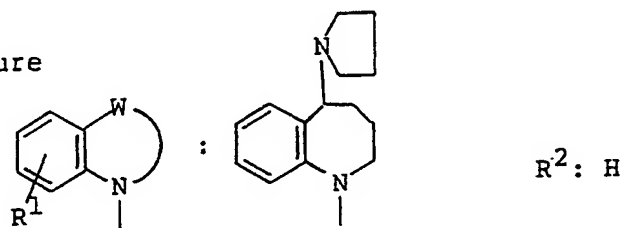
Recrystallization solvent: Ethyl acetate/n-hexane

Melting Point: 153 - 154°C

Form: Free

Example 684

Structure



Crystalline form: White powder

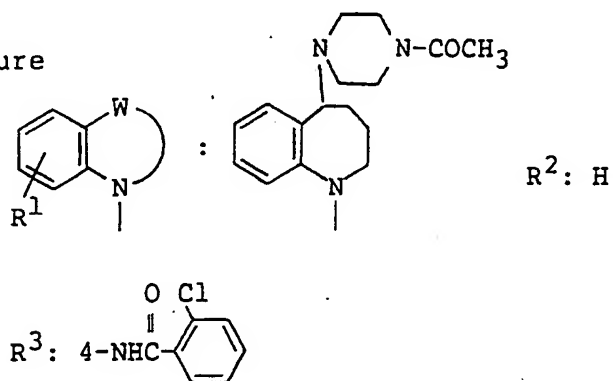
Recrystallization solvent: Ethyl acetate

Melting Point: 128 - 130°C

Form: Free

Example 685

Structure



Crystalline form: White powder

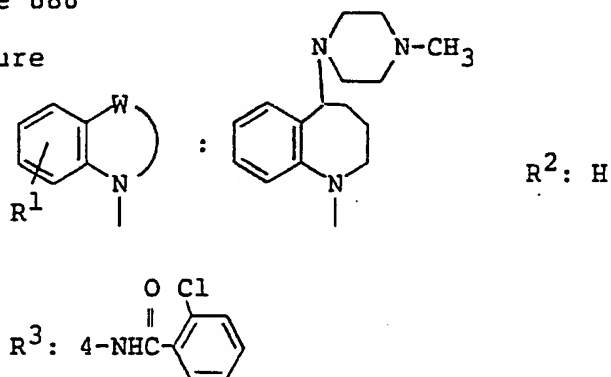
Recrystallization solvent: Ethanol/diethyl ether

Melting Point: 231 - 234°C

Form: Free

Example 686

Structure



Crystalline form: White powder

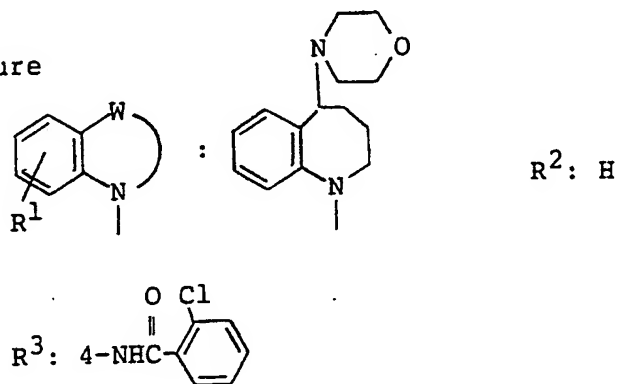
Recrystallization solvent: Ethyl acetate

Melting Point: 246 - 248°C

Form: Free

Example 687

Structure



Crystalline form: White powder

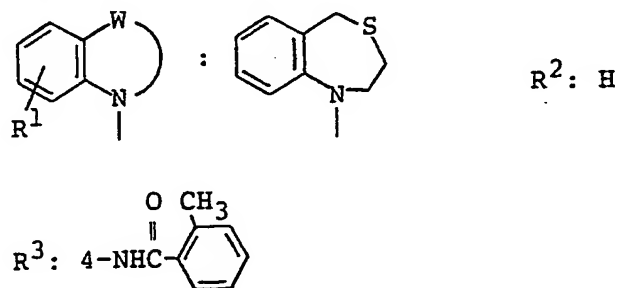
Recrystallization solvent: Ethanol/water

Melting Point: 248 - 248.5°C

Form: Free

Example 688

Structure



Crystalline form: White powder

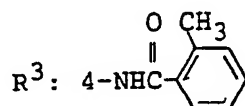
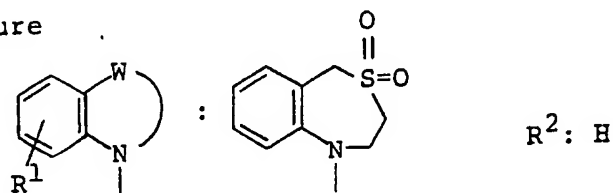
Recrystallization solvent: Dichloromethane/diethyl ether

Melting Point: 204 - 205°C

Form: Free

Example 689

Structure



Crystalline form: White powder

Recrystallization solvent: Dichloromethane/diethyl ether

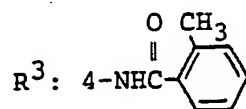
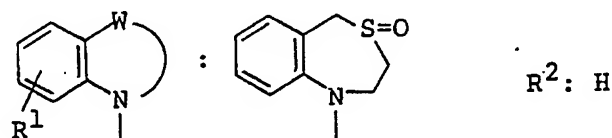
Melting Point: $>300^\circ\text{C}$

NMR analysis: 119)

Form: Free

Example 690

Structure



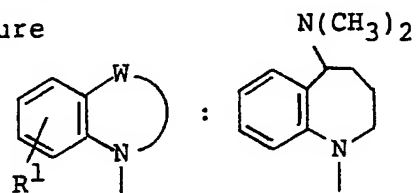
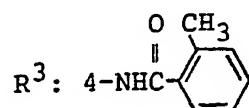
Crystalline form: White powder

Recrystallization solvent: Dichloromethane/diethyl ether

Melting Point: $292 - 294^\circ\text{C}$ Form: Free

Example 691

Structure

 $R^2: 2-N(CH_3)_2$ 

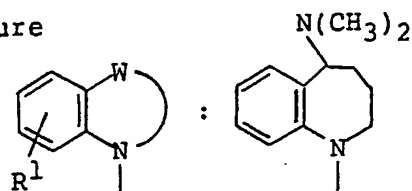
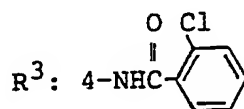
Crystalline form: Colorless amorphous

NMR analysis: 120)

Form: Free

Example 692

Structure

 $R^2: 2-N(CH_3)_2$ 

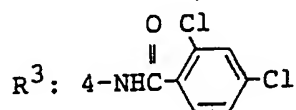
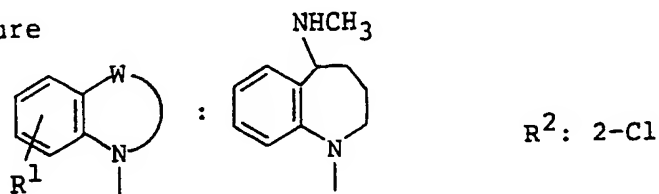
Crystalline form: Colorless amorphous

NMR analysis: 121)

Form: Free

Example 693

Structure



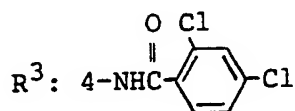
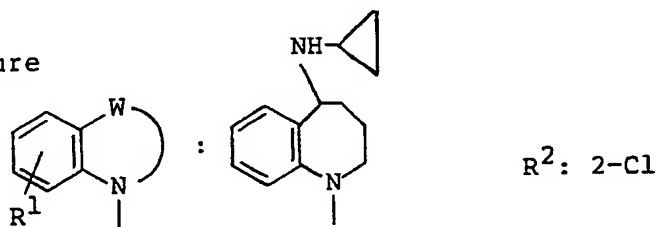
Crystalline form: Colorless amorphous

NMR analysis: 122)

Form: Free

Example 694

Structure



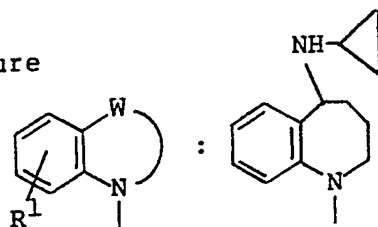
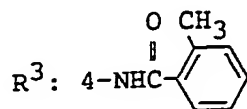
Crystalline form: Colorless amorphous

NMR analysis: 123)

Form: Free

Example 695

Structure

 R^2 : 2-Cl

Crystalline form: White powder

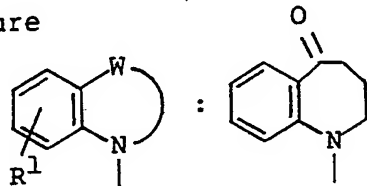
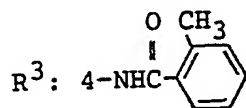
Recrystallization solvent: Ethanol

Melting Point: 198.5 - 199°C

Form: Free

Example 696

Structure

 R^2 : 2-Cl

Crystalline form: White powder

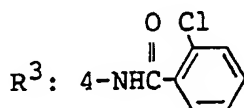
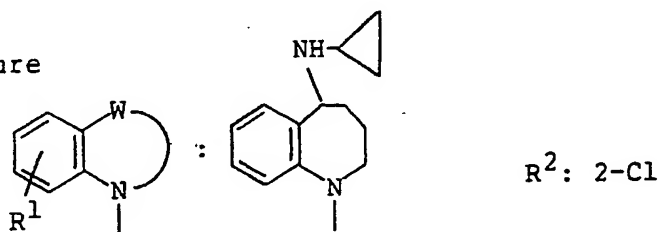
Recrystallization solvent: Diethyl ether/dichloromethane

Melting Point: 168 - 170°C

Form: Free

Example 697

Structure



Crystalline form: White powder

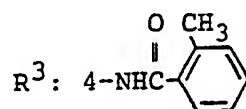
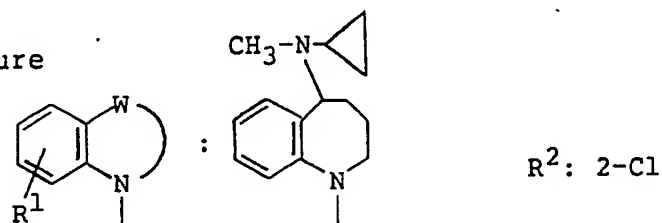
Recrystallization solvent: Diethyl ether/dichloromethane

Melting Point: 175 - 176°C

Form: Free

Example 698

Structure



Crystalline form: White powder

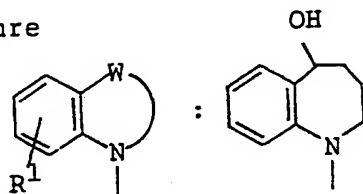
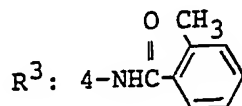
Recrystallization solvent: Diethyl ether/dichloromethane

Melting Point: 177 - 178°C

Form: Free

Example 699

Structure

 R^2 : 2-Cl

Crystalline form: White powder

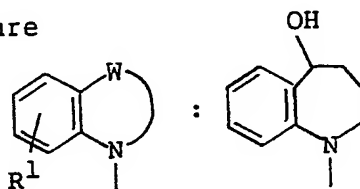
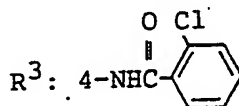
Recrystallization solvent: Diethyl ether/dichloromethane

Melting Point: 222 - 223.5°C

Form: Free

Example 700

Structure

 R^2 : 2-Cl

Crystalline form: White powder

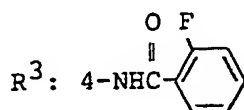
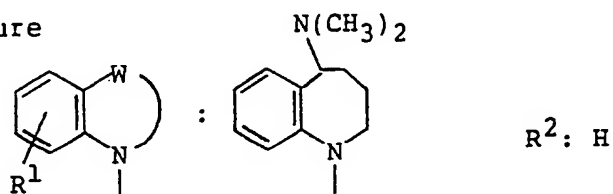
Recrystallization solvent: Diethyl ether/dichloromethane

Melting Point: 243 - 244°C

Form: Free

Example 701

Structure



Crystalline form: White powder

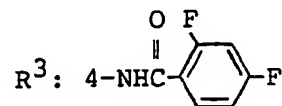
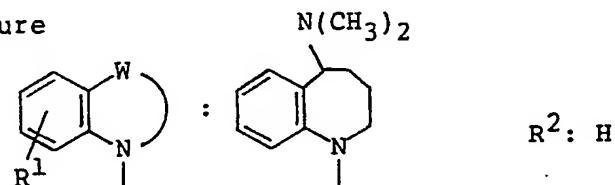
Recrystallization solvent: Diethyl ether/dichloromethane

Melting Point: 180 - 181°C

Form: Free

Example 702

Structure



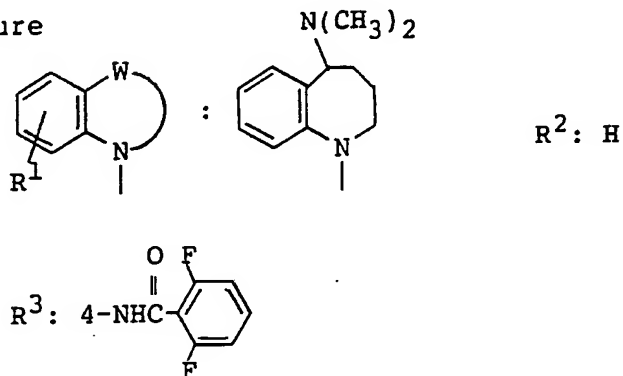
Crystalline form: Colorless amorphous

NMR analysis: 124)

Form: Free

Example 703

Structure



Crystalline form: White powder

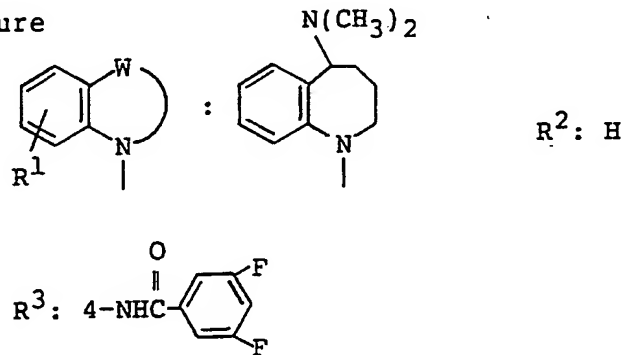
Recrystallization solvent: Diethyl ether/dichloromethane

Melting Point: 231 - 233°C

Form: Free

Example 704

Structure



Crystalline form: White powder

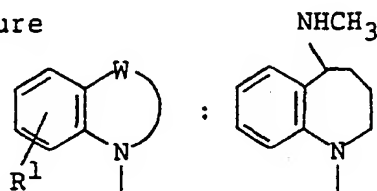
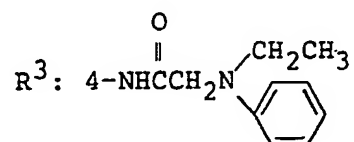
Recrystallization solvent: Diethyl ether/dichloromethane

Melting Point: 196 - 198°C

Form: Free

Example 705

Structure

R²: 2-Cl

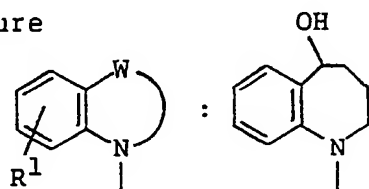
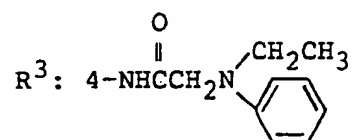
Crystalline form: Colorless amorphous

NMR analysis: 125)

Form: Free

Example 706

Structure

R²: 2-Cl

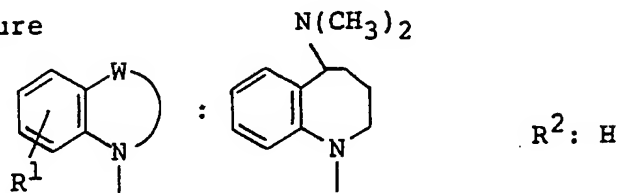
Crystalline form: Yellow amorphous

NMR analysis: 126)

Form: Free

Example 707

Structure



Crystalline form: Yellow powder

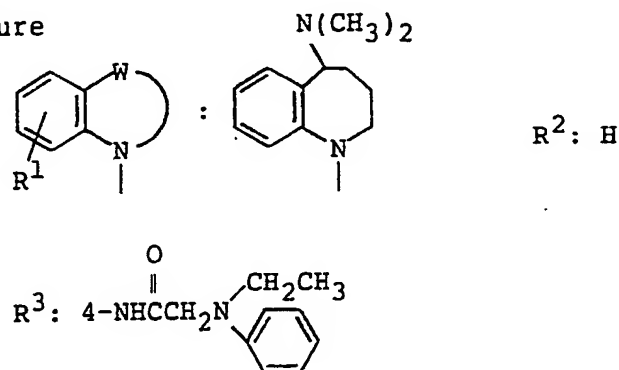
Recrystallization solvent: Diethyl ether/dichloromethane

Melting Point: 146 - 147°C

Form: Free

Example 708

Structure



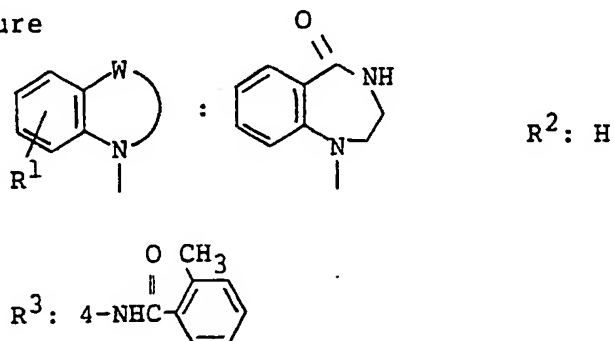
Crystalline form: Colorless amorphous

NMR analysis: 127)

Form: Free

Example 709

Structure



Crystalline form: White powder

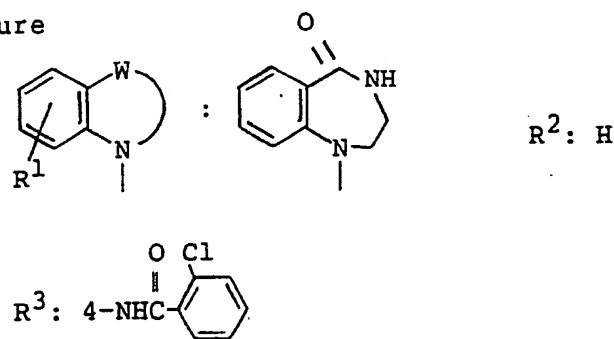
Recrystallization solvent: Diethyl ether/dichloromethane

Melting Point: 220 - 221°C

Form: Free

Example 710

Structure



Crystalline form: White powder

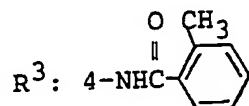
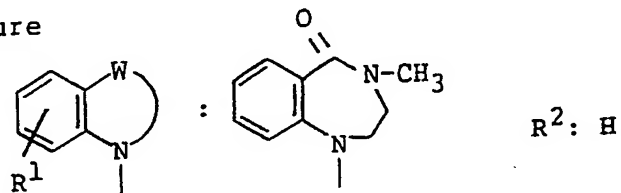
Recrystallization solvent: Diethyl ether/dichloromethane

Melting Point: 170 - 172°C

Form: Free

Example 711

Structure



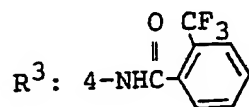
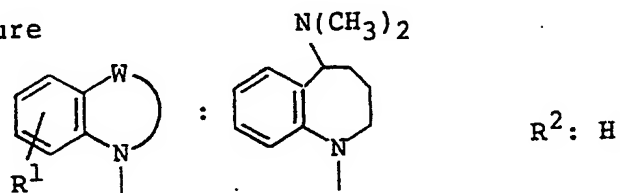
Crystalline form: Colorless amorphous

NMR analysis: 128}

Form: Free

Example 712

Structure



Crystalline form: White powder

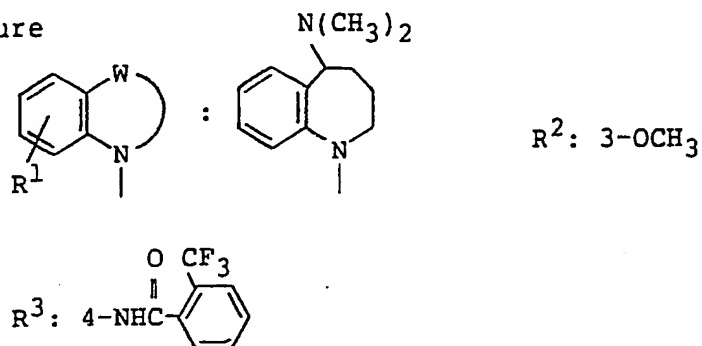
Recrystallization solvent: Ethanol

Melting Point: 224 - 225°C

Form: Free

Example 713

Structure



Crystalline form: White powder

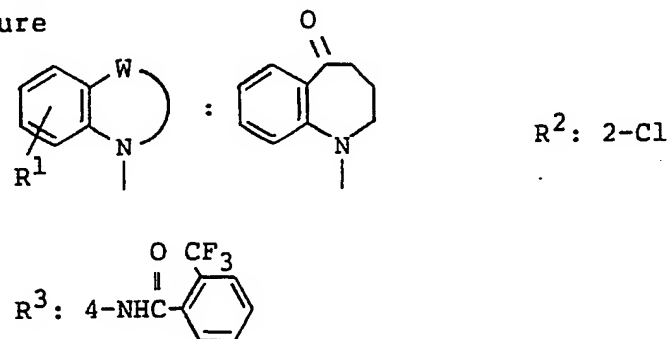
Recrystallization solvent: Ethanol

Melting Point: 193 - 196°C

Form: Free

Example 714

Structure



Crystalline form: White powder

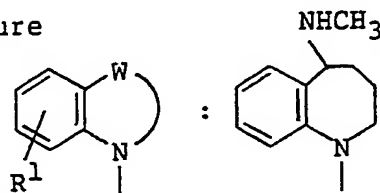
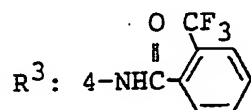
Recrystallization solvent: Ethanol

Melting Point: 212 - 214°C

Form: Free

Example 715

Structure

R²: 2-Cl

Crystalline form: White powder

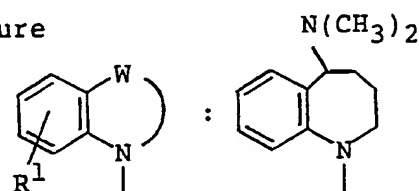
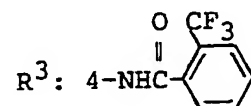
Recrystallization solvent: Ethanol

Melting Point: 211 - 213°C

Form: Free

Example 716

Structure

R²: 2-Cl

Crystalline form: White powder

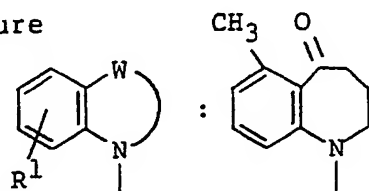
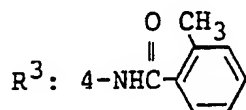
Recrystallization solvent: Ethanol

Melting Point: 213 - 215°C

Form: Free

Example 717

Structure

 R^2 : 2-Cl

Crystalline form: White powder

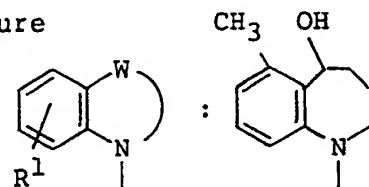
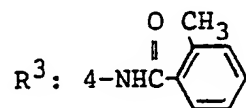
Recrystallization solvent: Ethanol

Melting Point: 199 - 201°C

Form: Free

Example 718

Structure

 R^2 : 2-Cl

Crystalline form: White powder

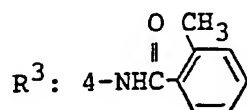
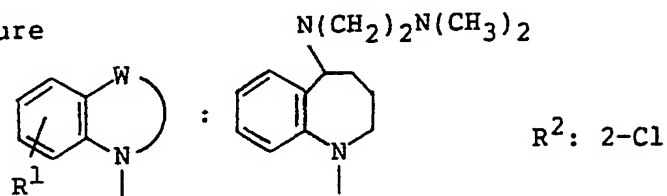
Recrystallization solvent: Ethanol

Melting Point: 238 - 240°C

Form: Free

Example 719

Structure



Crystalline form: White powder

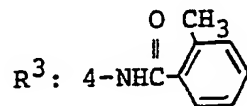
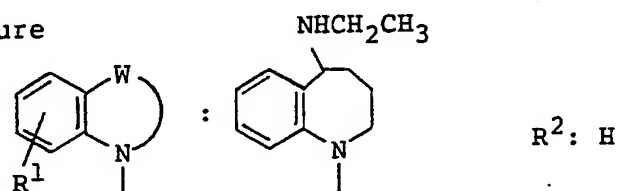
Recrystallization solvent: Ethanol

Melting Point: 188 - 189°C

Form: Free

Example 720

Structure



Crystalline form: Colorless prisms

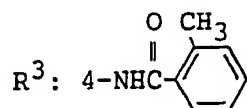
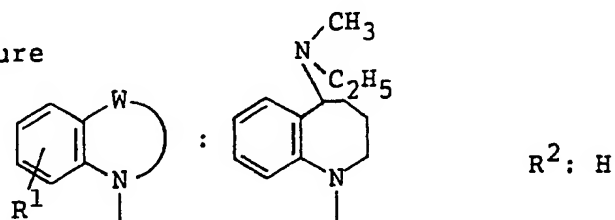
Recrystallization solvent: Dioxane/water

Melting Point: 135.5 - 137°C

Form: Free

Example 721

Structure



Crystalline form: White powder

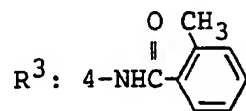
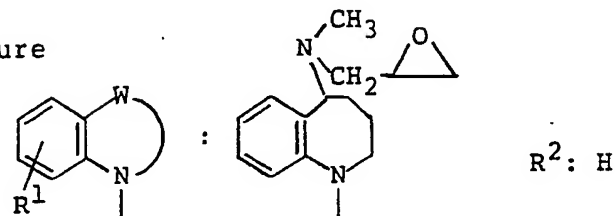
Recrystallization solvent: Isopropyl alcohol/
petroleum ether

Melting Point: 192 - 193°C

Form: Free

Example 722

Structure



Crystalline form: Colorless needles

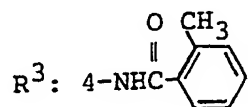
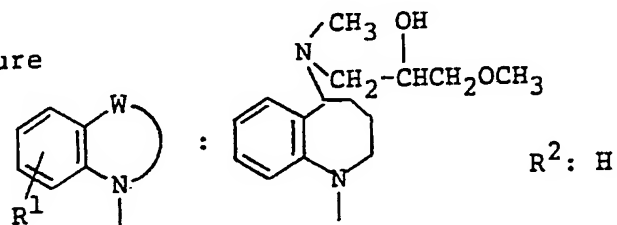
Recrystallization solvent: Ethyl acetate

Melting Point: 239 - 240°C

Form: Free

Example 723

Structure



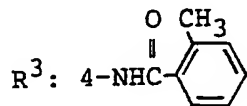
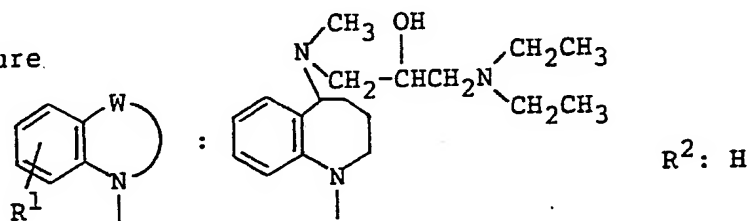
Crystalline form: Colorless amorphous

NMR analysis: 129)

Form: Free

Example 724

Structure



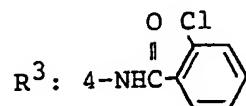
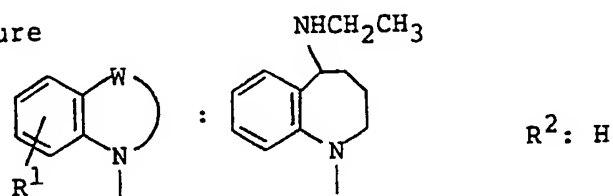
Crystalline form: Colorless amorphous

NMR analysis: 130)

Form: Free

Example 725

Structure



Crystalline form: Colorless needles

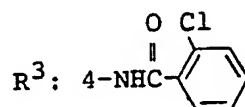
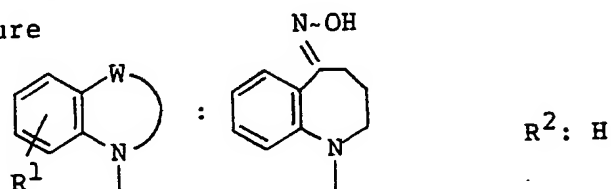
Recrystallization solvent: Ethanol/petroleum ether

Melting Point: 193 - 194°C

Form: Free

Example 726

Structure



Crystalline form: Light yellow prisms

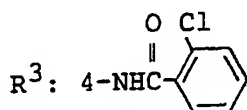
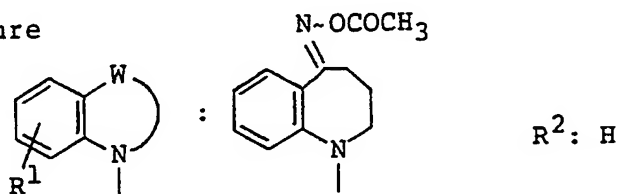
Recrystallization solvent: Ethanol

Melting Point: 245.5 - 247°C

Form: Free

Example 727

Structure



Crystalline form: Colorless prisms

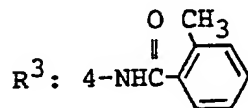
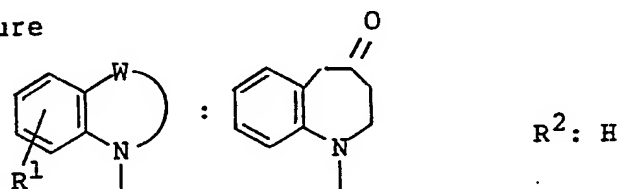
Recrystallization solvent: Ethanol/petroleum ether

Melting Point: 142 - 144°C

Form: Free

Example 728

Structure



Crystalline form: Light yellow prisms

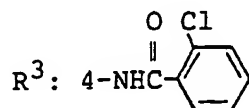
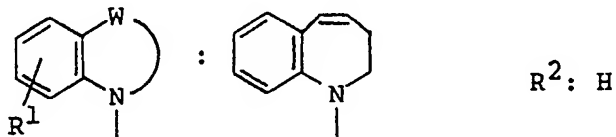
Recrystallization solvent: Ethanol

Melting Point: 214 - 217°C

Form: Free

Example 729

Structure



Crystalline form: Colorless needles

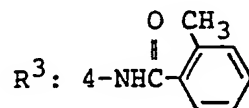
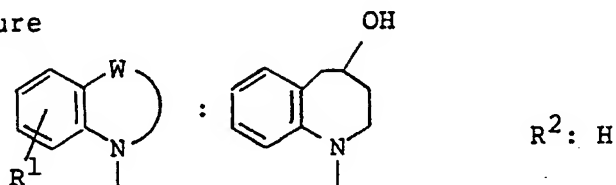
Recrystallization solvent: Ethanol

Melting Point: 205 - 207°C

Form: Free

Example 730

Structure



Crystalline form: Colorless needles

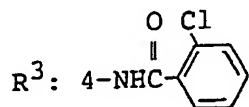
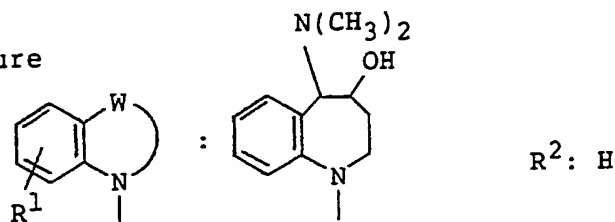
Recrystallization solvent: Ethanol/diethyl ether

Melting Point: 201 - 203°C

Form: Free

Example 731

Structure



Crystalline form: Colorless needles

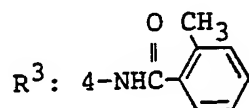
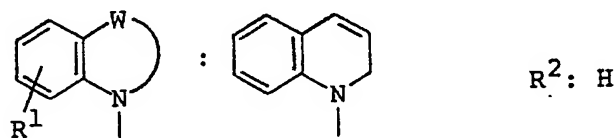
Recrystallization solvent: Ethanol/diethyl ether

Melting Point: 180 - 182°C

Form: Free

Example 732

Structure



Crystalline form: Light yellow scales

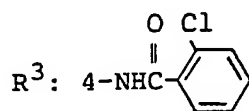
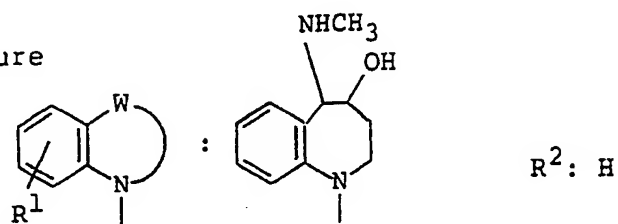
Recrystallization solvent: Ethanol/diethyl ether

Melting Point: 178 - 180°C

Form: Free

Example 733

Structure



Crystalline form: Colorless needles

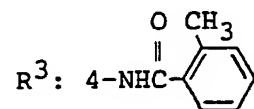
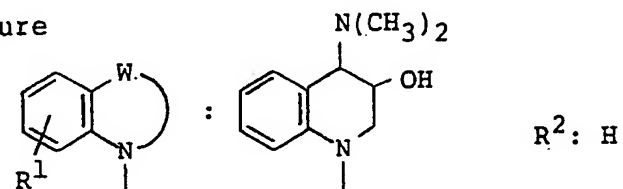
Recrystallization solvent: Methanol/diethyl ether

Melting Point: 208 - 213°C

Form: Free

Example 734

Structure



Crystalline form: White powder

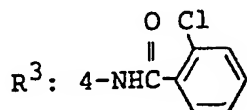
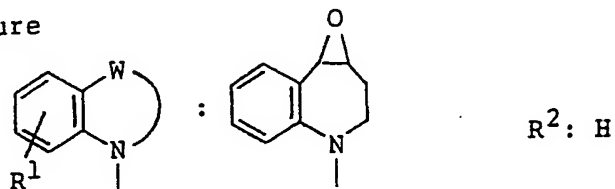
Recrystallization solvent: Ethanol/diethyl ether

Melting Point: 175 - 177°C

Form: Free

Example 735

Structure



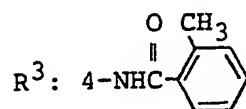
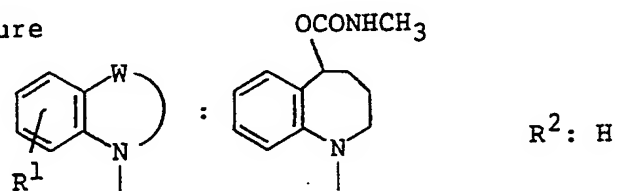
Crystalline form: White powder

NMR analysis: 131)

Form: Free

Example 736

Structure



Crystalline form: White powder

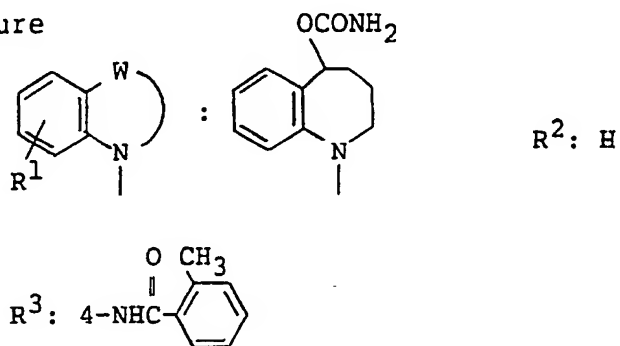
Recrystallization solvent: Ethyl acetate/n-hexane

Melting Point: 277 - 279°C

Form: Free

Example 737

Structure



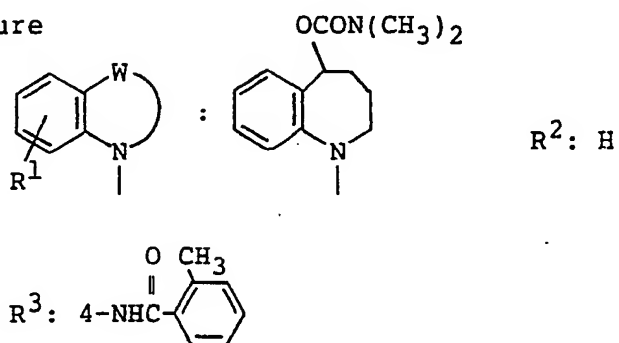
Crystalline form: Colorless amorphous

NMR analysis: 132)

Form: Free

Example 738

Structure



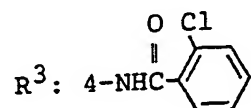
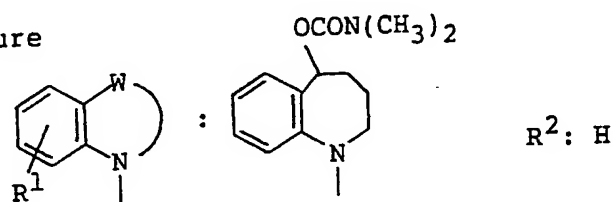
Crystalline form: Colorless amorphous

NMR analysis: 133)

Form: Free

Example 739

Structure



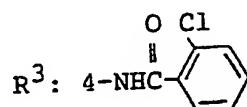
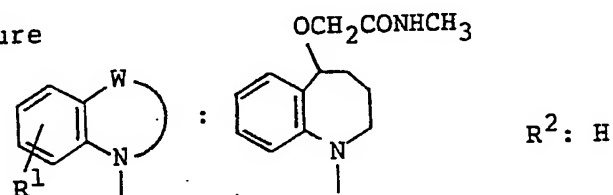
Crystalline form: Colorless amorphous

NMR analysis: 134)

Form: Free

Example 740

Structure



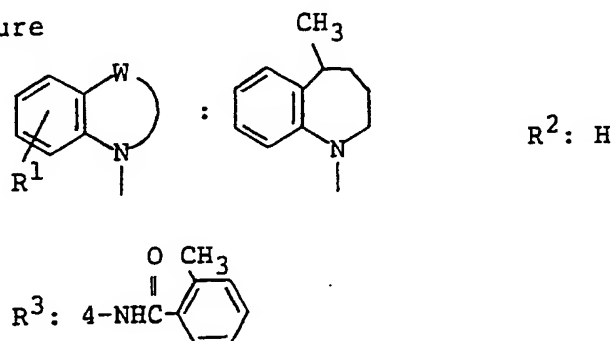
Crystalline form: Colorless amorphous

NMR analysis: 135)

Form: Free

Example 741

Structure



Crystalline form: White powder

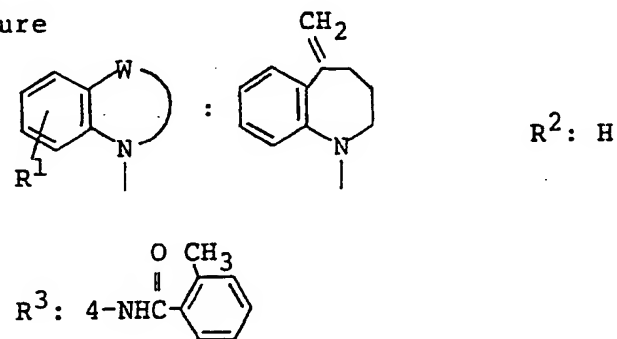
Recrystallization solvent: Ethyl acetate/n-hexane

Melting Point: 213 - 214°C

Form: Free

Example 742

Structure



Crystalline form: White powder

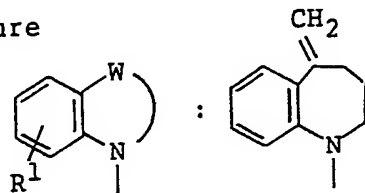
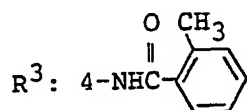
Recrystallization solvent: Ethyl acetate/n-hexane

Melting Point: 216 - 217°C

Form: Free

Example 743

Structure

R²: 2-Cl

Crystalline form: White powder

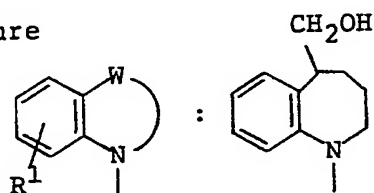
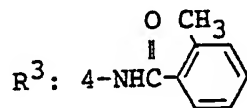
Recrystallization solvent: Ethyl acetate/n-hexane

Melting Point: 165 - 167°C

Form: Free

Example 744

Structure

R²: H

Crystalline form: White powder

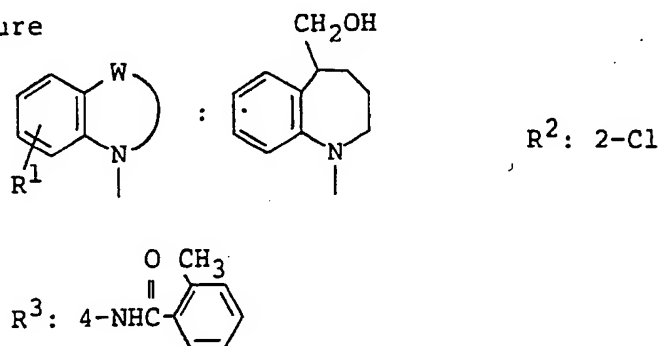
Recrystallization solvent: Ethyl acetate/n-hexane

Melting Point: 202 - 206°C

Form: Free

Example 745

Structure



Crystalline form: White powder

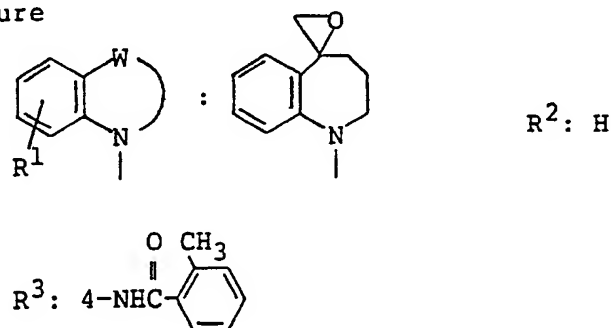
Recrystallization solvent: Ethyl acetate/n-hexane

Melting Point: 220 - 221.5°C

Form: Free

Example 746

Structure



Crystalline form: Colorless needles

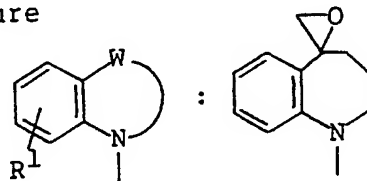
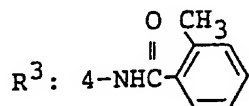
Recrystallization solvent: Ethyl acetate/n-hexane

Melting Point: 186 - 186.5°C

Form: Free

Example 747

Structure

R²: 2-Cl

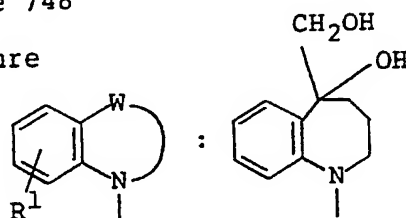
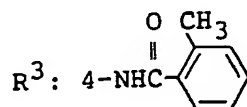
Crystalline form: Colorless amorphous

NMR analysis: 136)

Form: Free

Example 748

Structure

R²: H

Crystalline form: White powder

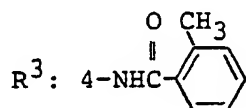
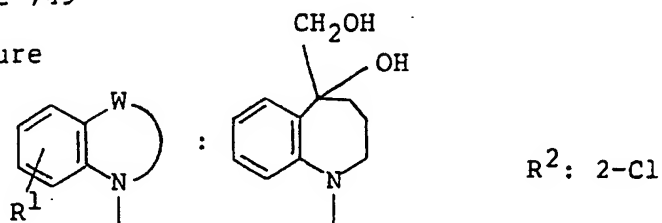
Recrystallization solvent: Ethyl acetate/n-hexane

Melting Point: 136 - 140°C

Form: Free

Example 749

Structure



Crystalline form: White powder

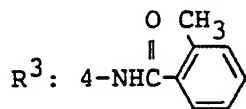
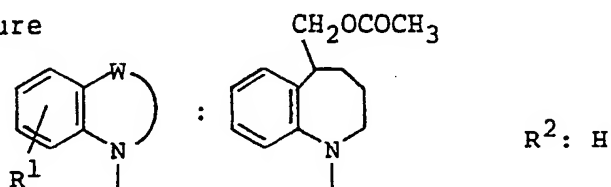
Recrystallization solvent: Ethyl acetate/n-hexane

Melting Point: 151 - 153°C

Form: Free

Example 750

Structure



Crystalline form: Colorless needles

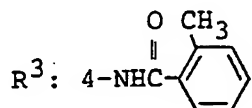
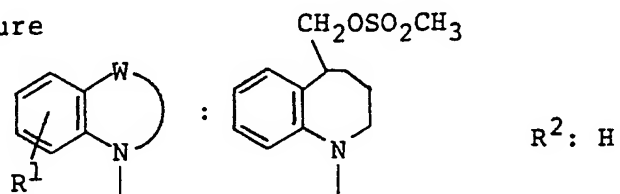
Recrystallization solvent: Ethyl acetate/n-hexane

Melting Point: 155 - 156°C

Form: Free

Example 751

Structure



Crystalline form: White powder

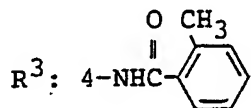
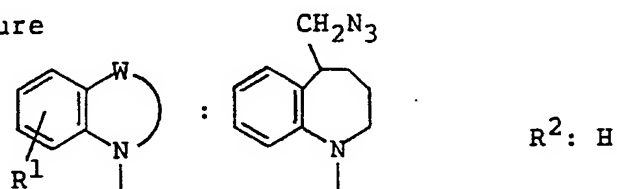
Recrystallization solvent: Ethyl acetate/n-hexane

Melting Point: 189 - 190°C

Form: Free

Example 752

Structure



Crystalline form: White powder

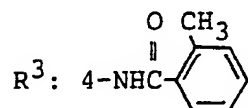
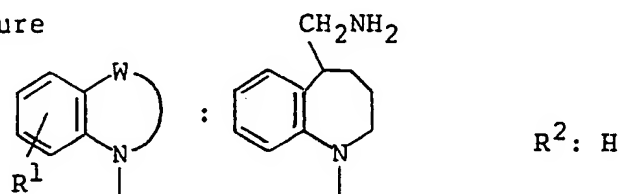
Recrystallization solvent: Ethyl acetate/n-hexane

Melting Point: 188 - 190°C

Form: Free

Example 753

Structure



Crystalline form: Colorless needles

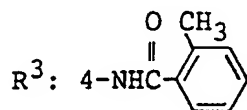
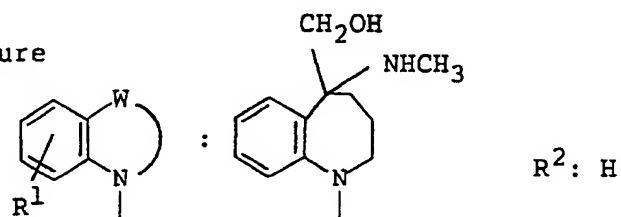
Recrystallization solvent: Ethanol

Melting Point: 233 - 235°C

Form: Free

Example 754

Structure



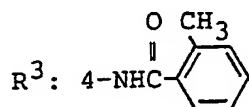
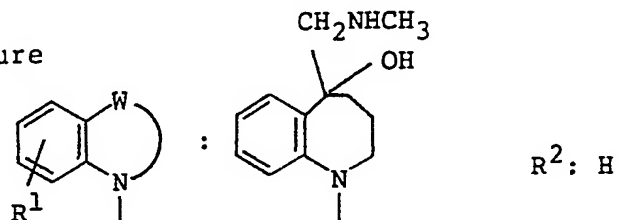
Crystalline form: Colorless amorphous

NMR analysis: 137)

Form: Free

Example 755

Structure



Crystalline form: White powder

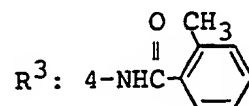
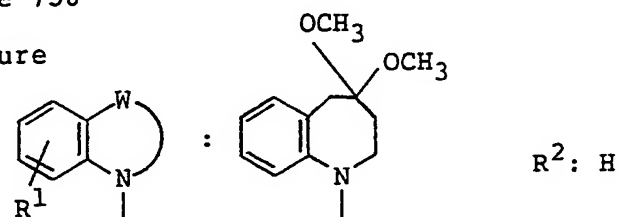
Recrystallization solvent: Ethyl acetate/n-hexane

Melting Point: 176 - 179°C

Form: Free

Example 756

Structure



Crystalline form: Colorless needles

Recrystallization solvent: Methanol/diethyl ether

Melting Point: 183 - 185°C

Form: Free

- 117) $^1\text{H-NMR}(\text{CDCl}_3)$ δ ; 1.3-2.3 (4H, m), 3.1-3.4 (3H, m), 3.8-4.6 (2H, m), 5.0-5.3 (2H, m), 5.8-6.1 (1H, m), 6.8-8.5 (11H, m)
- 118) $^1\text{H-NMR}(\text{CDCl}_3)$ δ ; 1.6-2.2 (4H, m), 2.46, 2.53 (3H, each s), 3.1-3.5 (3H, m), 3.8-4.6 (2H, m), 5.0-5.3 (2H, m), 5.8-6.1 (1H, m), 6.8-8.0 (11H, m)
- 119) $^1\text{H-NMR}(\text{DMSO}-d_6)$ δ ; 2.33 (3H, s), 3.36 (2H, m), 3.89 (1H, m), 4.41 (1H, m), 5.07 (1H, m), 5.40 (1H, d, $J=14.8$ Hz), 6.85 (1H, d, $J=7.2$ Hz), 7.15-7.65 (11H, m), 10.35 (1H, s)
- 120) $^1\text{H-NMR}(\text{CDCl}_3)$ δ ; 1.25-5.05 (22H, m), 6.65-7.65 (11H, m), 7.75-8.25 (1H, m)
- 121) $^1\text{H-NMR}(\text{CDCl}_3)$ δ ; 1.15-5.05 (19H, m), 6.75-7.85 (11H, m), 7.85-8.25 (1H, m)
- 122) $^1\text{H-NMR}(\text{CDCl}_3)$ δ ; 1.25-2.85 (8H, m), 2.95 - 4.95 (2H, m), 6.75-7.85 (10H, m), 9.25-9.75 (1H, m)
- 123) $^1\text{H-NMR}(\text{CDCl}_3)$ δ ; 0.20-0.70 (4H, m), 0.95-2.35 (6H, m), 2.65-5.00 (2H, m), 6.75-7.90 (10H, m), 8.65-9.25 (1H, m)
- 124) $^1\text{H-NMR}(\text{CDCl}_3)$ δ ; 1.20-3.15 (11H, m), 3.45-3.70 (1H, m), 4.05-5.20 (1H, m), 6.60-7.65 (10H, m), 8.15-8.45 (2H, m)
- 125) $^1\text{H-NMR}(\text{CDCl}_3)$ δ ; 1.19 (3H, t, $J=7$ Hz), 1.25-3.25 (8H, m), 3.46 (2H, q, $J=7$ Hz), 3.40-4.10 (3H, m), 4.45-5.10 (1H, m), 6.65-7.75 (12H, m), 8.30-8.60 (1H, m)

- 126) $^1\text{H-NMR}(\text{CDCl}_3)$ δ ; 1.10-1.30 (3H, m), 1.50-2.35 (4H, m), 2.65-3.05 (2H, m), 3.35-3.60 (2H, m), 3.80-4.05 (2H, m), 4.65-5.15 (2H, m), 6.55-7.85 (12H, m), 8.35-8.65 (1H, m)
- 127) $^1\text{H-NMR}(\text{CDCl}_3)$ δ ; 1.20 (3H, t, $J=7$ Hz), 1.10-3.15 (11H, m), 3.45-3.65 (3H, m), 3.88 (2H, s), 3.95-5.15 (1H, m), 6.55-7.65 (13H, m), 8.37 (1H, s)
- 128) $^1\text{H-NMR}(\text{CDCl}_3)$ δ ; 2.45 (3H, s), 3.40 (3H, s), 4.01 (2H, m), 4.38 (2H, m), 7.20-7.77 (13H, m)
- 129) $^1\text{H-NMR}(\text{CDCl}_3)$ δ ; 1.35-4.55 (22H, m), 6.3-7.8 (13H, m)
- 130) $^1\text{H-NMR}(\text{CDCl}_3)$ δ ; 1.10 (6H, t, $J=7$ Hz), 1.35-5.1 (23H, m), 6.55-7.8 (13H, m)
- 131) $^1\text{H-NMR}(\text{CDCl}_3)$ δ ; 1.94-3.21 (3H, m), 3.30-4.82 (3H, m), 6.57 (1H, d, $J=7.5$ Hz), 6.86-8.10 (11H, m), 8.72 (1H, brs)
- 132) $^1\text{H-NMR}(\text{DMSO}-d_6)$ δ ; 1.57-1.85 (2H, m), 1.85-2.28 (2H, m), 2.33 (3H, s), 2.64-2.86 (1H, m), 4.53-5.07 (1H, m), 5.79-5.94 (1H, m), 6.47-7.68 (2H, br), 6.64-6.77 (1H, m), 6.96-7.62 (12H, m)
- 133) $^1\text{H-NMR}(\text{CDCl}_3)$ δ ; 1.61-1.97 (2H, m), 2.00-2.54 (2H, m), 2.47 (3H, s), 2.60-3.23 (7H, m), 4.76-5.22 (1H, m), 5.94-6.19 (1H, m), 6.61-6.74 (1H, m), 6.91-7.62 (12H, m)
- 134) $^1\text{H-NMR}(\text{CDCl}_3)$ δ ; 1.68-1.97 (2H, m), 2.03-2.53 (2H, m), 2.61-3.24 (7H, m), 4.76-5.22 (1H, m), 5.97-6.17

- (1H, m), 6.59-6.74 (1H, m), 6.92-7.13 (1H, m),
7.13-7.58 (9H, m), 7.66-7.85 (1H, m), 7.85-8.00
(1H, m)
- 135) $^1\text{H-NMR}(\text{CDCl}_3)$ δ ; 1.57-1.93 (2H, m), 1.93-2.54 (2H, m), 2.54-2.72 (1H, m), 2.79-3.09 (3H, m), 3.90-4.32 (2H, m), 4.49-5.18 (2H, m), 6.31-6.93 (2H, m), 6.96-7.63 (10H, m), 7.63-7.89 (1H, m), 7.89-8.16 (1H, m)
- 136) $^1\text{H-NMR}(\text{CDCl}_3)$ δ ; 1.44-1.95 (2H, m), 1.95-2.28 (2H, m), 2.40-2.67 (3H, m), 2.73-3.38 (3H, m), 3.40-3.97 (1H, m), 4.50-5.20 (1H, m), 6.67-8.11 (11H, m)
- 137) $^1\text{H-NMR}(\text{CDCl}_3)$ δ ; 1.50-2.10 (3H, m), 2.10-2.28 (1H, m), 2.36 (3H, s), 2.48 (3H, s), 2.68-2.97 (1H, m), 3.26-3.47 (1H, m), 4.16 (1H, d, $J=13.8$ Hz), 4.25 (1H, d, $J=13.8$ Hz), 5.95 (1H, brs), 6.60-6.76 (1H, m), 6.97-7.52 (8H, m), 7.52-7.73 (2H, m), 7.73-7.97 (2H, m)

Example 757

A mixture of 5-dimethylamino-1-[4-(2-chlorobenzoyl-amino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine (10 g), methyl iodide (1.7 ml) and chloroform (10 ml) is heated with stirring at 100°C for 3 hours in an autoclave. After completion of the reaction, the solvent is distilled off under reduced pressure and the resulting residue is dissolved in methanol. The mixture is treated with IRA-400 (trade mark; Organo Co., Ltd., OH^- type). Methanol is

distilled off and the resulting residue is suspended in t-butyl alcohol (90 ml), and thereto is added potassium t-butoxide (2.3 g). The mixture is refluxed for 5 hours. The solvent is distilled off under reduced pressure, and the resulting residue is dissolved in dichloromethane. The mixture is washed successively with water and saturated saline solution and dried over magnesium sulfate. The solvent is distilled off and to the resulting residue is added dichloromethane/diethyl ether. The precipitated crude crystal is recrystallized from ethanol to give 1-[4-(2-chlorobenzoylamino)benzoyl]-2,3-dihydro-1H-benzazepine (5.15 g) as colorless needles, m.p. 205 - 207°C.

Example 758

1-[4-(2-Chlorobenzoylamino)benzoyl]-2,3-dihydro-1H-benzazepine (4.7 g) is dissolved in dichloromethane (50 ml) and thereto is added 80 % m-chloroperbenzoic acid (3 g). The mixture is stirred at room temperature overnight. The dichloromethane layer is washed successively with saturated aqueous sodium hydrogen carbonate solution and saturated saline solution, and the solvent is distilled off under reduced pressure. The resulting residue is purified by silica gel column chromatography (eluent; dichloromethane : methanol = 50 : 1) to give 4,5-epoxy-1-[4-(2-chlorobenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine (4.26 g) as white powder.

$^1\text{H-NMR}(\text{CDCl}_3)$ δ ; 1.94-3.21 (3H, m), 3.30-4.82 (3H,

m), 6.57 (1H, d, J=7.5 Hz), 6.86-8.10 (11H, m), 8.72 (1H, brs)

Example 759

A mixture of 4,5-epoxy-1-[4-(2-chlorobenzoylamino)-benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine (0.5 g), dimethylamine hydrochloride (2.6 g), triethylamine (4.5 g) and methanol (15 ml) is refluxed for 19 hours. After completion of the reaction, the solvent is distilled off and the resulting residue is dissolved in dichloromethane. The mixture is washed successively with water and saturated saline solution. The solvent is distilled off and the resulting residue is purified by silica gel column chromatography (eluent; dichloromethane : methanol = 50 : 1), and recrystallized from ethanol/diethyl ether to give trans-5-dimethylamino-4-hydroxy-1-[4-(2-chlorobenzoylamino)-benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine (0.38 g) as colorless needles, m.p. 180 - 182°C.

Using the suitable starting materials, the compounds of the above Examples 733 and 734 are obtained in the same manner as in Example 759.

Example 760

Methyltriphenylphosphonium bromide (4.30 g) is suspended in tetrahydrofuran (100 ml) and thereto is added potassium t-butoxide (1.58 g) under ice-cooling. The mixture is stirred at -5°C for 1 hour and thereto is added 5-oxo-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-

tetrahydro-1H-benzazepine (1.60 g) and the mixture is stirred at room temperature for 1 hour. The reaction solution is poured into ice-water (200 ml) and extracted with ethyl acetate. The extract is washed with saturated saline solution and dried over magnesium sulfate. The solvent is distilled off and the resulting residue is purified by silica gel column chromatography (eluent; ethyl acetate : n-hexane = 1 : 2), and recrystallized from ethyl acetate/n-hexane to give 5-methylidene-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine (1.34 g) as white powder, m.p. 216 - 217°C.

Using the suitable starting materials, the compound of the above Example 743 is obtained in the same manner as in Example 760.

Example 761

5-Methylidene-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine (2.84 g) is suspended in tetrahydrofuran (50 ml) and thereto is added 1 M solution of boran-tetrahydrofuran complex in tetrahydrofuran (43 ml). The mixture is stirred at room temperature for 6 hours. After completion of the reaction, the reaction solution is cooled with ice, and thereto is added water (70 ml). After termination of the evolution of hydrogen gas, to the reaction solution are added 25 % aqueous sodium hydroxide solution (7.0 ml), and subsequently 31 % aqueous hydrogen peroxide solution (4.7 ml), and the mixture is heated with

stirring at 50°C for 1 hour. After cooling, to the reaction solution is added saturated saline solution and the tetrahydrofuran layer is collected, washed with saturated saline solution and dried over magnesium sulfate. The solvent is distilled off and the resulting residue is recrystallized from ethyl acetate/n-hexane to give 5-hydroxymethyl-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine (1.96 g) as white powder, m.p. 202 - 206°C.

Using the suitable starting materials, the compound of the above Example 745 is obtained in the same manner as in Example 761.

Example 762

5-Methylidene-1-[2-chloro-4-(2-methylbenzoylamino)-benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine (0.81 g) is dissolved in dichloromethane (30 ml) and thereto is added m-chloroperbenzoic acid (0.57 g). The mixture is stirred at room temperature for 15 hours. After completion of the reaction, the reaction solution is washed successively with aqueous sodium hydrogensulfite solution, aqueous sodium hydrogen carbonate solution and saturated saline solution, and dried over magnesium sulfate. The solvent is distilled off and the resulting residue is purified with silica gel column chromatography (eluent; ethyl acetate : n-hexane = 2 : 3) to give 5,5-epoxy-1-[2-chloro-4-(2-methylbenzoylamino)-benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine (0.70 g) as

colorless amorphous.

$^1\text{H-NMR}(\text{CDCl}_3)$ δ ; 1.44-1.95 (2H, m), 1.95-2.28 (2H, m), 2.40-2.67 (3H, m), 2.73-3.38 (3H, m), 3.40-3.97 (1H, m), 4.50-5.20 (1H, m), 6.67-8.11 (11H, m)

Using the suitable starting materials, the compound of the above Example 746 is obtained in the same manner as in Example 762.

Example 763

To 5-methylidene-1-[4-(2-methylbenzoylamino)-benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine (0.60 g) are added successively t-butyl alcohol (6.0 ml), water (1.2 ml), pyridine (0.3 ml), osmium tetroxide (1.2 mg) and trimethylamine N-oxide dihydrate (0.22 g), and the mixture is refluxed with stirring for 2.5 hours. After cooling, to the reaction solution is added 20 % aqueous sodium hydrogen-sulfite solution (10 ml), and the mixture is stirred at room temperature for 1.5 hour. The reaction solution is extracted with a mixture of ethyl acetate/tetrahydrofuran (1:1). The extract is washed successively with diluted hydrochloric acid and saturated saline solution, and dried over magnesium sulfate. The solvent is distilled off and the resulting residue is recrystallized from ethyl acetate/n-hexane to give 5-hydroxymethyl-5-hydroxy-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine (0.55 g) as white powder, m.p. 136 - 140°C.

Using the suitable starting materials, the compound

of the above Example 749 is obtained in the same manner as in Example 763.

Example 764

To 5-hydroxymethyl-1-[4-(2-methylbenzoylamino)-benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine (0.40 g) are added acetic anhydride (4.0 ml) and pyridine (0.5 ml), and the mixture is stirred at room temperature for 5 hours. After completion of the reaction, the reaction solution is poured into ice-water and extracted with ethyl acetate. The extract is washed successively with diluted hydrochloric acid and saturated saline solution, and dried over magnesium sulfate. The solvent is distilled off and the resulting residue is recrystallized from ethyl acetate/n-hexane to give 5-acetyloxymethyl-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine (0.43 g) as colorless needles, m.p. 155 - 156°C.

Example 765

5-Hydroxymethyl-1-[4-(2-methylbenzoylamino)-benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine (0.70 g) is dissolved in a mixture (30 ml) of dichloromethane/acetonitrile (1:1) and thereto are added methanesulfonyl chloride (0.8 ml) and pyridine (1.0 ml), and the mixture is refluxed with stirring for 2 hours. After cooling, the reaction solution is evaporated under reduced pressure and to the resulting residue is added water and then extracted with ethyl acetate. The extract is washed successively with

diluted hydrochloric acid and saturated saline solution, and dried over magnesium sulfate. The solvent is distilled off and the resulting residue is recrystallized from ethyl acetate/n-hexane to give 5-methanesulfonyloxymethyl-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine (0.72 g) as white powder, m.p. 189 - 190°C.

Example 766

5-Methanesulfonyloxymethyl-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine (0.49 g) is dissolved in a mixture (25 ml) of acetonitrile/dimethylformamide (4:1) and thereto is added sodium azide (0.11 g). The mixture is refluxed with stirring for 3.5 hours. After cooling, the reaction solution is poured into ice-water (40 ml), extracted with ethyl acetate, washed with saturated saline solution, and dried over magnesium sulfate. The solvent is distilled off and the resulting residue is purified by silica gel column chromatography (eluent; ethyl acetate : n-hexane = 1 : 2), and recrystallized from ethyl acetate/n-hexane to give 5-azidomethyl-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine (0.29 g) as white powder, m.p. 188 - 189°C.

Example 767

5-Azidomethyl-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine (0.27 g) is suspended in ethanol (50 ml) and the mixture is subjected to catalytic

hydrogenation at room temperature under 3 kg/cm² for 6 hours by using 10 % Pd-C (27 mg). The catalyst is removed by filtration with celite and the filtrate is distilled off and the resulting residue is recrystallized from ethanol to give 5-aminomethyl-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine (0.12 g) as colorless needles, m.p. 233 - 235°C.

Example 768

To 5,5-epoxy-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine (0.30 g) is added 30 % solution of methylamine in methanol (30 ml), and the mixture is refluxed for 14 hours. After completion of the reaction, the reaction solution is evaporated under reduced pressure and the resulting residue is purified by silica gel column chromatography (eluent; ethyl acetate : n-hexane = 1 : 1 + dichloromethane : methanol : aqueous ammonia = 60 : 10 : 1) to give 5-hydroxymethyl-5-methylamino-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine (A; 35.3 mg) and 5-methylaminomethyl-5-hydroxy-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine (B; 109 mg).

(A); Colorless amorphous

¹H-NMR(CDCl₃) δ ; 1.50-2.10 (3H, m), 2.10-2.28 (1H, m), 2.36 (3H, s), 2.48 (3H, s), 2.68-2.97 (1H, m), 3.26-3.47 (1H, m), 4.16 (1H, d, J=13.8 Hz), 4.25 (1H, d, J=13.8 Hz), 5.95 (1H, brs), 6.60-6.76 (1H, m), 6.97-7.52 (8H, m), 7.52-

7.73 (2H, m), 7.73-7.97 (2H, m)

(B); White powder (recrystallized from ethyl acetate/
n-hexane)

m.p. 176 - 179°C

Example 769

5-Methylamino-1-[4-(2-methylbenzoylamino)benzoyl]-
2,3,4,5-tetrahydro-1H-benzazepine (1 g) is dissolved in
dimethylformamide (10 ml) and thereto are added potassium
carbonate (0.5 g) and ethyl iodide (0.45 g). The mixture is
stirred at room temperature overnight. After completion of
the reaction, the reaction solution is poured into ice-water
and the precipitated crystal is collected by filtration, and
purified by silica gel column chromatography (eluent;
dichloromethane : methanol = 90 : 1), and recrystallized
from diisopropyl alcohol/petroleum ether to give 5-(N-
methyl-N-ethylamino)-1-[4-(2-methylbenzoylamino)benzoyl]-
2,3,4,5-tetrahydro-1H-benzazepine (50 mg) as white powder,
m. p. 192 - 193°C.

Using the suitable starting materials, the
compounds of the above Examples 244, 246 - 248, 330, 339,
342, 346, 350, 366, 375, 376, 406 - 418, 453, 455, 457, 460,
464, 467, 506, 507, 537 - 545, 547, 549 - 556, 561 - 566,
568 - 571, 577, 601 - 603, 607 - 625, 654 - 672, 675, 677 -
681, 691 - 695, 697, 698, 701 - 705, 707, 708, 712, 713,
715, 716, 719, 720 and 722 - 725 are obtained in the same
manner as in Example 769.

Example 770

To a suspension of 5-methylamino-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine (3 g) in methanol (30 ml) are added potassium carbonate (1.5 g) and epichlorohydrine (5.7 ml), and the mixture is refluxed for 3 hours. The solvent is distilled off and to the resulting residue is added water and extracted three times with dichloromethane. The extract is washed with saturated saline solution and dried over magnesium sulfate. The resulting residue is purified by silica gel column chromatography (eluent; dichloromethane : methanol = 80 : 1) to give 5-(N-methyl-N-oxiranylmethylamino)-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine (C; 1.92 g) and 5-[N-methyl-N-(2-hydroxy-3-methoxypropyl)amino]-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine (D; 0.38 g).

(C); Colorless needles (recrystallization from ethyl acetate)

m.p. 239 - 240°C

(D); Colorless amorphous

¹H-NMR(CDCl₃) δ ; 1.35-4.55 (22H, m), 6.3-7.8 (13H, m)

Example 771

5-[N-Methyl-N-oxiranylmethylamino)-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine (0.5 g) is dissolved in methanol (10 ml) and thereto is added

diethylamine (0.13 ml). The mixture is refluxed for 3 hours. After completion of the reaction, the solvent is distilled off and the resulting residue is purified by silica gel column chromatography (eluent; dichloromethane : methanol = 30 : 1 + dichloromethane : methanol : aqueous ammonia = 9 : 1 : 0.1) to give 5-[N-methyl-N-(2-hydroxy-3-diethylaminopropyl)amino]-1-[4-(2-methylbenzoylamino)-benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine (0.38 g) as colorless amorphous.

$^1\text{H-NMR}(\text{CDCl}_3)$ δ ; 1.10 (6H, t, J=7 Hz), 1.35-5.1 (23H, m), 6.55-7.8 (13H, m)

Example 772

A solution of 5-hydroxyimino-1-[4-(2-chlorobenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine (1.06 g) in acetic anhydride (10 ml) and pyridine (10 ml) is stirred at room temperature overnight. After completion of the reaction, the reaction solution is concentrated. To the resulting residue is added water and the mixture is extracted with dichloromethane. The extract is washed with saturated saline solution and dried over magnesium sulfate. The solvent is distilled off and the resulting residue is purified by silica gel column chromatography (eluent; dichloromethane : methanol = 80 : 1), and recrystallized from ethanol/petroleum ether to give 5-acetyloxyimino-1-[4-(2-chlorobenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine (0.75 g) as colorless prisms, m.p.

142 - 144°C.

Example 773

Using the suitable starting materials, the compounds of the above Examples 671 and 672 are obtained in the same manner as in Example 380.

Example 774

Using the suitable starting materials, the compounds of the above Examples 674, 699, 700, 706, 718 and 730 are obtained in the same manner as in Example 384.

Example 775

Using the suitable starting materials, the compounds of the above Examples 654 - 672, 675, 677 - 687, 691 - 695, 697, 698, 701 - 705, 707, 708, 712, 713, 715, 716 and 719 - 725 are obtained in the same manner as in Example 390.

Example 776

Using the suitable starting materials, the compounds of the above Examples 654 - 672, 675, 677 - 679, 691 - 693, 698, 701 - 705, 707, 708, 712, 713, 715, 716 and 719 - 725 are obtained in the same manner as in Example 388.

Example 777

Using the suitable starting materials, the compounds of the above Examples 705, 706 and 708 are obtained in the same manner as in Example 394.

Example 778

Using the suitable starting materials, the compound

of the above Example 671 is obtained in the same manner as in Example 397.

Example 779

Using the suitable starting materials, the compound of the above Example 672 is obtained in the same manner as in Example 402.

Example 780

Using the suitable starting materials, the compound of the above Example 726 is obtained in the same manner as in Example 634.

Example 781

Using the suitable starting materials, the compound of the above Example 740 is obtained in the same manner as in Examples 638 and 640.

Example 782

Using the suitable starting materials, the compound of the above Example 689 is obtained in the same manner as in Example 643.

Example 783

Using the suitable starting materials, the compound of the above Example 690 is obtained in the same manner as in Example 644.

Example 784

Using the suitable starting materials, the following compound is obtained in the same manner as in Examples 1, 382, 388 and 390.

5-Dimethylamino-1-[4-(2-methylbenzoylamino)-benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine hydrochloride, colorless needles (recrystallized from ethanol/water), m.p. 233 - 237°C

Reference Example 13

Using the suitable starting materials, the following compounds are obtained in the same manner as in Reference Example 1.

5-(2-Chloroacetyloxy)-1-(4-nitrobenzoyl)-2,3,4,5-tetrahydro-1H-benzazepine, white powder, m.p. 156 - 159°C (recrystallized from ethyl acetate/n-hexane)

5-(2-Dimethylaminoacetyloxy)-1-(4-nitrobenzoyl)-2,3,4,5-tetrahydro-1H-benzazepine, white powder, m.p. 108 - 109°C (recrystallized from ethyl acetate/n-hexane)

5-Oxo-7-chloro-1-(4-nitrobenzoyl)-2,3,4,5-tetrahydro-1H-benzazepine, white powder, m.p. 157.5 - 159.5°C (recrystallized from diethyl ether/dichloromethane)

5-Oxo-8-chloro-1-(4-nitrobenzoyl)-2,3,4,5-tetrahydro-1H-benzazepine, white powder, m.p. 151.5 - 153.5°C (recrystallized from diethyl ether/dichloromethane)

Reference Example 14

Using the suitable starting materials, the following compounds are obtained in the same manner as in Reference Example 2.

5-(2-Dimethylaminoacetyloxy)-1-(4-aminobenzoyl)-2,3,4,5-tetrahydro-1H-benzazepine, colorless amorphous

$^1\text{H-NMR}$ (CDCl_3) δ ; 1.63-1.98 (2H, m), 1.98-2.25 (1H, m), 2.27 (3H, s), 2.43 (3H, s), 2.65-3.23 (2H, m), 3.38 (2H, s), 3.67 (2H, brs), 4.77-5.28 (1H, m), 6.04-6.31 (1H, m), 6.31-6.56 (2H, m), 6.58-6.86 (1H, m), 6.86-7.46 (5H, m)

5-Oxo-7-chloro-1-(4-aminobenzoyl)-2,3,4,5-tetrahydro-1H-benzazepine, white powder, m.p. 193 - 193.5°C (recrystallized from diethyl ether/dichloromethane)

5-Oxo-8-chloro-1-(4-aminobenzoyl)-2,3,4,5-tetrahydro-1H-benzazepine, white powder, m.p. 171 - 174°C (recrystallized from diethyl ether/dichloromethane)

Reference Example 15

Using the suitable starting materials, the following compounds are obtained in the same manner as in Reference Example 1.

5-Dimethylaminocarbonylmethoxy-1-(4-nitrobenzoyl)-2,3,4,5-tetrahydro-1H-benzazepine, white powder, m.p. 129 - 131°C (recrystallized from ethyl acetate/n-hexane)

6-Oxo-1-(4-nitrobenzoyl)-1,2,3,4,5,6-hexahydrobenzazocine, yellow needles

$^1\text{H-NMR}$ (CDCl_3) δ ; 1.65-2.3 (4H, m), 2.5-5.2 (4H, m), 6.7-6.9 (1H, m), 7.27-7.5 (4H, m), 7.90-8.15 (3H, m)

6-Chloro-5-oxo-1-(4-nitrobenzoyl)-2,3,4,5-tetrahydro-1H-benzazepine, white powder, m.p. 198 - 202°C (recrystallized from dichloromethane/diethyl ether)

Reference Example 16

Using the suitable starting materials, the

following compounds are obtained in the same manner as in Reference Example 2.

5-Dimethylaminocarbonylmethoxy-1-(4-aminobenzoyl)-2,3,4,5-tetrahydro-1H-benzazepine, colorless amorphous

¹H-NMR (CDCl₃) δ ; 1.52-2.10 (3H, m), 2.10-3.20 (2H, m), 2.97 (3H, s), 3.05 (3H, s), 4.03-4.48 (2H, m), 4.50-5.35 (2H, m), 6.26-6.57 (2H, m), 6.57-6.88 (1H, m), 6.88-7.76 (5H, m)

6-Oxo-1-(4-aminobenzoyl)-1,2,3,4,5,6-hexahydro-benzazocine, light yellow amorphous

¹H-NMR (CDCl₃) δ ; 1.7-2.2 (4H, m), 2.5-5.2 (6H, m), 6.42 (2H, d, J=8.7 Hz), 6.75-6.9 (1H, m), 7.05-7.4 (4H, m), 7.95-8.1 (1H, m)

6-Chloro-5-oxo-1-(4-aminobenzoyl)-2,3,4,5-tetrahydro-1H-benzazepine, white powder, m.p. 166 - 169°C (recrystallized from dichloromethane/diethyl ether)

9-Chloro-5-oxo-1-(4-aminobenzoyl)-2,3,4,5-tetrahydro-1H-benzazepine, yellow powder, m.p. 192.5 - 195°C (recrystallized from dichloromethane/diethyl ether)

Reference Example 17

5-Dimethylamino-1-(2-methyl-4-nitrobenzoyl)-2,3,4,5-tetrahydro-1H-benzazepine (86.0 g) is dissolved in ethanol (800 ml), and thereto is added platinum oxide (10 g). The mixture is subjected to hydrogenation at ordinary temperature under atmospheric pressure of hydrogen for 4 hours. The catalyst is removed by filtration, and the

solvent is distilled off. The resulting residue is purified by silica gel column chromatography (eluent; dichloromethane : methanol = 200 : 1 + 100 : 1), and further purified by silica gel thin layer chromatography (developer; chloroform : methanol = 10 : 1), and recrystallized from methanol/diethyl ether to give 5-dimethylamino-1-(2-methyl-4-amino-benzoyl)-2,3,4,5-tetrahydro-1H-benzazepine (G) (Rf: 0.52, 27.4 g) and 5-dimethylamino-1-(2-methyl-4-amino-benzoyl)-2,3,4,5-tetrahydro-1H-benzazepine (H) (Rf: 0.48, 12.3 g).

(G): White powder

M.p. 154 - 156°C

$[\alpha]_D^{22} = 0^\circ$ (c=1.0, chloroform)

$^1\text{H-NMR}$ (CDCl_3) δ ; 1.10-1.50 (1H, m), 1.50-2.00 (1H, m), 2.00-2.35 (11H, m), 2.90-5.18 (5H, m), 6.00-6.76 (3H, m), 6.81-7.64 (4H, m)

(H): White powder

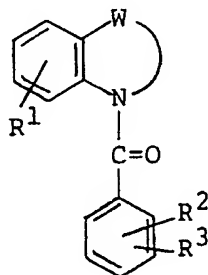
M.p. 169.5 - 170°C

$[\alpha]_D^{22} = 0^\circ$ (c=1.5, chloroform)

$^1\text{H-NMR}$ (CDCl_3) δ ; 1.11 - 2.90 (13H, m), 2.91-5.23 (5H, m), 6.15-6.53 (1H, m), 6.57-7.62 (6H, m)

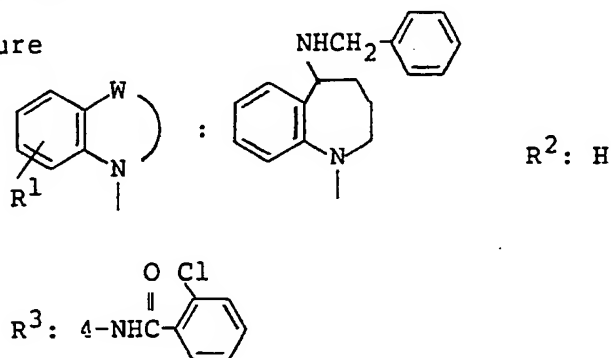
Using the suitable starting materials, the compounds of the following Table 5 are obtained in the same manner as in above Examples 1 and 382.

Table 5



Example 785

Structure



Crystalline form: Colorless needles

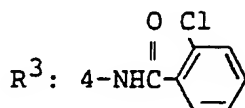
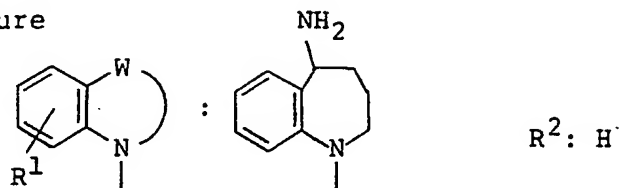
Recrystallization solvent: Ethanol

Melting Point: 174 - 175°C

Form: Free

Example 786

Structure



Crystalline form: Colorless prisms

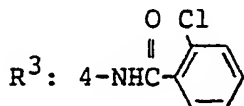
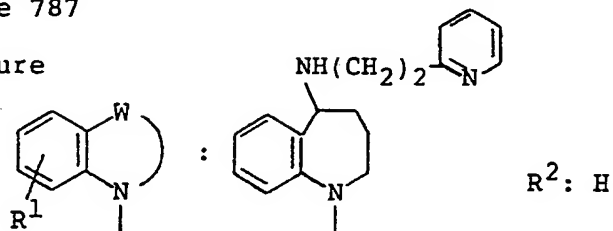
Recrystallization solvent: Ethanol/diethyl ether

Melting Point: 176 - 178°C

Form: Free

Example 787

Structure

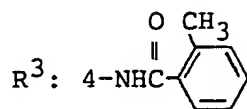
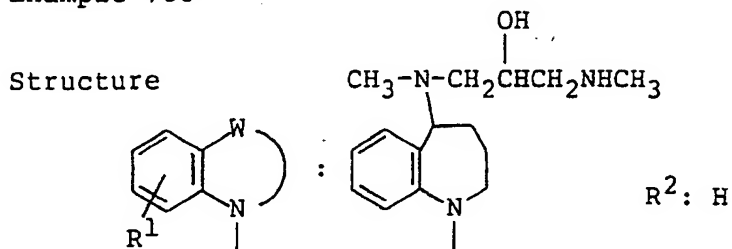


Crystalline form: Colorless prisms

Recrystallization solvent: Ethyl acetate/petroleum ether

Melting Point: 154.5 - 155°C

Form: Free

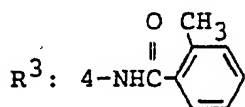
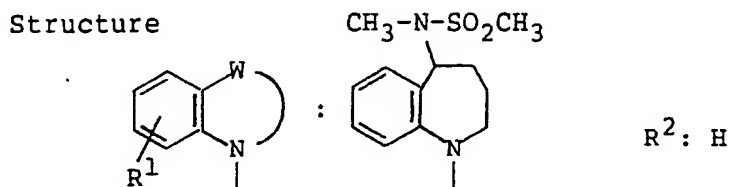
Example 788

Crystalline form: Colorless amorphous

NMR analysis: 138)

Form: Free

Example 789



Crystalline form: Colorless scales

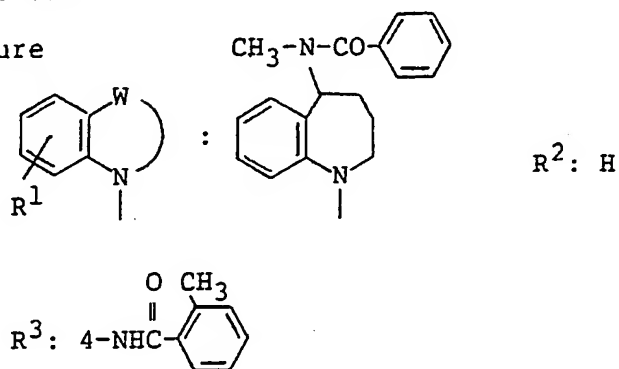
Recrystallization solvent: Ethanol

Melting Point: 197 - 198°C

Form: Free

Example 790

Structure



Crystalline form: Colorless needles

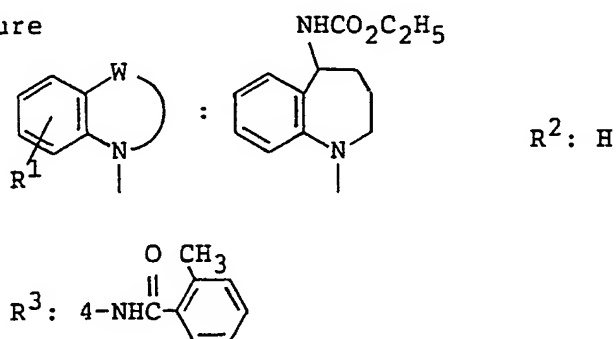
Recrystallization solvent: Ethanol

Melting Point: 248 - 249°C

Form: Free

Example 791

Structure



Crystalline form: Colorless needles

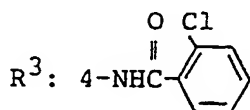
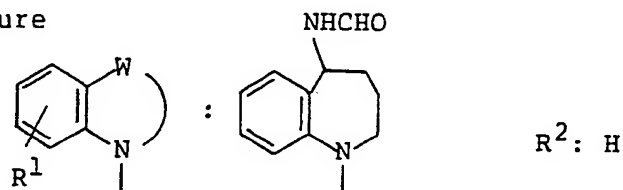
Recrystallization solvent: Ethanol/n-hexane

Melting Point: 162 - 163°C

Form: Free

Example 792

Structure



Crystalline form: Colorless prisms

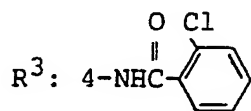
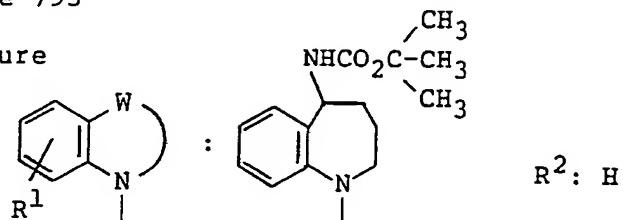
Recrystallization solvent: Ethanol/petroleum ether

Melting Point: 235 - 236.5°C

Form: Free

Example 793

Structure



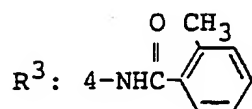
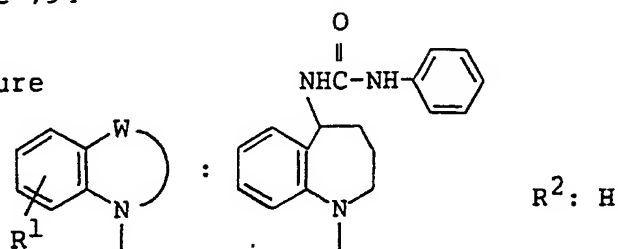
Crystalline form: Colorless amorphous

NMR analysis: 139)

Form: Free

Example 794

Structure



Crystalline form: Colorless prisms

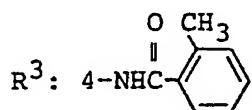
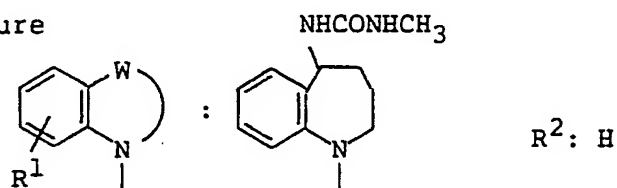
Recrystallization solvent: Dioxane

Melting Point: 269 - 271°C

Form: Free

Example 795

Structure



Crystalline form: Colorless prisms

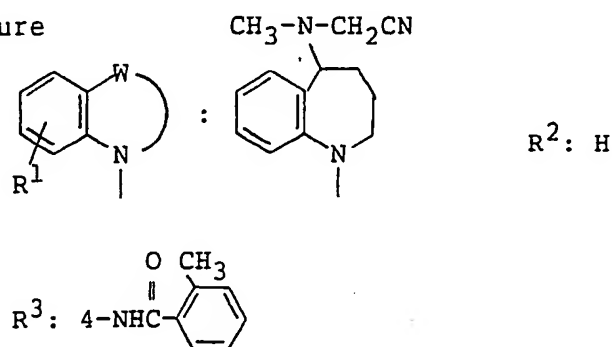
Recrystallization solvent: Dimethylformamide

Melting Point: 286 - 287°C

Form: Free

Example 796

Structure



Crystalline form: Colorless needles

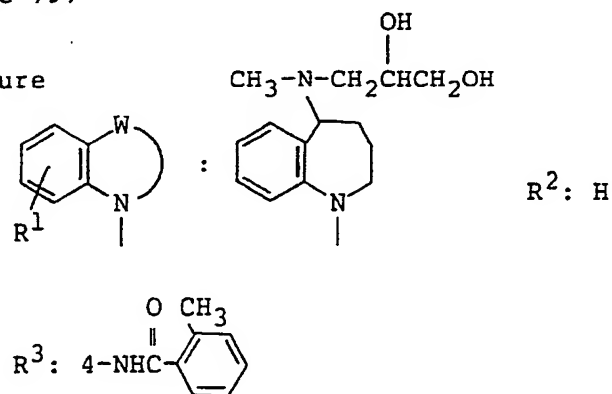
Recrystallization solvent: Acetonitrile

Melting Point: 227 - 228°C

Form: Free

Example 797

Structure



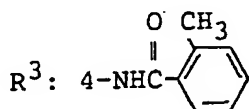
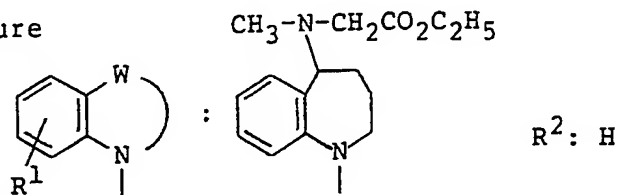
Crystalline form: Colorless amorphous

NMR analysis: 140)

Form: Free

Example 798

Structure



Crystalline form: Colorless prisms

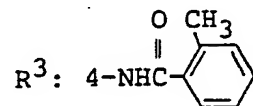
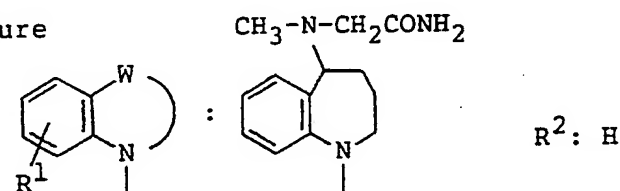
Recrystallization solvent: Ethyl acetate/petroleum ether

Melting Point: 167 - 168°C

Form: Free

Example 799

Structure

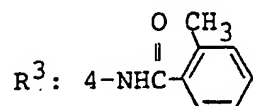
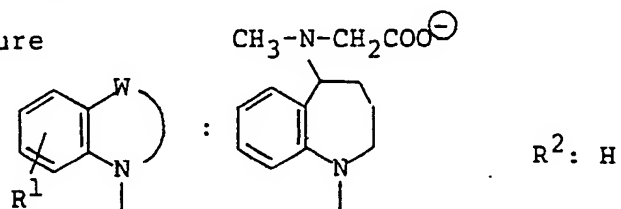


Crystalline form: Colorless amorphous

NMR analysis: 141)

Example 800

Structure



Crystalline form: Colorless needles

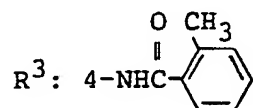
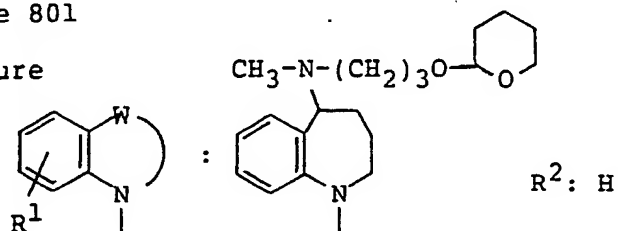
Recrystallization solvent: Diethyl ether

Melting Point: 164 - 171°C

Form: K^+

Example 801

Structure



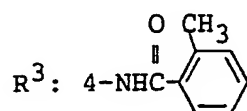
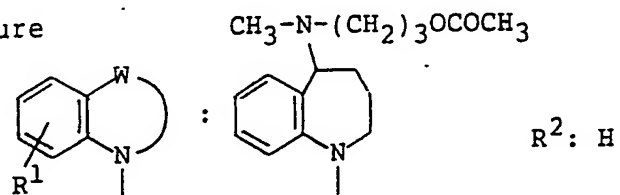
Crystalline form: Colorless amorphous

NMR analysis: 142)

Form: Free

Example 802

Structure



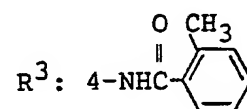
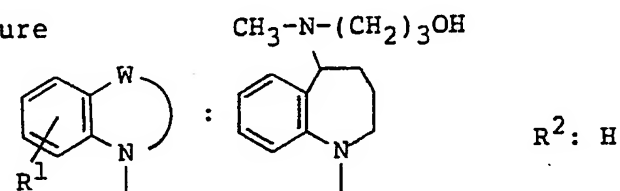
Crystalline form: Colorless amorphous

NMR analysis: 143)

Form: Free

Example 803

Structure



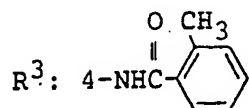
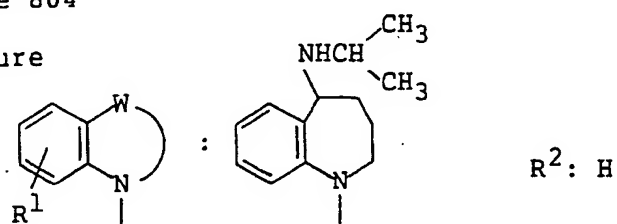
Crystalline form: Colorless amorphous

NMR analysis: 144)

Form: Free

Example 804

Structure



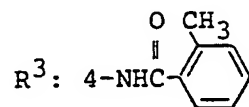
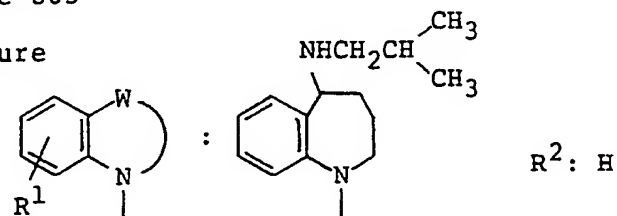
Crystalline form: Colorless amorphous

NMR analysis: 145)

Form: Free

Example 805

Structure



Crystalline form: Colorless needles

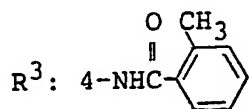
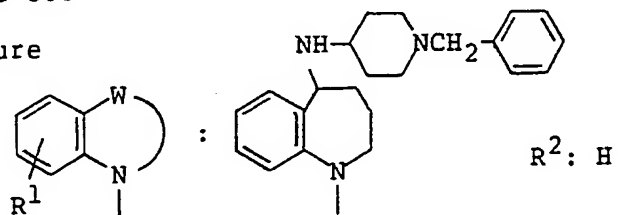
Recrystallization solvent: Ethanol

Melting Point: 207 - 208°C

Form: Free

Example 806

Structure



Crystalline form: White powder

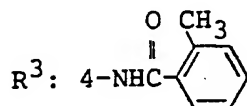
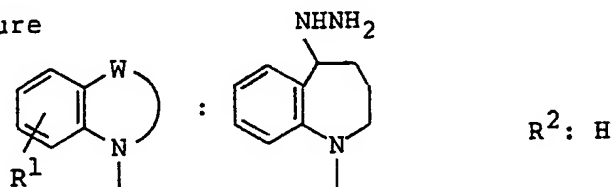
Recrystallization solvent: Dichloromethane/diethyl ether

Melting Point: 187 - 189°C

Form: Free

Example 807

Structure



Crystalline form: Colorless prisms

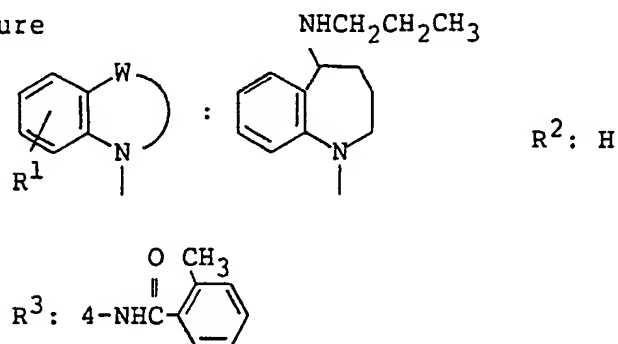
Recrystallization solvent: Ethanol/petroleum ether

Melting Point: 217 - 218°C

Form: Free

Example 808

Structure



Crystalline form: Colorless needles

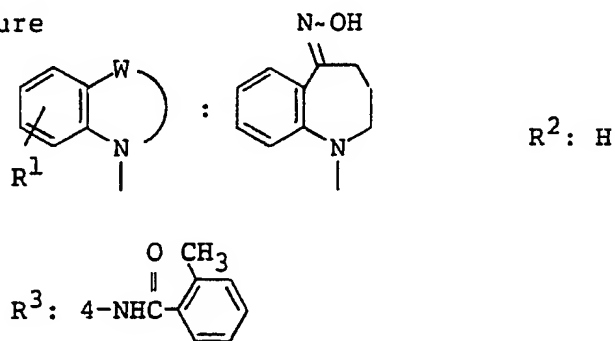
Recrystallization solvent: Ethyl acetate

Melting Point: 170 - 171°C

Form: Free

Example 809

Structure



Crystalline form: Colorless prisms

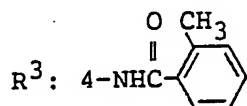
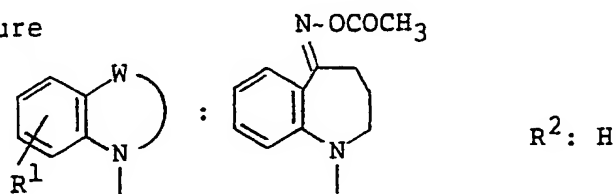
Recrystallization solvent: Ethanol

Melting Point: 239.5 - 241°C

Form: Free

Example 810

Structure



Crystalline form: Colorless needles

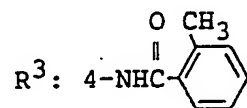
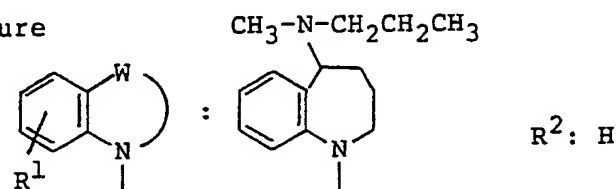
Recrystallization solvent: Ethanol

Melting Point: 190 - 191°C

Form: Free

Example 811

Structure



Crystalline form: Colorless prisms

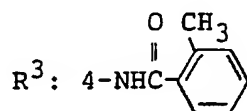
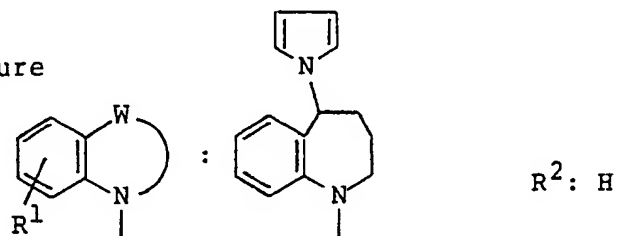
Recrystallization solvent: Diethyl ether

Melting Point: 163 - 163.5°C

Form: Free

Example 812

Structure



Crystalline form: Colorless prisms

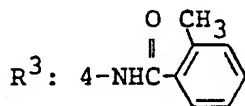
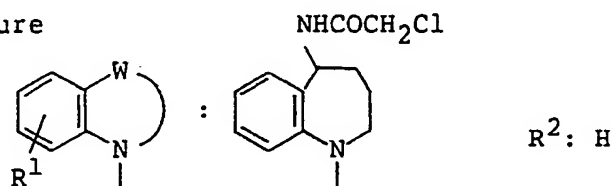
Recrystallization solvent: Ethyl acetate/n-hexane

Melting Point: 208 - 210°C

Form: Free

Example 813

Structure



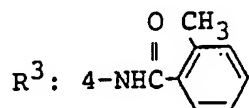
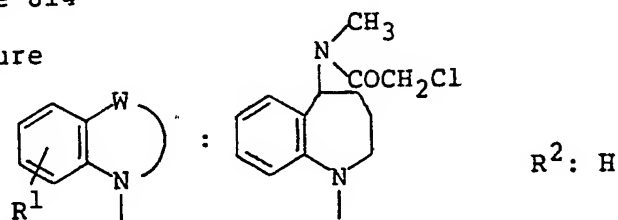
Crystalline form: White powder

NMR analysis: 146)

Form: Free

Example 814

Structure



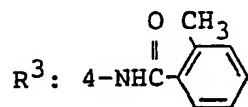
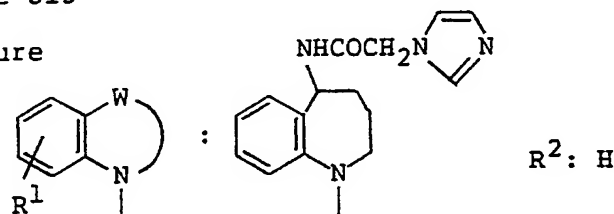
Crystalline form: Colorless amorphous

NMR analysis: 147)

Form: Free

Example 815

Structure



Crystalline form: Colorless needles

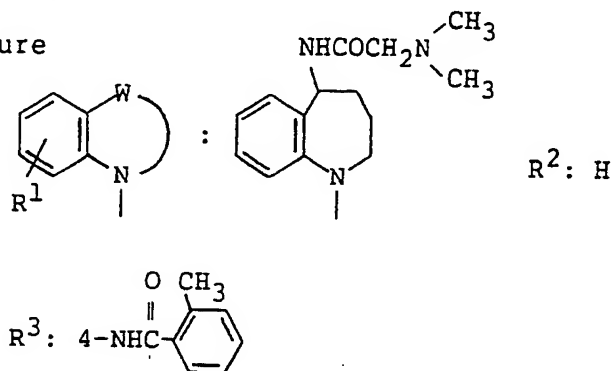
Recrystallization solvent: Ethanol/n-hexane

Melting Point: 250 - 252°C

Form: Free

Example 816

Structure



Crystalline form: Colorless prisms

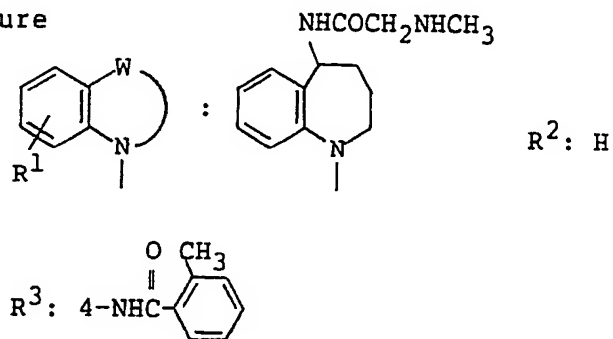
Recrystallization solvent: Ethyl acetate

Melting Point: 214 - 216°C

Form: Free

Example 817

Structure



Crystalline form: Colorless prisms

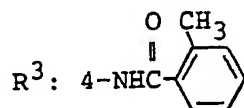
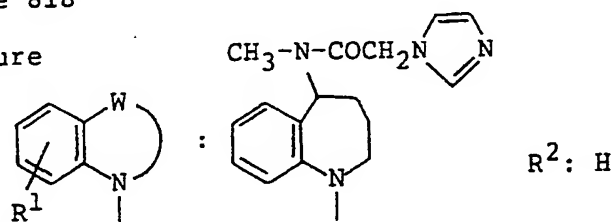
Recrystallization solvent: Ethanol/n-hexane

Melting Point: 243 - 245°C

Form: Free

Example 818

Structure



Crystalline form: Colorless prisms

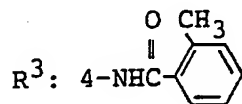
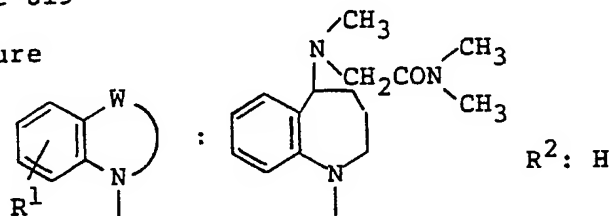
Recrystallization solvent: Diethyl ether

Melting Point: 159 - 162°C

Form: Free

Example 819

Structure



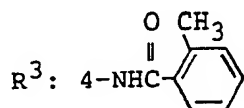
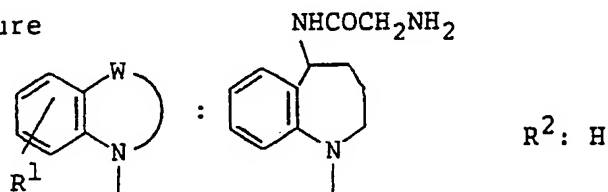
Crystalline form: Colorless amorphous

NMR analysis: 148)

Form: Free

Example 820

Structure



Crystalline form: Colorless prisms

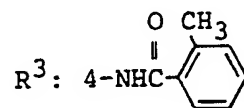
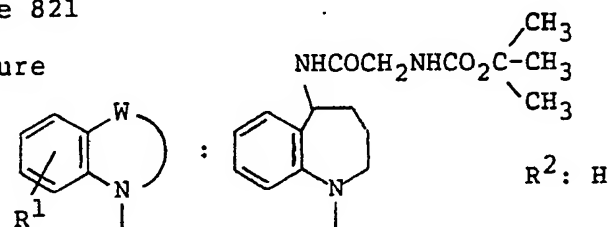
Recrystallization solvent: Ethyl acetate

Melting Point: 287 - 289°C

Form: Free

Example 821

Structure



Crystalline form: Colorless prisms

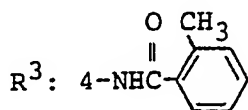
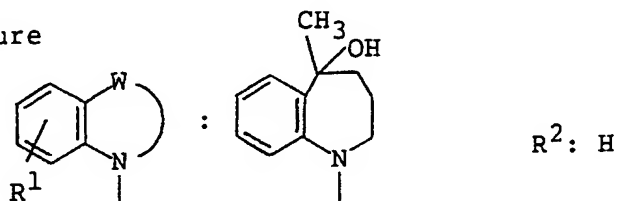
Recrystallization solvent: Diethyl ether

Melting Point: 170 - 171°C

Form: Free

Example 822

Structure



Crystalline form: White powder

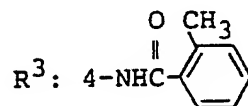
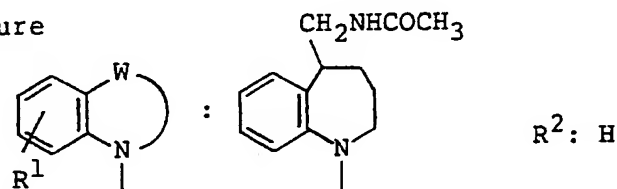
Recrystallization solvent: Ethyl acetate/n-hexane

Melting Point: 204 - 205°C

Form: Free

Example 823

Structure



Crystalline form: White powder

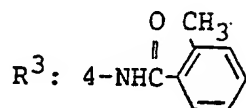
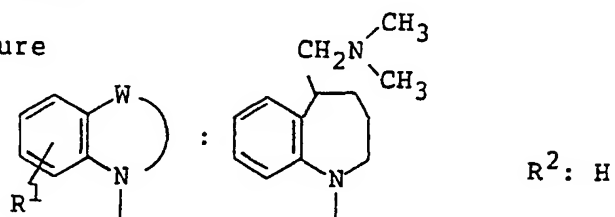
Recrystallization solvent: Ethyl acetate/n-hexane

Melting Point: 273 - 273.5°C

Form: Free

Example 824

Structure



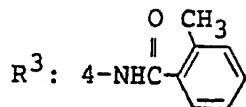
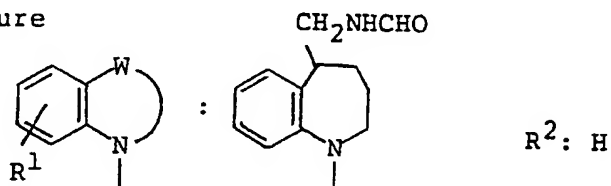
Crystalline form: Colorless amorphous

NMR analysis: 149)

Form: Free

Example 825

Structure



Crystalline form: White powder

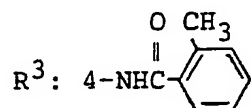
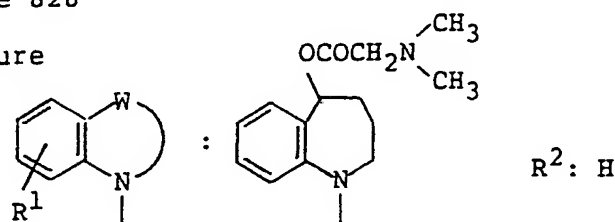
Recrystallization solvent: Ethyl acetate/n-hexane

Melting Point: 240 - 241°C

Form: Free

Example 826

Structure



Crystalline form: White powder

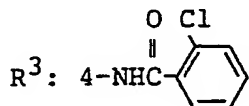
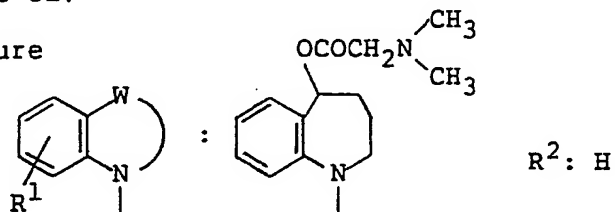
Recrystallization solvent: Acetonitrile/ethanol

Melting Point: 231 - 232°C

Form: Free

Example 827

Structure



Crystalline form: White powder

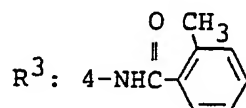
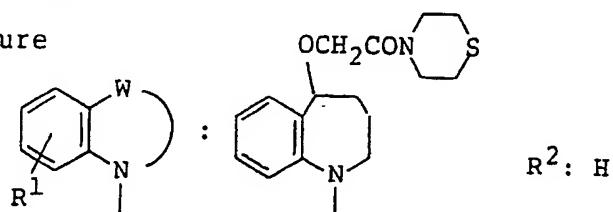
Recrystallization solvent: Acetonitrile/ethanol

Melting Point: 222 - 224°C

Form: Free

Example 828

Structure



Crystalline form: White powder

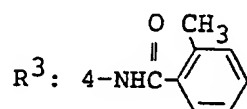
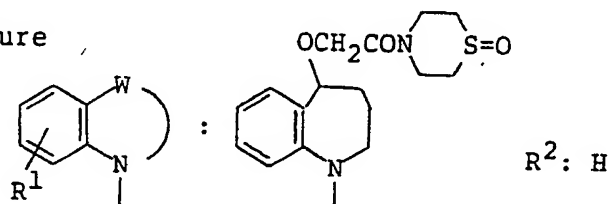
Recrystallization solvent: Ethyl acetate/n-hexane

Melting Point: 235 - 237°C

Form: Free

Example 829

Structure



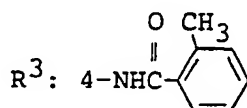
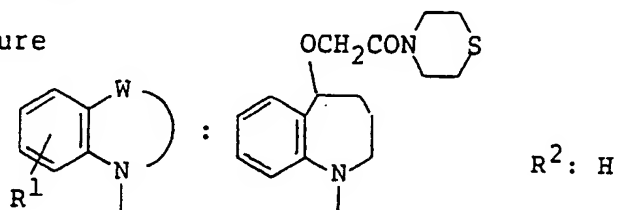
Crystalline form: Colorless amorphous

NMR analysis: 150)

Form: Free

Example 828

Structure



Crystalline form: White powder

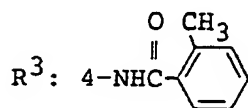
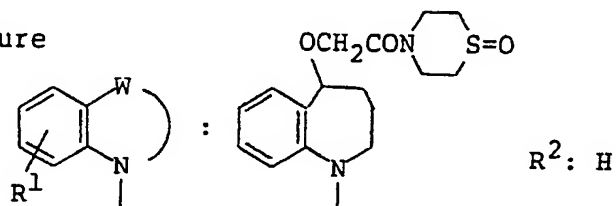
Recrystallization solvent: Ethyl acetate/n-hexane

Melting Point: 235 - 237°C

Form: Free

Example 829

Structure



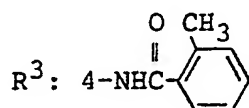
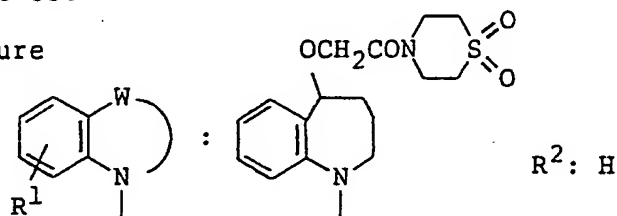
Crystalline form: Colorless amorphous

NMR analysis: 150)

Form: Free

Example 830

Structure



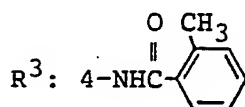
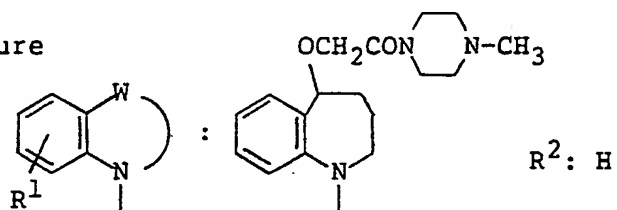
Crystalline form: Colorless amorphous

NMR analysis: 151)

Form: Free

Example 831

Structure



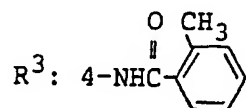
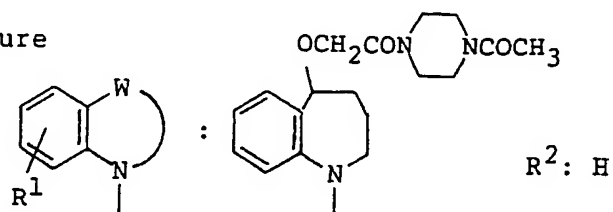
Crystalline form: Colorless amorphous

NMR analysis: 152)

Form: Free

Example 832

Structure



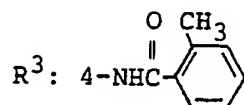
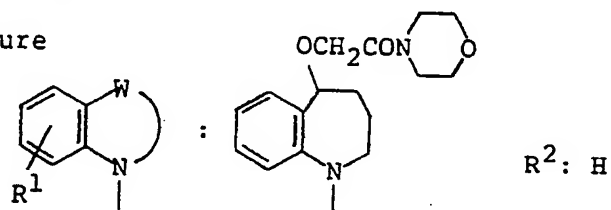
Crystalline form: Colorless amorphous

NMR analysis: 153)

Form: Free

Example 834

Structure



Crystalline form: White powder

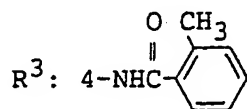
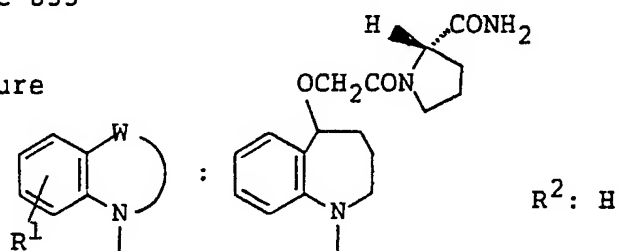
Recrystallization solvent: Ethyl acetate/n-hexane

Melting Point: 247 - 248°C

Form: Free

Example 835

Structure



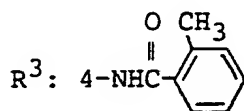
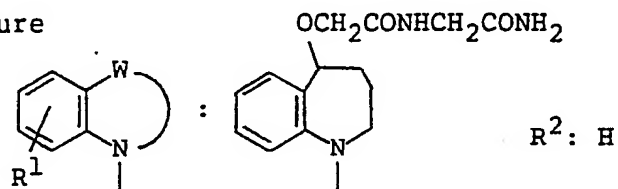
Crystalline form: Colorless amorphous

NMR analysis: 154)

Form: Free

Example 836

Structure



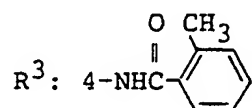
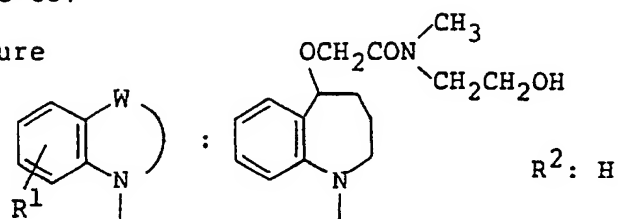
Crystalline form: Colorless amorphous

NMR analysis: 155)

Form: Free

Example 837

Structure



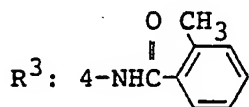
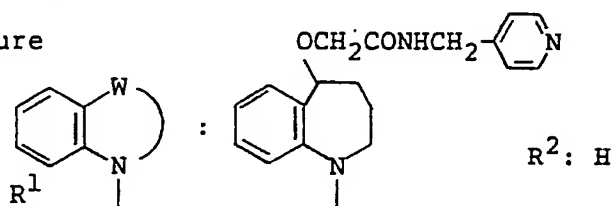
Crystalline form: Colorless amorphous

NMR analysis: 156)

Form: Free

Example 838

Structure



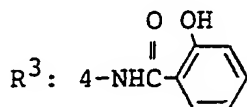
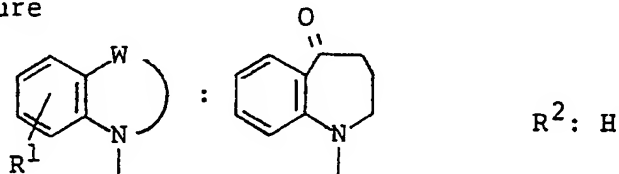
Crystalline form: Colorless amorphous

NMR analysis: 157)

Form: Free

Example 839

Structure



Crystalline form: White powder

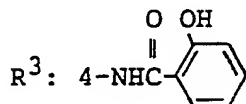
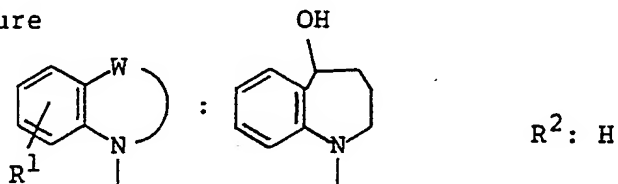
Recrystallization solvent: Ethyl acetate/n-hexane

Melting Point: 234 - 235°C

Form: Free

Example 840

Structure



Crystalline form: White powder

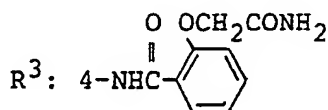
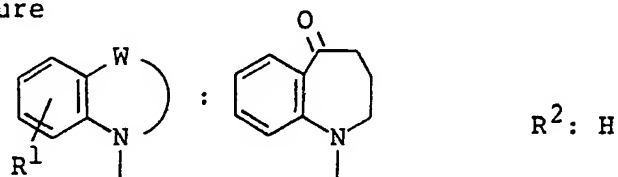
Recrystallization solvent: Ethyl acetate/n-hexane

Melting Point: 234 - 235°C

Form: Free

Example 841

Structure



Crystalline form: White powder

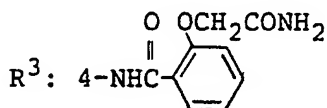
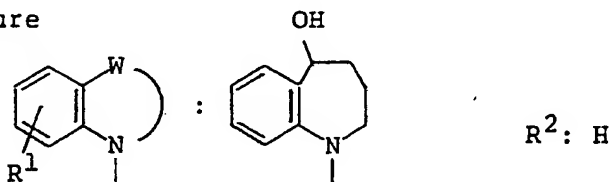
Recrystallization solvent: Ethyl acetate/n-hexane

Melting Point: 226 - 228°C

Form: Free

Example 842

Structure



Crystalline form: White powder

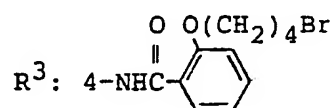
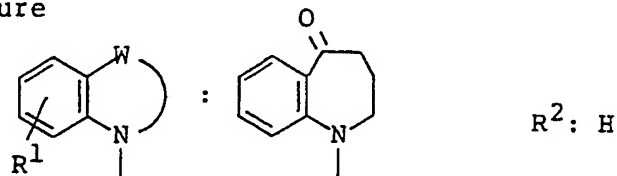
Recrystallization solvent: Ethyl acetate/n-hexane

Melting Point: 230 - 231°C

Form: Free

 Example 843

Structure



Crystalline form: White powder

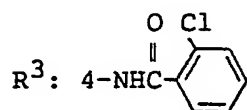
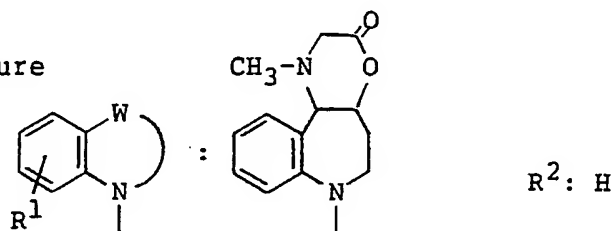
Recrystallization solvent: Ethyl acetate/n-hexane

Melting Point: 186 - 188°C

Form: Free

 Example 844

Structure



Crystalline form: Colorless prisms

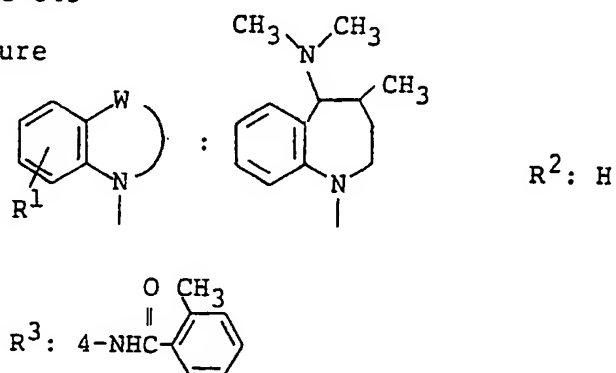
Recrystallization solvent: Chloroform/methanol

Melting Point: 286 - 290°C

Form: Free

Example 845

Structure



Crystalline form: Colorless needles

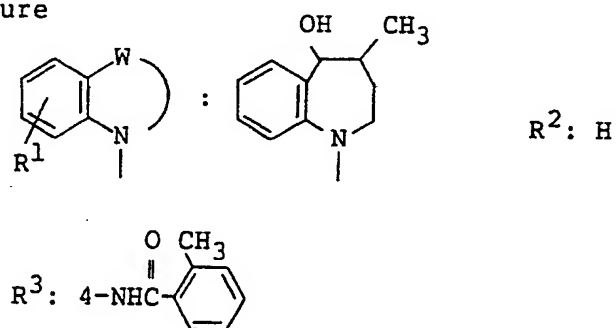
Recrystallization solvent: Ethanol

Melting Point: 186 - 188.5°C

Form: Free

Example 846

Structure



Crystalline form: Colorless prisms

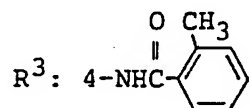
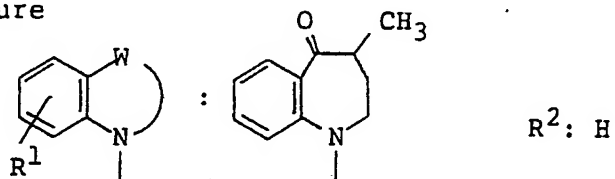
Recrystallization solvent: Ethanol

Melting Point: 220 - 222°C

Form: Free

Example 847

Structure



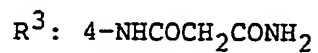
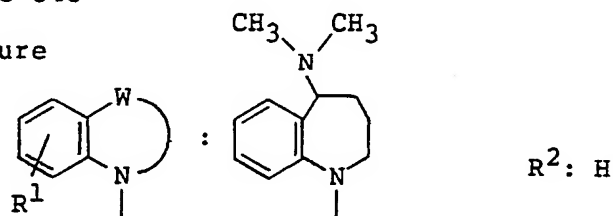
Crystalline form: White powder

NMR analysis: 158)

Form: Free

Example 848

Structure



Crystalline form: Colorless prisms

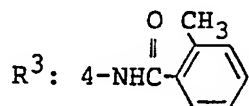
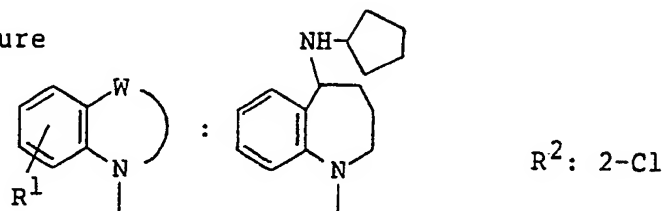
Recrystallization solvent: Dichloromethane/diethyl ether

Melting Point: 189 - 192°C

Form: Free

Example 849

Structure



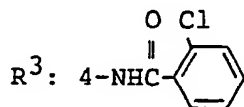
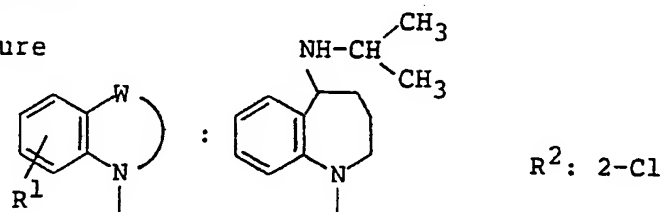
Crystalline form: Colorless amorphous

NMR analysis: 159)

Form: Free

Example 850

Structure



Crystalline form: White powder

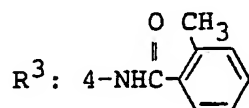
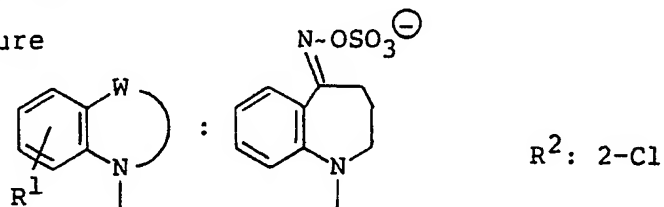
Recrystallization solvent: Dichloromethane/diethyl ether

Melting Point: 207 - 209°C (decomposed)

Form: Free

Example 851

Structure



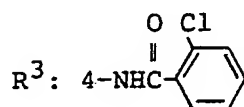
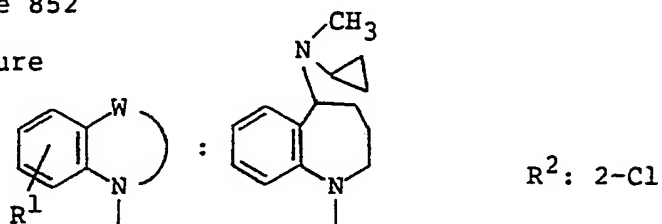
Crystalline form: White powder

NMR analysis: 160)

Form: K^+

Example 852

Structure



Crystalline form: White powder

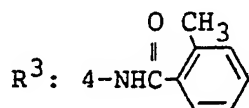
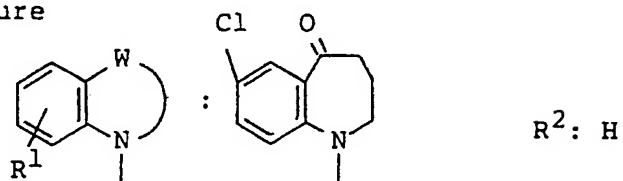
Recrystallization solvent: Dichloromethane/diethyl ether

Melting Point: 193 - 194°C

Form: Free

Example 853

Structure



Crystalline form: White powder

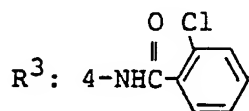
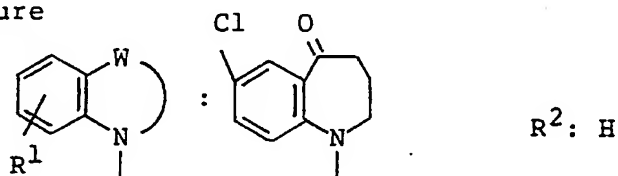
Recrystallization solvent: Diethyl ether/dichloromethane

Melting Point: 185.5 - 186°C

Form: Free

Example 854

Structure



Crystalline form: White powder

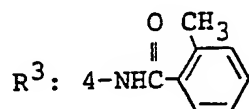
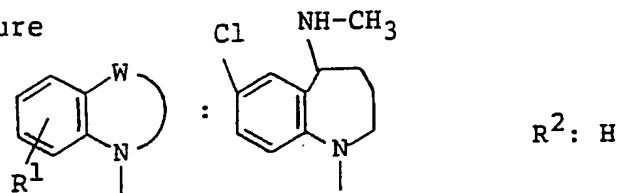
Recrystallization solvent: Diethyl ether/dichloromethane

Melting Point: 223.5 - 226°C (decomposed)

Form: Free

Example 855

Structure



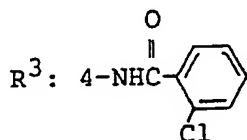
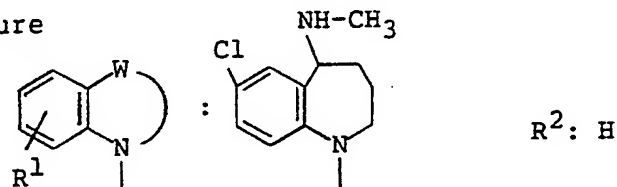
Crystalline form: Colorless amorphous

NMR analysis: 161)

Form: Free

Example 856

Structure



Crystalline form: White powder

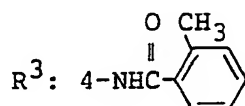
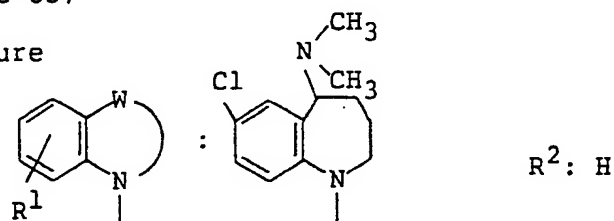
Recrystallization solvent: Diethyl ether/dichloromethane

Melting Point: 225.5 - 227°C

Form: Free

Example 857

Structure



Crystalline form: White powder

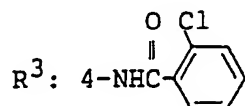
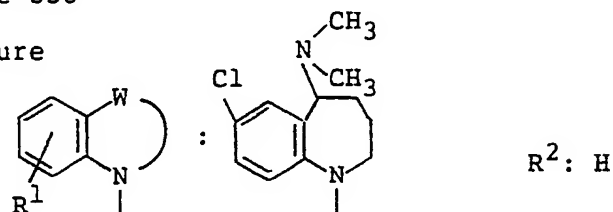
Recrystallization solvent: Diethyl ether/dichloromethane

Melting Point: 212 - 214°C

Form: Free

Example 858

Structure



Crystalline form: White powder

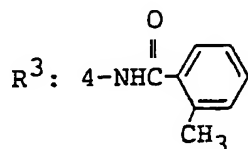
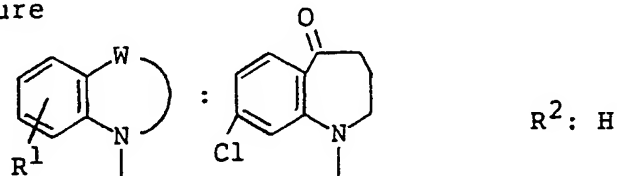
Recrystallization solvent: Diethyl ether/dichloromethane

Melting Point: 230.5 - 233°C

Form: Free

Example 859

Structure



Crystalline form: White powder

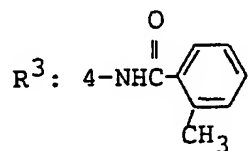
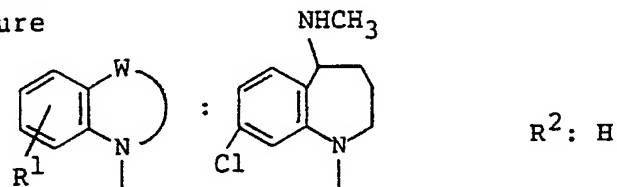
Recrystallization solvent: Diethyl ether/dichloromethane

Melting Point: 212.5 - 215°C (decomposed)

Form: Free

Example 860

Structure



Crystalline form: White powder

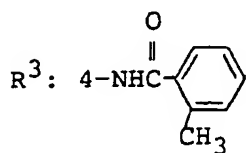
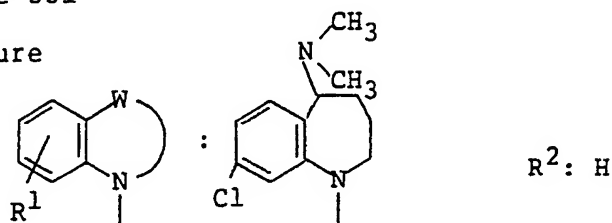
Recrystallization solvent: Diethyl ether/dichloromethane

Melting Point: 192 - 194.5°C

Form: Free

Example 861

Structure



Crystalline form: White powder

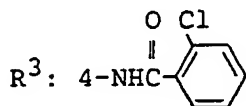
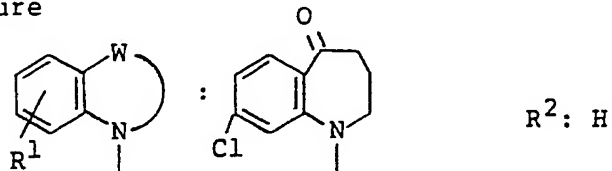
Recrystallization solvent: Diethyl ether/dichloromethane

Melting Point: 175 - 177°C

Form: Free

Example 862

Structure



Crystalline form: White powder

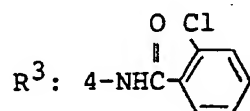
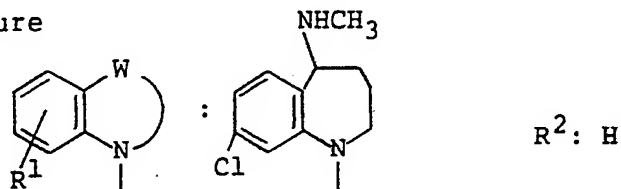
Recrystallization solvent: Diethyl ether/dichloromethane

Melting Point: 208.5 - 209.5°C

Form: Free

Example 863

Structure



Crystalline form: White powder

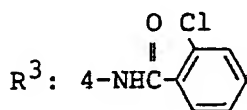
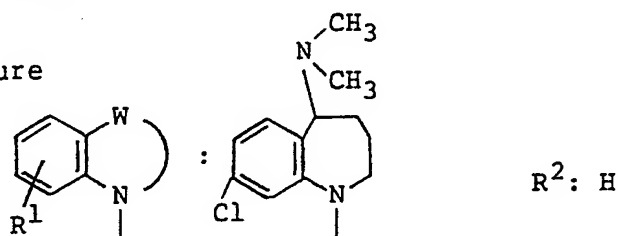
Recrystallization solvent: Diethyl ether/dichloromethane

Melting Point: 191 - 193.5°C

Form: Free

Example 864

Structure



Crystalline form: White powder

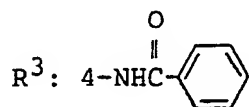
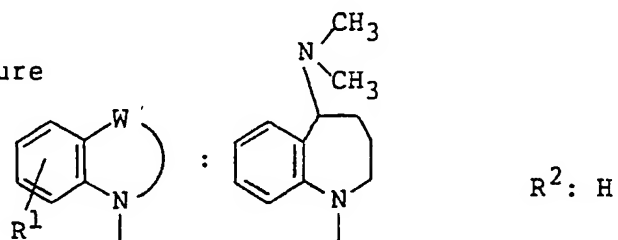
Recrystallization solvent: Diethyl ether/dichloromethane

Melting Point: 204 - 205.5°C

Form: Free

Example 865

Structure



Crystalline form: Light yellow prisms

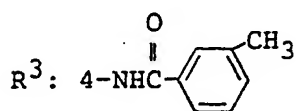
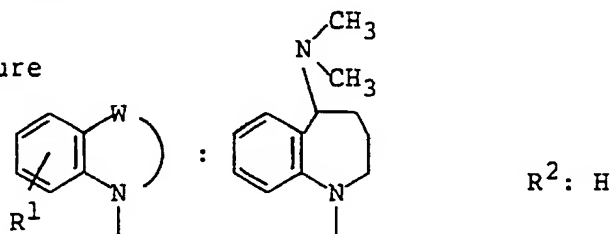
Recrystallization solvent: Ethanol

Melting Point: 221 - 223°C

Form: Free

Example 866

Structure



Crystalline form: Colorless prisms

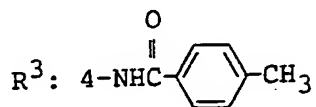
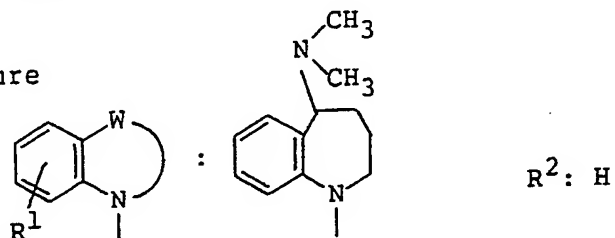
Recrystallization solvent: Ethyl acetate

Melting Point: 171 - 173°C

Form: Free

Example 867

Structure



Crystalline form: Colorless prisms

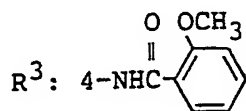
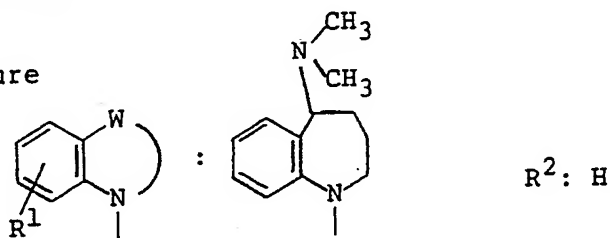
Recrystallization solvent: Ethyl acetate

Melting Point: 185 - 187°C

Form: Free

Example 868

Structure



Crystalline form: Colorless prisms

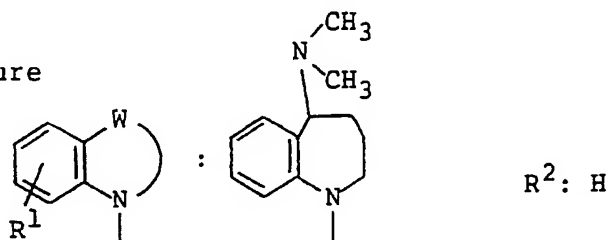
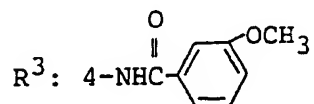
Recrystallization solvent: Ethanol

Melting Point: 190 - 192°C

Form: Free

Example 869

Structure

 $R^2: H$ 

Crystalline form: Colorless prisms

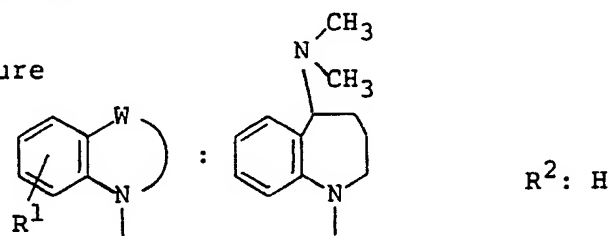
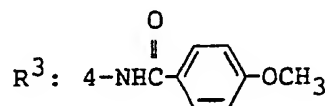
Recrystallization solvent: Ethanol

Melting Point: 175- 177°C

Form: Free

Example 870

Structure

 $R^2: H$ 

Crystalline form: Colorless powder

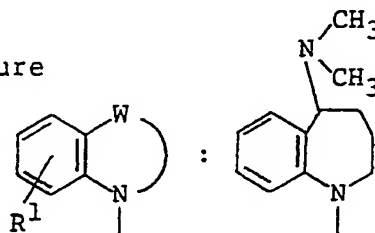
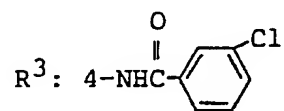
Recrystallization solvent: Ethyl acetate/n-hexane

Melting Point: 148 - 151°C

Form: Free

Example 871

Structure

 $R^2: H$ 

Crystalline form: Colorless prisms

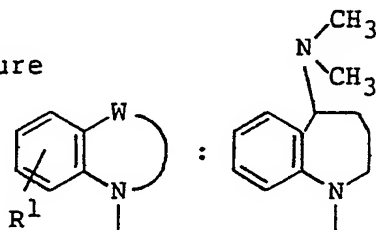
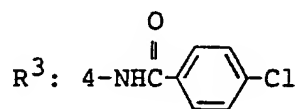
Recrystallization solvent: Ethanol

Melting Point: 200 - 202°C

Form: Free

Example 872

Structure

 $R^2: H$ 

Crystalline form: Colorless prisms

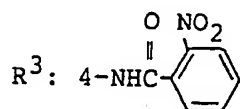
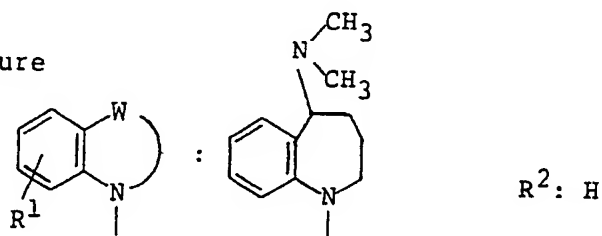
Recrystallization solvent: Ethanol

Melting Point: 200 - 202°C

Form: Free

Example 873

Structure



Crystalline form: Light yellow powder

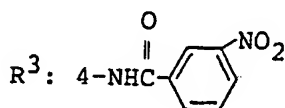
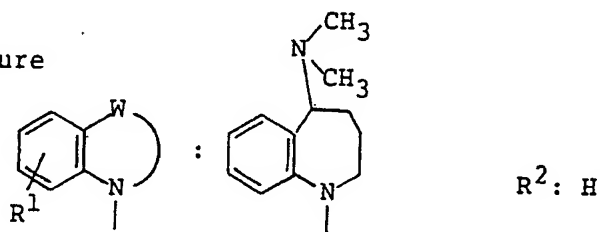
Recrystallization solvent: Acetone

Melting Point: 235 - 238°C

Form: Free

Example 874

Structure



Crystalline form: Light yellow powder

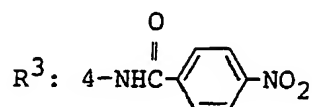
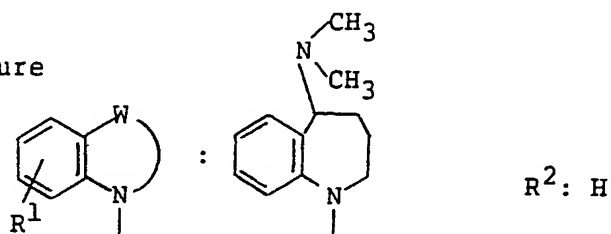
Recrystallization solvent: Acetone

Melting Point: 198 - 201°C

Form: Free

Example 875

Structure



Crystalline form: Light yellow needles

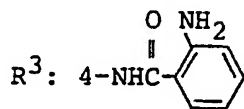
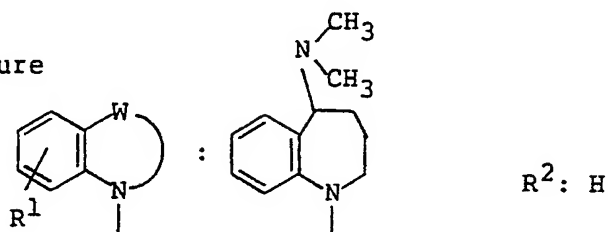
Recrystallization solvent: Chloroform/ethyl acetate

Melting Point: 232 - 237°C

Form: Free

Example 876

Structure



Crystalline form: Colorless prisms

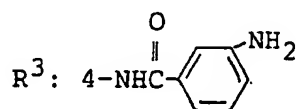
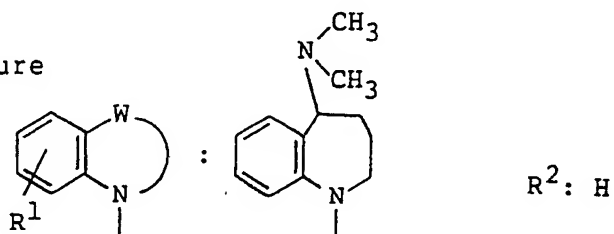
Recrystallization solvent: Chloroform/ethyl acetate

Melting Point: 224 - 227°C

Form: Free

Example 877

Structure



Crystalline form: Colorless prisms

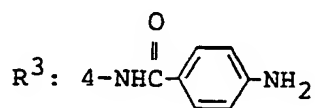
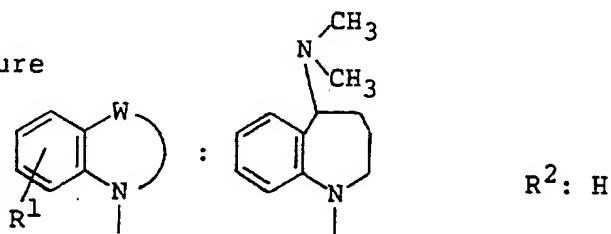
Recrystallization solvent: Ethanol

Melting Point: 211 - 214°C

Form: Free

Example 878

Structure



Crystalline form: Colorless powder

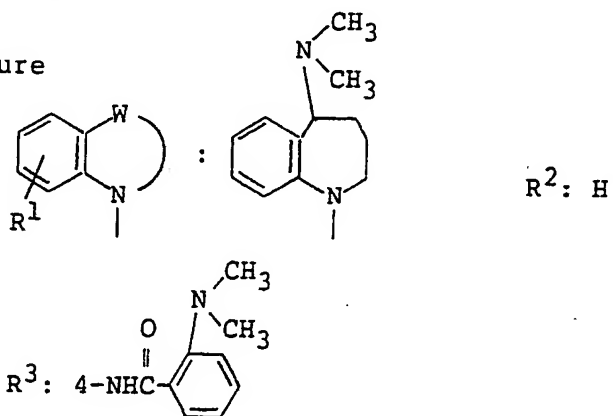
Recrystallization solvent: Dichloromethane/n-hexane

Melting Point: 238 - 243°C

Form: Free

Example 879

Structure



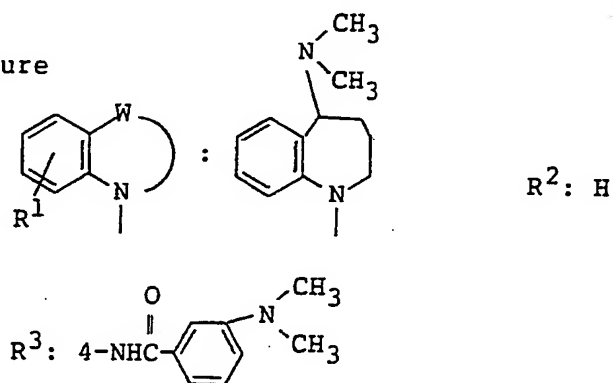
Crystalline form: Colorless amorphous

NMR analysis: 162)

Form: Free

Example 880

Structure



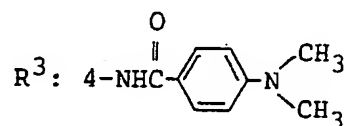
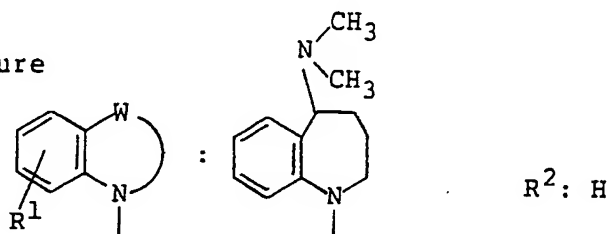
Crystalline form: Colorless amorphous

NMR analysis: 163)

Form: Free

Example 881

Structure



Crystalline form: Colorless prisms

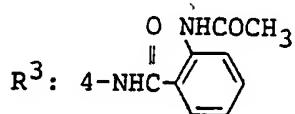
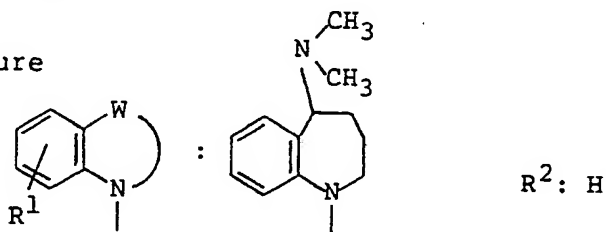
Recrystallization solvent: Dichloromethane/diethyl ether

Melting Point: 198 - 202°C

Form: Free

Example 882

Structure



Crystalline form: Colorless prisms

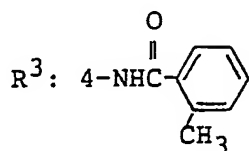
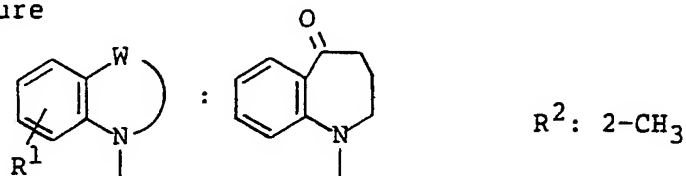
Recrystallization solvent: Chloroform/ethyl acetate

Melting Point: 226 - 229°C

Form: Free

Example 883

Structure



Crystalline form: White powder

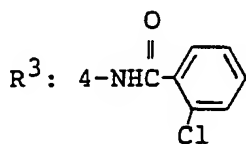
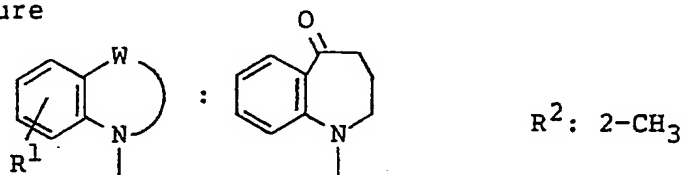
Recrystallization solvent: Methanol/diethyl ether

Melting Point: 139 - 140°C

Form: Free

Example 884

Structure



Crystalline form: White powder

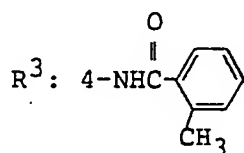
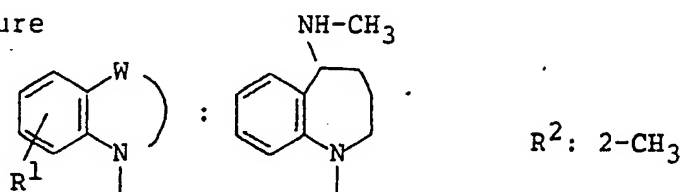
Recrystallization solvent: Methanol/diethyl ether

Melting Point: 149 - 152°C

Form: Free

Example 885

Structure



Crystalline form: White powder

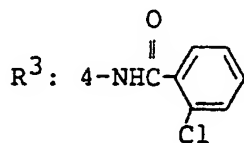
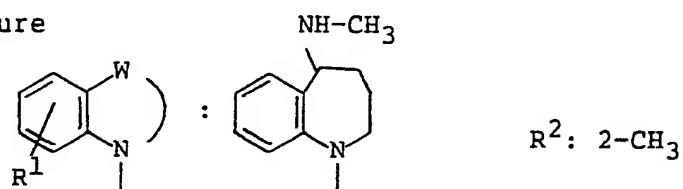
Recrystallization solvent: Methanol/diethyl ether

Melting Point: 180.5 - 182°C

Form: Free

Example 886

Structure



Crystalline form: White powder

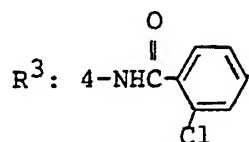
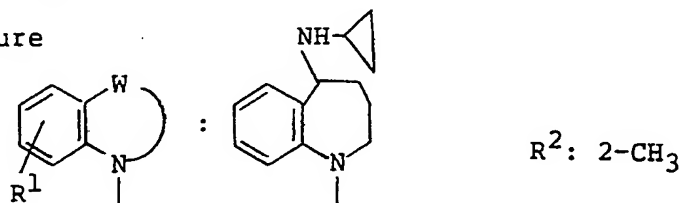
Recrystallization solvent: Chloroform/diethyl ether

Melting Point: 211 - 214°C

Form: Free

Example 887

Structure



Crystalline form: White powder

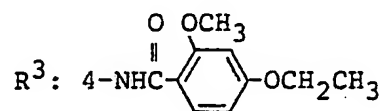
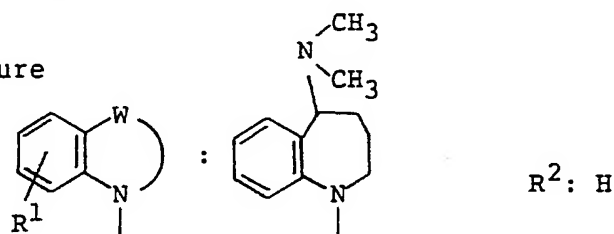
Recrystallization solvent: Chloroform/diethyl ether

Melting Point: 171 - 174.5°C

Form: Free

Example 888

Structure



Crystalline form: White powder

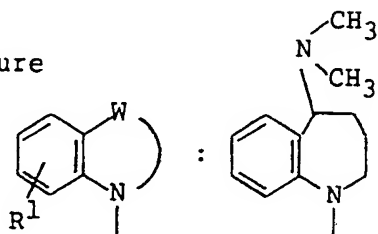
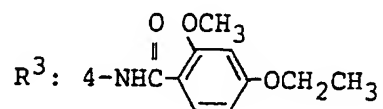
Recrystallization solvent: Methanol/diethyl ether

Melting Point: 203 - 205°C

Form: Free

Example 889

Structure

 $R^2: 3\text{-OCH}_3$ 

Crystalline form: White powder

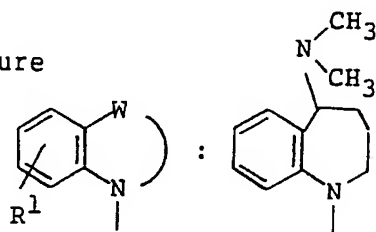
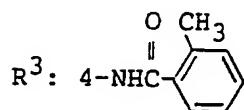
Recrystallization solvent: Ethanol

Melting Point: 202 - 202.5

Form: Free

Example 890

Structure

 $R^2: 3\text{-OCH}_2\text{CONH}_2$ 

Crystalline form: White powder

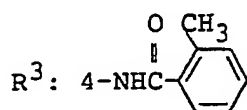
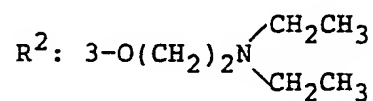
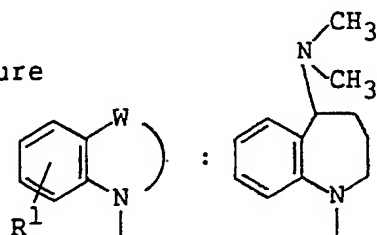
Recrystallization solvent: Methanol/diethyl ether

Melting Point: 130 - 133°C

Form: Free

Example 891

Structure



Crystalline form: White powder

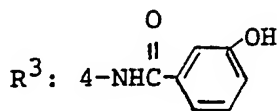
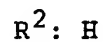
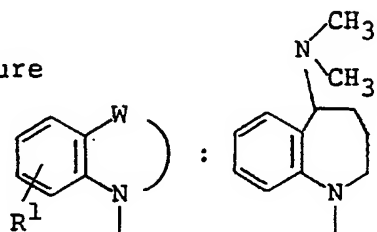
Recrystallization solvent: Methanol/n-hexane

Melting Point: 104.5 - 106°C

Form: Free

Example 892

Structure



Crystalline form: White powder

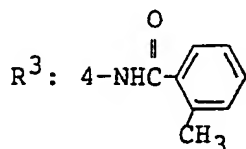
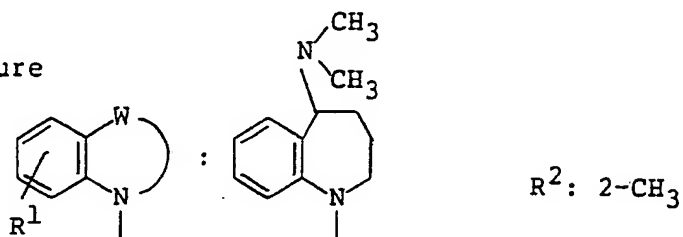
Recrystallization solvent: Methanol/diethyl ether

Melting Point: 197 - 198°C

Form: Free

Example 893

Structure



Crystalline form: White powder

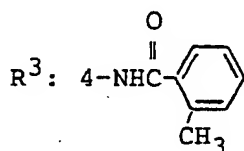
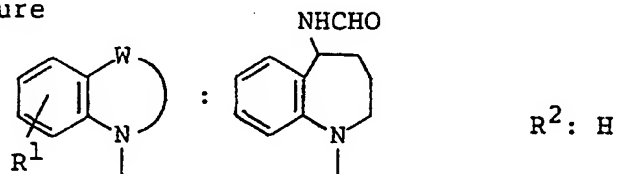
Recrystallization solvent: Dichloromethane/ethyl acetate

Melting Point: 191 - 192°C

Form: Free

Example 894

Structure



Crystalline form: Colorless columnar

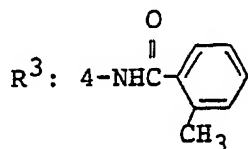
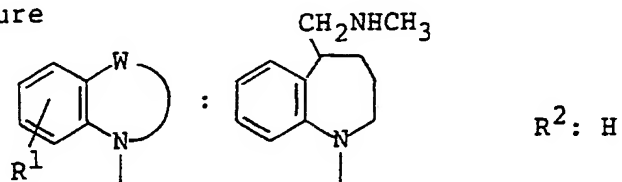
Recrystallization solvent: Ethanol/petroleum ether

Melting Point: 211 - 213°C

Form: Free

Example 895

Structure



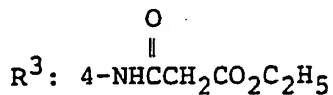
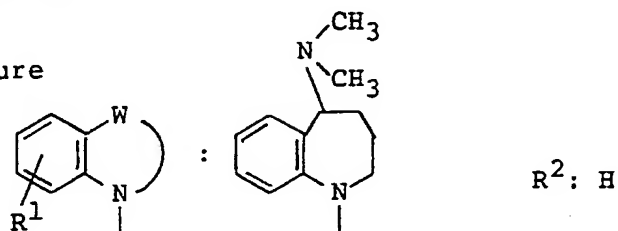
Crystalline form: Colorless amorphous

NMR analysis: 164)

Form: Free

Example 896

Structure



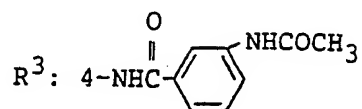
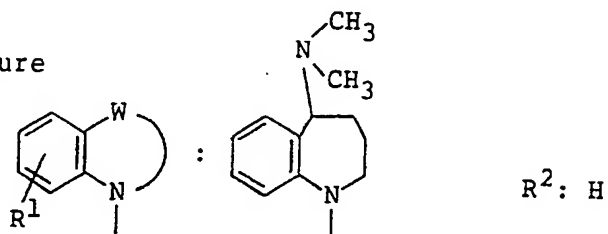
Crystalline form: Colorless amorphous

NMR analysis: 165)

Form: Free

Example 897

Structure



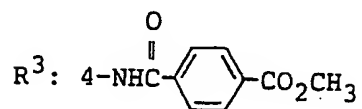
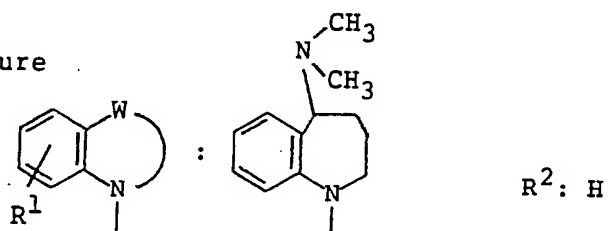
Crystalline form: Colorless amorphous

NMR analysis: 166)

Form: Free

Example 898

Structure



Crystalline form: Colorless prisms

Recrystallization solvent: Ethanol

Melting Point: 224 - 228°C

Form: Free

- 138) $^1\text{H-NMR}$ (CDCl_3) δ ; 1.3-2.95 (19H, m), 3.05-3.3 (1H, m), 3.85-4.1 (2H, m), 4.3-4.6 (1H, m), 6.64 (1H, d, $J=7.8$ Hz), 6.9-7.8 (12H, m)
- 139) $^1\text{H-NMR}$ (CDCl_3) δ ; 1.1-2.3 (13H, m), 2.65-3.2 (1H, m), 4.55-5.6 (3H, m), 6.55-6.7 (1H, m), 6.9-7.6 (12H, m)
- 140) $^1\text{H-NMR}$ (CDCl_3) δ ; 1.3-4.15 (19H, m), 4.3-5.0 (1H, m), 6.65 (1H, d, $J=7.7$ Hz), 6.9-8.05 (12H, m)
- 141) $^1\text{H-NMR}$ (CDCl_3) δ ; 1.4-3.0 (9H, m), 3.05-3.6 (3H, m), 3.9-4.1 (1H, m), 4.35-4.55 (1H, m), 4.9-5.65 (1H, m), 6.67 (1H, d, $J=7.4$ Hz), 6.85-7.6 (12H, m), 7.6-7.85 (2H, m)
- 142) $^1\text{H-NMR}$ (CDCl_3) δ ; 1.3-2.85 (21H, m), 3.2-4.0 (4H, m), 4.3-4.4 (1H, m), 4.45-5.2 (2H, m), 6.61 (1H, d, $J=7.6$ Hz), 6.9-7.65 (12H, m)
- 143) $^1\text{H-NMR}$ (CDCl_3) δ ; 1.3-3.45 (17H, m), 3.8-5.7 (5H, m), 6.5-7.65 (13H, m)
- 144) $^1\text{H-NMR}$ (CDCl_3) δ ; 1.25-3.1 (14H, m), 3.3-4.0 (4H, m), 4.15-4.4 (1H, m), 4.45-5.2 (1H, m), 6.64 (1H, d, $J=7.4$ Hz), 6.9-7.7 (12H, m)
- 145) $^1\text{H-NMR}$ (CDCl_3) δ ; 0.9-3.25 (16H, m), 3.9-5.9 (2H, m), 6.65 (1H, d, $J=7.4$ Hz), 6.85-7.5 (11H, m), 7.9-8.3 (1H, m)
- 146) $^1\text{H-NMR}$ (DMSO-d_6) δ ; 1.3-2.15 (4H, m), 2.32 (3H, s), 2.8-3.05 (1H, m), 4.24 (2H, AB-q, $J=12.8, 15.4$ Hz), 4.35-4.55 (1H, m), 4.9-5.25 (1H, m), 6.68 (1H,

- d, J=7.6 Hz), 6.9-7.45 (9H, m), 7.52 (2H, d, J=8.6 Hz), 8.9-9.05 (1H, m), 10.31 (1H, s)
- 147) $^1\text{H-NMR}$ (CDCl_3) δ ; 1.5-2.35 (4H, m), 2.45 (3H, s), 2.6-2.85 (1H, m), 3.32 (3H, s), 4.19 (2H, AB-q, J=12.2 Hz, 15.6 Hz), 5.0-5.2 (1H, m), 5.82 (1H, d, J=10.3 Hz), 6.69 (1H, d, J=7.8 Hz), 6.75-7.95 (12H, m)
- 148) $^1\text{H-NMR}$ (CDCl_3) δ ; 1.2-3.3 (17H, m), 3.45 (2H, AB-q, J=14.7, 22.9 Hz), 3.9-4.35 (2H, m), 6.60 (2H, d, J=7.7 Hz), 6.8-8.0 (11H, m), 8.39 (1H, s)
- 149) $^1\text{H-NMR}$ (CDCl_3) δ ; 1.45-3.40 (8H, m), 2.23 (3H, s), 2.33 (3H, s), 2.46 (3H, s), 4.44-5.23 (1H, m), 6.54-6.78 (1H, m), 6.84-7.94 (12H, m)
- 150) $^1\text{H-NMR}$ (CDCl_3) δ ; 1.50-1.92 (3H, m), 1.92-2.05 (1H, m), 2.47 (3H, s), 2.55-3.06 (5H, m), 3.43-5.76 (8H, m), 6.63-6.82 (1H, m), 6.97-8.08 (12H, m)
- 151) $^1\text{H-NMR}$ (CDCl_3) δ ; 1.43-2.65 (4H, m), 2.48 (3H, s), 2.69-3.25 (5H, m), 3.90-5.40 (8H, m), 6.64-6.94 (1H, m), 6.94-7.77 (12H, m)
- 152) $^1\text{H-NMR}$ (CDCl_3) δ ; 1.50-1.90 (3H, m), 1.90-2.20 (1H, m), 2.20-2.64 (4H, m), 2.32 (3H, s), 2.47 (3H, s), 2.64-3.27 (1H, m), 3.36-3.83 (4H, m), 3.93-4.52 (2H, m), 4.52-5.27 (2H, m), 6.57-6.82 (1H, m), 6.93-7.87 (12H, m)
- 153) $^1\text{H-NMR}$ (CDCl_3) δ ; 1.52-1.93 (2H, m), 1.93-2.23 (4H, m), 2.23-2.57 (1H, m), 2.45 (3H, s), 2.72-3.02 (1H, m), 3.02-3.77 (8H, m), 3.93-4.50 (2H, m),

- 4.50-5.20 (2H, m), 6.60-6.80 (1H, m), 6.94-7.64 (11H, m), 8.16 (1H, brs)
- 154) $^1\text{H-NMR}$ (CDCl_3) δ ; 1.48-2.60 (8H, m), 2.46 (3H, s), 2.65-3.01 (1H, m), 3.20-3.74 (2H, m), 3.80-5.14 (4H, m), 5.30-5.84 (1H, m), 6.51-8.14 (13H, m)
- 155) $^1\text{H-NMR}$ (CDCl_3) δ ; 1.54-1.91 (2H, m), 1.91-2.20 (1H, m), 2.22-2.64 (1H, m), 2.44 (3H, s), 2.70-3.13 (1H, m), 3.60-4.40 (4H, m), 4.50-5.20 (2H, m), 6.07-8.00 (13H, m), 9.93 (1H, s)
- 156) $^1\text{H-NMR}$ (CDCl_3) δ ; 1.56-1.92 (2H, m), 1.92-2.19 (1H, m), 2.19-2.60 (1H, m), 2.46 (3H, s), 2.66-3.26 (4H, m), 3.33-3.95 (4H, m), 4.00-5.20 (4H, m), 6.58-6.82 (1H, m), 6.93-8.21 (12H, m)
- 157) $^1\text{H-NMR}$ (CDCl_3) δ ; 1.57-2.17 (3H, m), 2.21-2.68 (1H, m), 2.47 (3H, s), 2.73-3.04 (1H, m), 3.91-4.42 (4H, m), 4.50-5.17 (2H, m), 6.61-6.99 (2H, m), 6.99-8.10 (14H, m), 8.21-8.71 (2H, m)
- 158) $^1\text{H-NMR}$ (CDCl_3) δ ; 1.31 (3H, d, $J=6.7$ Hz), 1.53-1.90 (1H, m), 2.29-2.58 (1H, m), 2.47 (3H, s), 2.94-3.63 (2H, m), 4.57-5.05 (1H, m), 6.68-6.82 (1H, m), 7.10-7.59 (10H, m), 7.72 (1H, s), 7.78-7.96 (1H, m)
- 159) $^1\text{H-NMR}$ (CDCl_3) δ ; 1.20-2.60 (17H, m), 2.65-5.10 (3H, m), 6.85-3.85 (12H, m)
- 160) $^1\text{H-NMR}$ (DMSO-d_6) δ ; 1.40-1.75 (1H, m), 1.90-2.15 (1H, m), 2.33 (3H, s), 2.50-2.80 (2H, m), 3.10-3.50

- (1H, m), 4.40-4.65 (1H, m), 6.85-7.60 (10H, m),
7.85 (1H, s), 10.44 (1H, s)
- 161) $^1\text{H-NMR}$ (CDCl_3) δ ; 1.30-2.70 (11H, m), 3.00-5.20
(3H, m), 6.58 (1H, d, $J=8$ Hz), 6.90-7.05 (1H, m),
7.10-7.70 (10H, m)
- 162) $^1\text{H-NMR}$ (CDCl_3) δ ; 1.25-2.90 (4H, m), 2.44 (6H, s),
2.79-3.57 (2H, m), 2.79 (6H, s), 4.10-5.25 (1H, m),
6.60-6.80 (1H, m), 6.94-7.60 (10H, m), 8.23 (1H, d,
 $J=6.2$ Hz), 12.41 (1H, m)
- 163) $^1\text{H-NMR}$ (CDCl_3) δ ; 1.25-3.00 (4H, m), 2.42 (6H, s),
2.99 (6H, s), 3.40-3.65 (2H, m), 4.01-5.15 (1H, m),
6.58-7.59 (12H, m), 7.94 (1H, brs)
- 164) $^1\text{H-NMR}$ ($\text{DMSO}-d_6$) δ ; 1.40-2.18 (4H, m), 2.34 (3H,
s), 2.47 (3H, s), 2.54-3.50 (4H, m), 4.30-5.08 (1H,
m), 6.56-6.82 (1H, m), 6.87-7.48 (10H, m), 7.48-
7.75 (2H, m), 10.35 (1H, s)
- 165) $^1\text{H-NMR}$ (CDCl_3) δ ; 1.08-5.20 [20H, m, 1.30 (3H, t,
 $J=7.2$ Hz), 3.41 (2H, s), 4.22 (2H, q, $J=7.2$ Hz)],
6.49-7.73 (8H, m), 9.25-9.58 (1H, m)
- 166) $^1\text{H-NMR}$ (CDCl_3) δ ; 1.17-2.80 (4H, m), 2.05 (3H, s),
2.42 (6H, s), 3.02-3.53 (2H, m), 4.06-5.15 (1H, m),
6.55-7.80 (12H, m), 8.53-8.74 (2H, m)

Example 899

To a solution of 5-acetyloxyimino-1-[4-(2-chloro-benzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine (0.48 g) in acetic acid (20 ml) is added platinum oxide

(0.05 g) and the mixture is subjected to catalytic reduction under hydrogen atmosphere. After completion of the reaction, the catalyst is removed by filtration, and the filtrate is concentrated. The resulting residue is purified by silica gel column chromatography (eluent; dichloromethane : methanol = 20 : 1 + 10 : 1), and recrystallized from ethanol/diethyl ether to give 5-amino-1-[4-(2-chlorobenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine (0.19 g) as colorless prisms, m.p. 176 - 178°C.

Example 900

To a solution of 5-methylamino-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine (0.6 g) in dichloromethane (10 ml) is added triethylamine (0.24 ml). Subsequently, thereto is added methanesulfonyl chloride (0.14 ml) under ice-cooling, and then, the mixture is warmed to room temperature and stirred overnight. Water is added to the reaction solution, extracted three times with dichloromethane. The extract is washed with saturated saline solution, and dried over magnesium sulfate. The solvent is distilled off and the resulting residue is purified by silica gel column chromatography (eluent; dichloromethane : methanol = 20 : 1), and recrystallized from ethanol to give 5-(N-methyl-N-methanesulfonylamino)-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine (0.48 g) as colorless scales, m.p. 197 - 198°C.

Example 901

To a solution of 5-methylamino-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine (0.6 g) in dichloromethane is added triethylamine (0.24 ml). Subsequently, thereto is added benzoyl chloride (0.2 ml) under ice-cooling, and the temperature thereof is raised to room temperature, and the mixture is stirred overnight. Water is added to the reaction solution and extracted three times with dichloromethane. The extract is washed with saturated saline solution and dried over magnesium sulfate. The solvent is distilled off and the resulting residue is purified by silica gel column chromatography (eluent; dichloromethane : methanol = 20 : 1), and recrystallized from ethanol to give 5-(N-methyl-N-benzoylamino)-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine (0.64 g) as colorless needles, m.p. 248 - 249°C.

Example 902

A mixture of 5-amino-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine (0.6 g) and ethyl formate (10 ml) is refluxed for 4 hours. The reaction solution is concentrated and the resulting residue is recrystallized from ethanol/petroleum ether to give 5-formylamino-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine (0.38 g) as colorless columnar crystal, m.p. 211 - 213°C.

Using the suitable starting materials, the compounds of above Examples 825 and 894 are obtained in the same manner as in above Example 902.

Example 903

To a solution of 5-amino-1-[4-(2-chlorobenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine (0.6 g) in dichloromethane (10 ml) is added triethylamine (0.22 ml). Subsequently, thereto is added di-tert-butyl dicarbonate (0.34 g) at room temperature and the mixture is stirred for 2 hours. Then, thereto is added additional di-tert-butyl dicarbonate (0.1 g) and the mixture is stirred for 1 hour. The reaction mixture is concentrated and the resulting residue is purified by silica gel column chromatography (eluent; n-hexane : ethyl acetate = 1 : 1) to give 5-tert-butoxycarbonylamino-1-[4-(2-chlorobenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine (0.66 g) as colorless amorphous.

$^1\text{H-NMR}$ (CDCl_3) δ ; 1.1-2.3 (13H, m), 2.65-3.2 (1H, m), 4.55-5.6 (3H, m), 6.55-6.7 (1H, m), 6.9-7.6 (12H, m)

Using the suitable starting materials, the compound of above Example 791 is obtained in the same manner as in above Example 903.

Example 904

To a solution of 5-amino-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine (0.6 g) in dichloromethane (10 ml) is added phenyl isocyanate (0.2 g)

under ice-cooling. The mixture is stirred at the same temperature for 30 minutes, and the temperature thereof is raised to room temperature and then the mixture is stirred overnight. The reaction solution is distilled off and the resulting residue is recrystallized from dioxane to give 5-anilinocarbonylamino-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine (0.65 g) as colorless prisms, m.p. 269 - 271°C.

Using the suitable starting materials, the compound of above Example 795 is obtained in the same manner as in above Example 904.

Example 905

To a solution of 5-methylamino-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine (0.6 g) in methanol (10 ml) is added glycolonitrile (50 %, 0.19 ml) and the mixture is stirred at room temperature for 20 minutes, and then refluxed for 30 minutes. Thereto is added additional glycolonitrile (0.5 ml) and the mixture is refluxed for 5.5 hours. The reaction solution is concentrated and to the resulting residue is added ethyl acetate. The precipitated crystal is collected by filtration, and recrystallized from acetonitrile to give 5-(N-methyl-N-cyanomethylamino)-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine (0.32 g) as colorless needles, m.p. 227 - 228°C.

Example 906

To 5-(N-methyl-N-oxiranylmethylamino)-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine (0.62 g) is added trifluoroacetic acid (1.22 ml) under ice-cooling and the mixture is stirred for 4 hours. The reaction solution is neutralized with aqueous sodium carbonate solution, and extracted three times with dichloromethane. The extract is washed with saturated saline solution and dried over magnesium sulfate. The solvent is distilled off and the resulting residue is dissolved in methanol (10 ml). Thereto is added 40 % aqueous sodium hydroxide solution (10 ml) and water (10 ml), and the mixture is stirred at room temperature overnight. Methanol is distilled off and the resulting residue is purified by silica gel column chromatography (eluent; dichloromethane : methanol = 30 : 1) to give 5-[N-methyl-N-(2,3-dihydroxypropyl)amino]-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine (0.23 g) as colorless amorphous.

$^1\text{H-NMR}$ (CDCl_3) δ ; 1.3-4.15 (19H, m), 4.3-5.0 (1H, m), 6.65 (1H, d, $J=7.7$ Hz), 6.9-8.05 (12H, m)

Example 907

A mixture of 5-methylamino-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine (1.64 g), acetonitrile (20 ml), potassium carbonate (0.6 g) and ethyl bromoacetate (0.44 ml) is refluxed for 3 hours. The reaction solution is concentrated and water is added to the

resulting residue, and the mixture is extracted three times with dichloromethane. The extract is washed with saturated saline solution, and dried over magnesium sulfate. The solvent is distilled off and the resulting residue is purified by silica gel column chromatography (eluent; dichloromethane : methanol = 30 : 1), and recrystallized from ethyl acetate/petroleum ether to give 5-(N-methyl-N-ethoxycarbonylmethylamino)-1-[4-(2-methylbenzoylamino)-benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine (0.82 g) as colorless prisms, m.p. 167 - 168°C.

Using the suitable starting materials, the compounds of above Examples 785, 787, 799, 800, 802 - 806, 808, 811, 819, 824, 826, 827, 845, 848, 849, 850, 852, 855 - 858, 860, 861, 863 - 882, 885 - 893 and 895 - 898 are obtained in the same manner as in above Example 907.

Example 908

5-(N-Methyl-N-ethoxycarbonylmethylamino)-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine (0.6 g) is dissolved in saturated solution of ammonia in methanol (20 ml), and the mixture is heated at 100°C for 8 hours in a sealed tube. The reaction solution is concentrated and the resulting residue is purified by silica gel column chromatography (eluent; dichloromethane : methanol = 30 : 1) to give 5-(N-methyl-N-carbamoylmethylamino)-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine (0.4 g) as colorless amorphous.

$^1\text{H-NMR}$ (CDCl_3) δ ; 1.4-3.0 (9H, m), 3.05-3.6 (3H, m), 3.9-4.1 (1H, m), 4.35-4.55 (1H, m), 4.9-5.65 (1H, m), 6.67 (1H, d, $J=7.4$ Hz), 6.85-7.6 (12H, m), 7.6-7.85 (2H, m)

Example 909

To a solution of 5-(N-methyl-N-ethoxycarbonyl-methylamino)-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine (0.6 g) in dioxane (10 ml) is added aqueous solution (1 ml) of sodium hydroxide (0.07 g) and the mixture is stirred at room temperature for 2 days. The reaction solution is concentrated and to the resulting residue is added water. The insoluble materials are removed by filtration. The filtrate is neutralized with 10 % hydrochloric acid and extracted three times with dichloromethane. The extract is washed with saturated saline solution and dried over magnesium sulfate. The solvent is distilled off and to the resulting residue is added a solution of potassium ethylhexanoate (0.2 g) in dichloromethane (20 ml). The solvent is distilled off, and diethyl ether is added to the resulting residue. The precipitated crystal is collected by filtration, and recrystallized from diethyl ether to give potassium 2-[N-methyl-N-{1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepin-5-yl}amino]acetate (0.6 g) as colorless needles, m.p. 164 - 171°C.

Example 910

To a solution of 5-methylamino-1-[4-(2-methyl-

benzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine (1.5 g) in dimethylformamide (20 ml) are added potassium carbonate (0.6 g), potassium iodide (0.72 g) and 2-(3-bromopropoxy)-3,4,5,6-tetrahydro-2H-pyran (0.97 g) and the mixture is stirred at room temperature overnight. The reaction solution is concentrated and to the resulting residue is added water. The mixture is extracted three times with dichloromethane. The extract is washed with saturated saline solution, and dried over magnesium sulfate. The solvent is distilled off and the resulting residue is purified by silica gel column chromatography (eluent; dichloromethane + dichloromethane : methanol = 50 : 1) to give 5-{N-methyl-N-[3-(3,4,5,6-tetrahydro-2H-pyran-2-yloxy)propyl]amino}-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine (1.3 g) as colorless amorphous.

$^1\text{H-NMR}$ (CDCl_3) ; 1.3-2.85 (21H, m), 3.2-4.0 (4H, m), 4.3-4.4 (1H, m), 4.45-5.2 (2H, m), 6.61 (1H, d, $J=7.6$ Hz), 6.9-7.65 (12H, m)

Example 911

To 5-{N-methyl-N-[3-(3,4,5,6-tetrahydro-2H-pyran-2-yloxy)propyl]amino}-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine (0.4 g) is added a mixture of acetyl chloride (0.5 ml) and acetic acid (5 ml) at room temperature, and the mixture is stirred overnight. The reaction solution is concentrated and the resulting residue

is purified by silica gel column chromatography (eluent; dichloromethane : methanol = 30 : 1), and further purified again by silica gel column chromatography (eluent; n-hexane : ethyl acetate = 1 : 2) to give 5-[N-methyl-N-(3-acetyloxypropyl)amino]-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine (0.06 g) as colorless amorphous.

$^1\text{H-NMR}$ (CDCl_3) δ ; 1.3-3.45 (17H, m), 3.8-5.7 (5H, m), 6.5-7.65 (13H, m)

Example 912

To a solution of 5-{N-methyl-N-[3-(3,4,5,6-tetrahydro-2H-pyran-2-yloxy)propyl]amino}-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine (0.55 g) in ethanol (10 ml) is added pyridinium p-toluenesulfonate (0.03 g) and the mixture is heated at 60°C overnight. After the mixture is refluxed for more 2 hours, water and pyridinium p-toluenesulfonate (0.03 g) are added thereto. The mixture is refluxed for 4 hours. The reaction solution is concentrated and to the resulting residue is added dichloromethane. The mixture is basified with aqueous sodium hydrogen carbonate solution and extracted three times with dichloromethane. The extract is washed with saturated saline solution and dried over magnesium sulfate. The solvent is distilled off and the resulting residue is purified by silica gel column chromatography (eluent; dichloromethane : methanol = 30 : 1) to give 5-[N-methyl-N-

(3-hydroxypropyl)amino]-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine (0.26 g) as colorless amorphous.

$^1\text{H-NMR}$ (CDCl_3) δ ; 1.25-3.1 (14H, m), 3.3-4.0 (4H, m), 4.15-4.4 (1H, m), 4.45-5.2 (1H, m), 6.64 (1H, d, $J=7.4$ Hz), 6.9-7.7 (12H, m)

Example 913

To a solution of 5-amino-1-[4-(2-methylbenzoyl-amino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine (0.6 g) in acetic acid (10 ml) is added dropwise 2,5-dimethoxytetrahydrofuran (0.19 ml), and the mixture is refluxed for 1 hour. The reaction solution is concentrated and the resulting residue is purified by silica gel column chromatography (eluent; dichloromethane : methanol = 50 : 1), and recrystallized from ethyl acetate/n-hexane to give 5-(1-pyrrolyl)-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine (0.31 g) as colorless prisms, m.p. 208 - 210°C.

Example 914

To a solution of 5-amino-1-[4-(2-methylbenzoyl-amino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine (2.5 g) in dichloromethane (30 ml) is added triethylamine (0.96 ml) and further thereto is added dropwise chloroacetyl chloride (0.55 ml) under ice-cooling. The mixture is stirred for 5 minutes. The reaction solution is concentrated and to the resulting residue is added water. The precipitated crystal

is collected by filtration, washed with water, and dried to give 5-(2-chloroacetyl-amino)-1-[4-(2-methylbenzoyl-amino)-benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine (1.4 g) as white powder.

$^1\text{H-NMR}$ (DMSO-d_6) δ ; 1.3-2.15 (4H, m), 2.32 (3H, s), 2.8-3.05 (1H, m), 4.24 (2H, AB-q, $J=12.8, 15.4$ Hz), 4.35-4.55 (1H, m), 4.9-5.25 (1H, m), 6.68 (1H, d, $J=7.6$ Hz), 6.9-7.45 (9H, m), 7.52 (2H, d, $J=8.6$ Hz), 8.9-9.05 (1H, m), 10.31 (1H, s)

Using the suitable starting materials, the compound of above Example 814 is obtained in the same manner as in above Example 914.

Example 915

A mixed solution of 5-(2-chloroacetyl-amino)-1-[4-(2-methylbenzoyl-amino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine (0.6 g), imidazole (0.1 g) and potassium carbonate (0.19 g) in acetonitrile (30 ml) is refluxed for 8 hours. The reaction solution is concentrated and the resulting residue is washed with water and separated by decantation. The remainder is purified by silica gel column chromatography (eluent; dichloromethane : methanol = 20 : 1 + 15 : 1), and recrystallized from ethanol/n-hexane to give 5-[2-(1-imidazolyl)acetyl-amino]-1-[4-(2-methylbenzoyl-amino)-benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine (0.15 g) as colorless needles, m.p. 250 - 252°C.

Using the suitable starting materials, the compound

of above Example 818 is obtained in the same manner as in above Example 915.

Example 916

To a solution of 5-(2-chloroacetyl-amino)-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine (0.6 g) in dimethylformamide (20 ml) are added dimethylamine hydrochloride (0.21 g) and potassium carbonate (0.54 g), and the mixture is stirred at room temperature for 2 days. The reaction solution is concentrated and water is added to the resulting residue. The precipitated crystal is collected by filtration, and recrystallized from ethyl acetate to give 5-(2-dimethylaminoacetyl-amino)-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine (0.24 g) as colorless prisms, m.p. 214 - 216°C.

Using the suitable starting materials, the compounds of above Examples 816, 817, 820, 821, 826 and 827 are obtained in the same manner as above Example 916.

Example 917

A mixture of 5-methylamino-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine (0.6 g), N,N-dimethyl-2-chloroacetamide (0.19 g) and potassium carbonate (0.22 g) is refluxed for 24 hours. The reaction solution is concentrated and water is added to the resulting residue. The mixture is extracted three times with dichloromethane. The extract is washed with saturated

saline solution, and dried over magnesium sulfate. The solvent is distilled off and the resulting residue is purified by silica gel column chromatography (eluent; dichloromethane : methanol = 30 : 1) to give 5-[N-methyl-N-(dimethylaminocarbonylmethyl)amino]-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine (0.05 g) as colorless amorphous.

$^1\text{H-NMR}$ (CDCl_3) δ ; 1.2-3.3 (17H, m), 3.45 (2H, AB-q, $J=14.7, 22.9$ Hz), 3.9-4.35 (2H, m), 6.60 (1H, d, $J=7.7$ Hz), 5.8-8.0 (11H, m), 8.39 (1H, s)

Example 918

To a solution of t-butoxycarbonylglycine (0.84 g) in dimethylformamide (20 ml) are added diethyl cyanophosphate (0.73 ml) and 5-amino-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine (1.74 g), and further thereto is added triethylamine (1.8 ml) under ice-cooling. The mixture is stirred for 30 minutes, and then stirred at room temperature overnight. The reaction solution is concentrated and water is added to the resulting residue. The precipitated crystal is collected by filtration, washed with water, and recrystallized from ethyl acetate to give 5-(2-aminoacetyl-amino)-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine (E) (0.16 g). Separately, the filtrate is concentrated and purified by silica gel column chromatography (eluent; dichloromethane : methanol = 50 : 1), and recrystallized

from diethyl ether to give 5-[2-(t-butoxycarbonylamino)-acetylamino]-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine (F) (0.19 g).

(E): Colorless prisms, m.p. 287 - 289°C

(F): Colorless prisms, m.p. 170 - 171°C

Example 919

5-Oxo-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine (0.50 g) is suspended in tetrahydrofuran (20 ml), and thereto is added dropwise a 3.0 M solution of methyl magnesium bromide in diethyl ether (1.5 ml) at room temperature. The mixture is stirred at room temperature for 1 hour. The reaction solution is poured into ice-water (20 ml), and extracted with ethyl acetate. The extract is dried over magnesium sulfate, and the solvent is distilled off. The resulting residue is purified by silica gel column chromatography (eluent; ethyl acetate : n-hexane = 2 : 3 + 1 : 1), and recrystallized from ethyl acetate/n-hexane to give 5-methyl-5-hydroxy-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine (0.23 g) as white powder, m.p. 204 - 205°C.

Example 920

To a solution of 5-carboxymethoxy-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine (1.50 g) in dimethylformamide (60 ml) are added successively thiomorpholine (0.66 ml), diethyl cyanophosphate (0.89 g) and triethylamine (1.37 ml) with stirring under ice-

cooling. The mixture is stirred for 30 minutes under ice-cooling, and at room temperature for 20 minutes. Water (60 ml) is added to the reaction solution, and extracted with dichloromethane. The extract is dried over magnesium sulfate, and the solvent is distilled off. The resulting residue is purified by silica gel column chromatography (eluent; ethyl acetate : n-hexane = 5 : 2 + 3 : 1), and recrystallized from ethyl acetate/n-hexane to give 5-(thiomorpholinocarbonylmethoxy)-1-[4-(2-methylbenzoylamino)-benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine (1.60 g) as white powder, m.p. 235 - 237°C.

Using the suitable starting materials, the compounds of above Examples 829 - 838 are obtained in the same manner as in above Example 920.

Example 921

To a solution of 5-(thiomorpholinocarbonylmethoxy)-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine (0.40 g) in dichloromethane (40 ml) is added 80 % m-chloroperbenzoic acid (175 mg) with stirring at -8°C, and the mixture is stirred at -8°C for 1 hour. To the reaction solution is added 20 % aqueous sodium hydrogensulfite solution (40 ml) and the mixture is stirred at room temperature for 30 minutes. The dichloromethane layer is collected, washed with saturated saline solution and dried over magnesium sulfate. The solvent is distilled off and the resulting residue is purified by silica gel

column chromatography (eluent; dichloromethane : methanol = 20 : 1) to give 5-[(1-oxothiomorpholino)carbonylmethoxy]-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine (0.32 g) as colorless amorphous.

$^1\text{H-NMR}$ (CDCl_3) δ ; 1.50-1.92 (3H, m), 1.92-2.05 (1H, m), 2.47 (3H, s), 2.55-3.06 (5H, m), 3.43-5.76 (8H, m), 6.63-6.82 (1H, m), 6.97-8.08 (12H, m)

Example 922

To a solution of 5-(thiomorpholinocarbonylmethoxy)-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine (0.40 g) in dichloromethane (40 ml) is added 80 % m-chloroperbenzoic acid (0.35 g), and the mixture is stirred at room temperature for 1 hour. The reaction solution is washed successively with an aqueous sodium hydrogensulfite solution and saturated saline solution, and dried over magnesium sulfate. The solvent is distilled off to give 5-[(1,1-dioxothiomorpholino)carbonylmethoxy]-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine (0.41 g) as colorless amorphous.

$^1\text{H-NMR}$ (CDCl_3) δ ; 1.43-2.65 (4H, m), 2.48 (3H, s), 2.69-3.25 (5H, m), 3.90-5.40 (8H, m), 6.64-6.94 (1H, m), 6.94-7.77 (12H, m)

Example 923

To a solution of 5-oxo-1-[4-(2-hydroxybenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine (400 mg) in acetone (20 ml) are added potassium carbonate (210 mg), potassium

iodide (250 mg) and 2-chloroacetamide (120 mg), and the mixture is refluxed for 2 hours. The insoluble materials are removed by filtration, and the filtrate is distilled off. Dichloromethane is added to the resulting residue, and the mixture is washed with saturated saline solution, and dried over magnesium sulfate. The solvent is distilled off and the resulting residue is recrystallized from ethyl acetate/n-hexane to give 5-oxo-1-[4-(2-carbamoylmethoxy-benzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine (436 mg) as white powder, m.p. 226 - 228°C.

Using the suitable starting materials, the compound of above Example 842 is obtained in the same manner as above Example 923.

Example 924

A mixture of 5-methylamino-4-hydroxy-1-[4-(2-chlorobenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine (0.13 g), ethyl α -bromoacetate (58 mg), diisopropylethylamine (49 mg) and acetonitrile (5 ml) is refluxed for 10 hours. Acetonitrile is distilled off under reduced pressure, and the resulting residue is dissolved in dichloromethane, washed with water, dried over magnesium sulfate, and distilled off under reduced pressure. The resulting residue is purified by silica gel column chromatography (eluent; dichloromethane : methanol = 50 : 1), and recrystallized from chloroform/methanol to give 7-[4-(2-chlorobenzoylamino)benzoyl]-1-methyl-1,2,3,4a,5,6,7,11b-

octahydro-3-oxo[1]benzazepino[4,5-b][1,4]oxazine (80 mg) as colorless prisms, m.p. 286 - 290°C.

Example 925

To a solution of 5-oxo-1-[2-chloro-4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine (1 g) in methanol (20 ml) and dichloromethane (20 ml) is added hydroxylamine-O-sulfonic acid (0.28 g) with stirring at room temperature, and the mixture is stirred at the same temperature for 1 hour. Subsequently, to the reaction solution is added with stirring an aqueous solution of potassium carbonate (0.34 g) in water (1 ml) at room temperature, and the mixture is stirred at the same temperature for 2 hours. The precipitated crystal is removed by filtration, and the filtrate is concentrated under reduced pressure. The resulting residue is purified by silica gel column chromatography to give potassium {1-[2-chloro-4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepin-5-yl}imino-O-sulfonate (0.4 g) as white powder.

$^1\text{H-NMR}$ ($\text{DMSO}-d_6$) δ ; 1.40-1.75 (1H, m), 1.90-2.15 (1H, m), 2.33 (3H, s), 2.50-2.80 (2H, m), 3.10-3.50 (1H, m), 4.40-4.65 (1H, m), 6.85-7.60 (10H, m), 7.85 (1H, s), 10.44 (1H, s)

Example 926

Using the suitable starting materials, the compounds of above Examples 841 - 843, 868 - 870, 888 and

889 are obtained in the same manner as in above Example 380.

Example 927

Using the suitable starting materials, the compounds of above Examples 876 - 878 are obtained in the same manner as in above Example 381.

Example 928

Using the suitable starting materials, the compounds of above Examples 840, 842 and 846 are obtained in the same manner as in above Example 384.

Example 929

Using the suitable starting materials, the compounds of above Examples 788 - 790, 796 - 804, 805, 808, 811, 814, 818, 819, 824, 826, 827, 837, 845, 848, 850, 852, 855, 856 - 858, 860, 861, 863 - 882, 885, 886, 888 - 893 and 895 - 898 are obtained in the same manner as in above Example 388.

Example 930

Using the suitable starting materials, the compound of above Example 848 is obtained in the same manner as in above Example 393.

Example 931

Using the suitable starting materials, the compounds of above Examples 841 and 842 are obtained in the same manner as in above Example 402.

Example 932

Using the suitable starting materials, the

compounds of above Examples 882 and 897 are obtained in the same manner as in above Example 403.

Example 933

Using the suitable starting materials, the compound of above Example 809 is obtained in the same manner as in above Example 634.

Example 934

Using the suitable starting materials, the compounds of above Examples 828 - 838 are obtained in the same manner as in above Example 640.

Example 935

Using the suitable starting materials, the compound of above Example 810 is obtained in the same manner as in above Example 772.

Example 936

Using the suitable starting materials, the compound of above Example 788 is obtained in the same manner as in above Example 771.

Example 937

Using the suitable starting materials, the compounds of above Examples 785, 787, 788 - 790, 796 - 805, 806, 807, 808, 811, 814, 818, 819, 845, 848, 849, 850, 852, 855, 856 - 858, 860, 861, 863 - 882, 885, 886, 888 - 893 and 896 - 898 are obtained in the same manner as in above Example 390.

Example 938

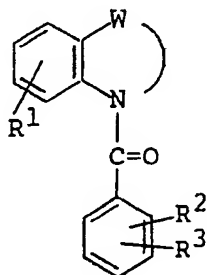
To 5-methanesulfonyloxymethyl-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine (0.50 g) is added a 30 % solution of methylamine in methanol (50 ml), and the mixture is heated at 100°C for 3 hours in a sealed tube. After cooling, the reaction solution is evaporated under reduced pressure, and the resulting residue is purified by silica gel column chromatography (eluent; dichloromethane : methanol : aqueous ammonia = 100 : 10 : 1) to give 5-methylaminomethyl-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine (0.07 g).

$^1\text{H-NMR}$ ($\text{DMSO}-d_6$) δ ; 1.40-2.18 (4H, m), 2.34 (3H, s), 2.47 (3H, s), 2.54-3.50 (4H, m), 4.30-5.08 (1H, m), 6.56-6.82 (1H, m), 6.87-7.48 (10H, m), 7.48-7.75 (2H, m), 10.35 (1H, s)

Using the suitable starting materials, the compounds of above Examples 823 - 825 are obtained in the same manner as in above Example 938.

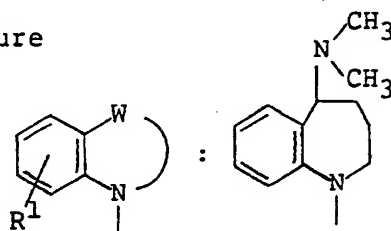
Using the above suitable starting materials, the compounds of the following Table 6 are obtained in the same manner as in Examples 1 and 382.

Table 6

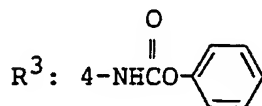


Example 939

Structure



R^2 : H



Crystalline form: White powder

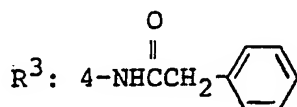
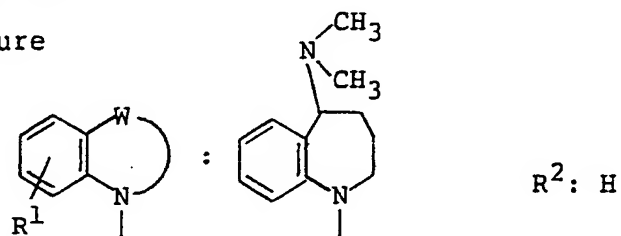
Recrystallization solvent: Ethanol

Melting Point: 208 - 211°C

Form: Free

Example 940

Structure



Crystalline form: White powder

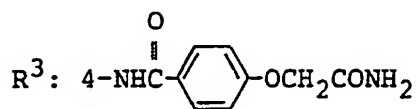
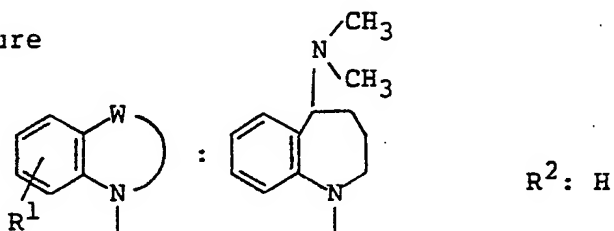
Recrystallization solvent: Methanol/diethyl ether

Melting Point: 171.5 - 172.5°C

Form: Free

Example 941

Structure



Crystalline form: White powder

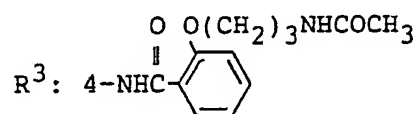
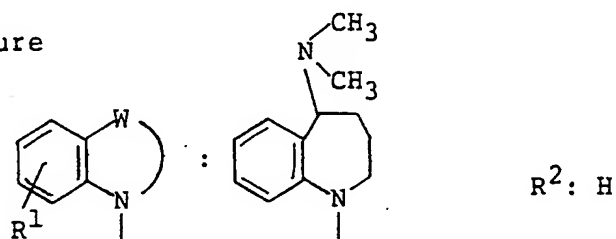
Recrystallization solvent: Methanol/diethyl ether

Melting Point: 151 - 154°C

Form: Free

Example 942

Structure



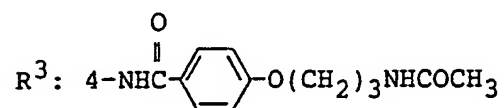
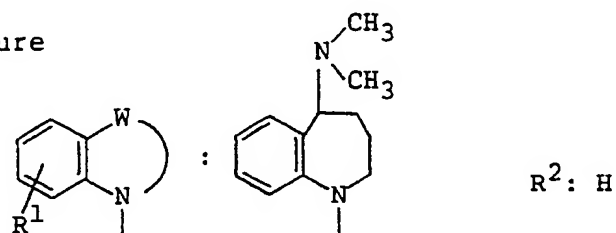
Crystalline form: Colorless amorphous

NMR analysis: 167)

Form: Free

Example 943

Structure



Crystalline form: White powder

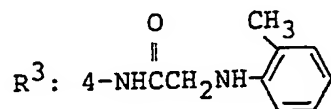
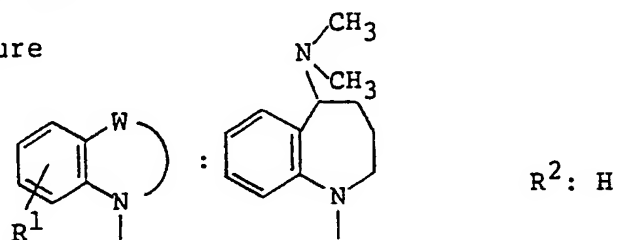
Recrystallization solvent: Methanol/diethyl ether

Melting Point: 180 - 183°C

Form: Free

Example 944

Structure



Crystalline form: White powder

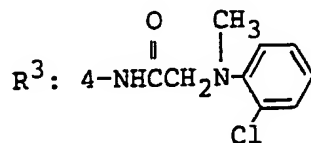
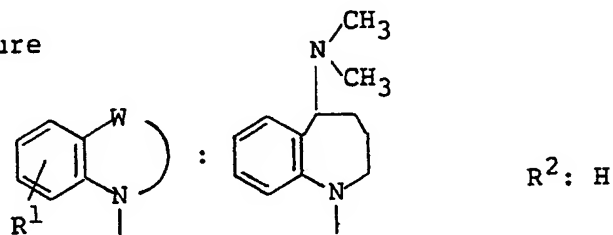
Recrystallization solvent: Methanol/diethyl ether

Melting Point: 109 - 110°C

Form: Free

Example 945

Structure



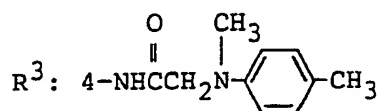
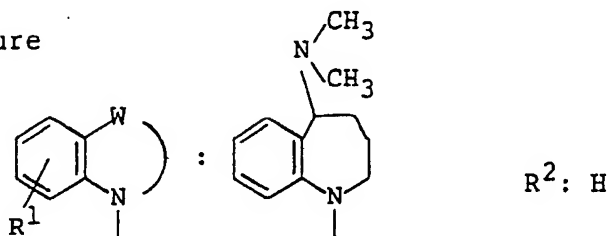
Crystalline form: Colorless oil

NMR analysis: 168)

Form: Free

Example 946

Structure



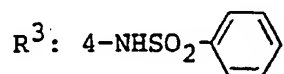
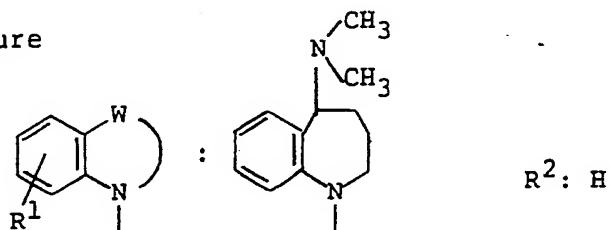
Crystalline form: Colorless oil

NMR analysis: 169)

Form: Free

Example 947

Structure



Crystalline form: White powder

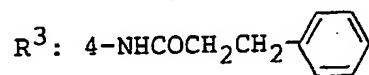
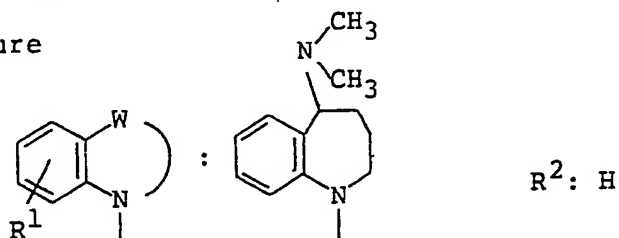
Recrystallization solvent: Methanol/diethyl ether

Melting Point: 177 - 178.5°C

Form: Free

Example 948

Structure



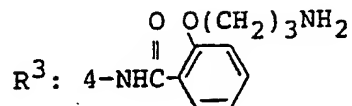
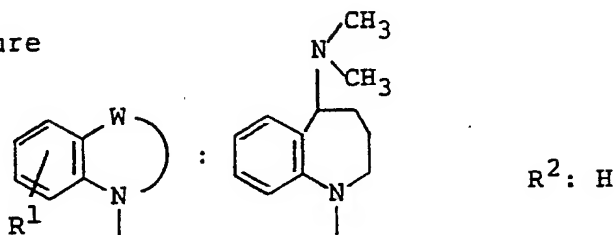
Crystalline form: Colorless amorphous

NMR analysis: 170)

Form: Free

Example 949

Structure



Crystalline form: White powder

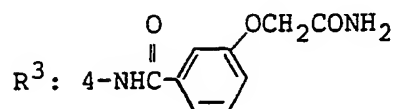
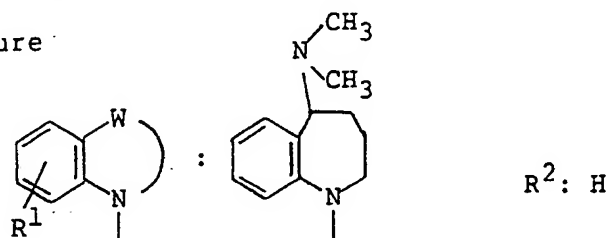
Recrystallization solvent: Methanol/diethyl ether

Melting Point: 162 - 165°C

Form: Free

Example 950

Structure



Crystalline form: White powder

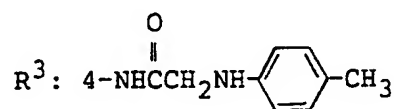
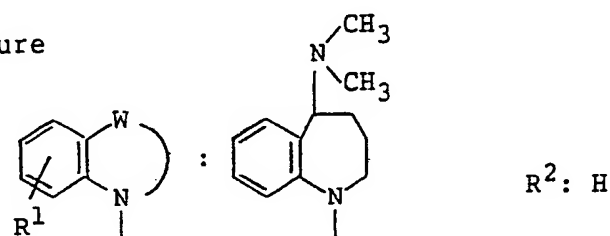
Recrystallization solvent: Methanol/diethyl ether

Melting Point: 212 - 215°C

Form: Free

Example 951

Structure



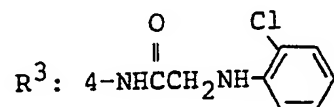
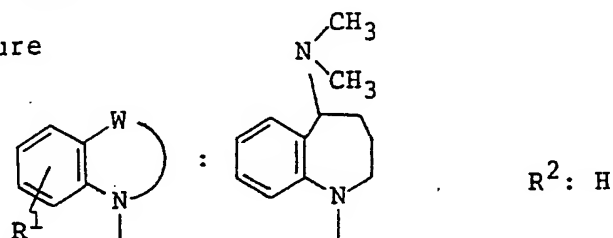
Crystalline form: Colorless oil

NMR analysis: 171)

Form: Free

Example 952

Structure



Crystalline form: White powder

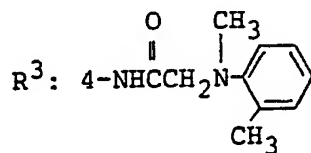
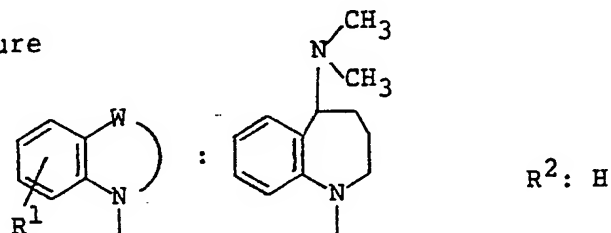
Recrystallization solvent: Methanol/diethyl ether

Melting Point: 112 - 114°C

Form: Free

Example 953

Structure



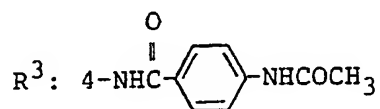
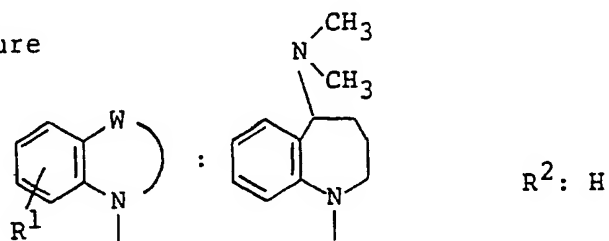
Crystalline form: Colorless oil

NMR analysis: 172)

Form: Free

Example 954

Structure



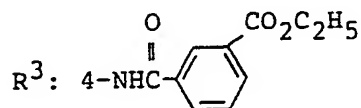
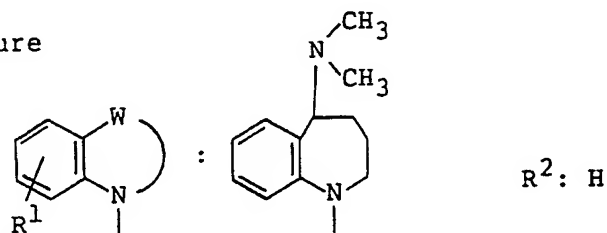
Crystalline form: Colorless amorphous

NMR analysis: 173)

Form: Free

Example 955

Structure



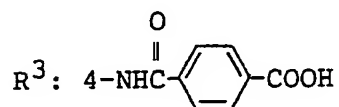
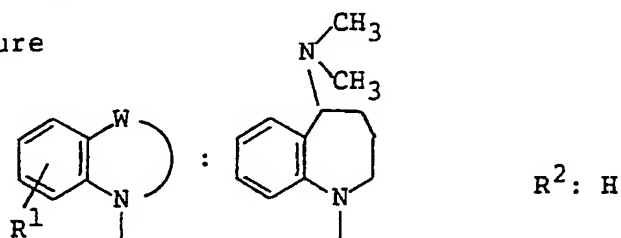
Crystalline form: Light yellow amorphous

NMR analysis: 174)

Form: Free

Example 956

Structure



Crystalline form: White powder

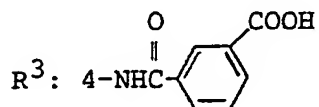
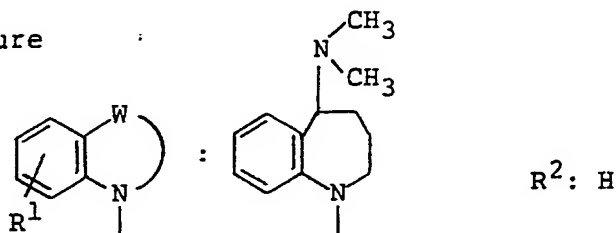
Recrystallization solvent: Diethyl ether

Melting Point: 189 - 193°C

Form: Free

Example 957

Structure



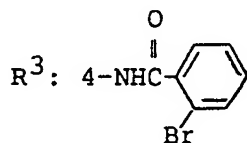
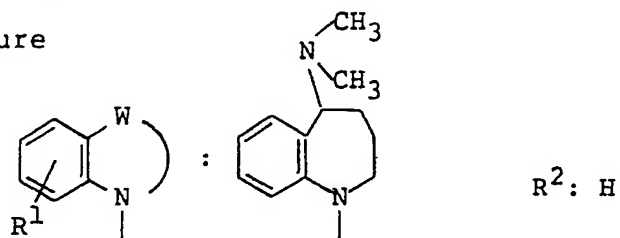
Crystalline form: Colorless amorphous

NMR analysis: 175)

Form: Free

Example 958

Structure



Crystalline form: Colorless prisms

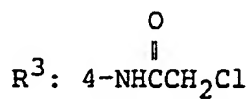
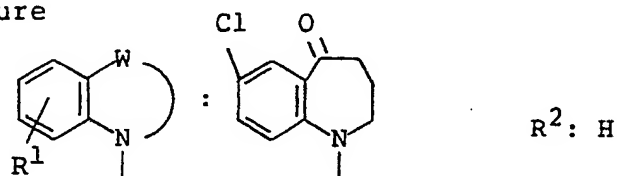
Recrystallization solvent: Ethanol

Melting Point: 234 - 238°C

Form: Free

Example 959

Structure



Crystalline form: White powder

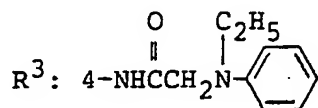
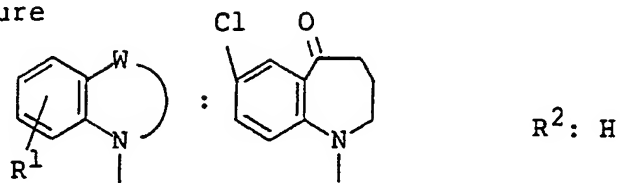
Recrystallization solvent: Diethyl ether/dichloromethane

Melting Point: 183 - 184.5°C

Form: Free

Example 960

Structure



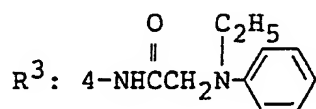
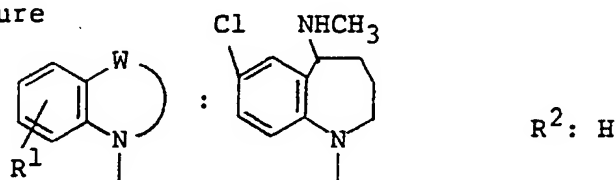
Crystalline form: Brown oil

NMR analysis: 176)

Form: Free

Example 961

Structure



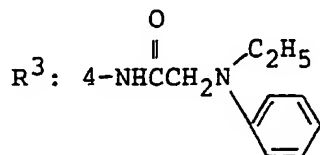
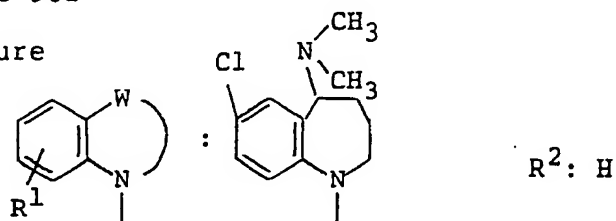
Crystalline form: Colorless amorphous

NMR analysis: 177)

Form: Free

Example 962

Structure



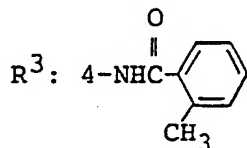
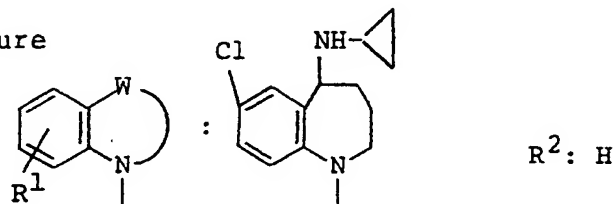
Crystalline form: Colorless amorphous

NMR analysis: 178)

Form: Free

Example 963

Structure



Crystalline form: White powder

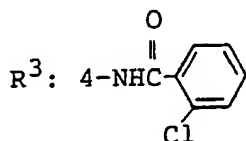
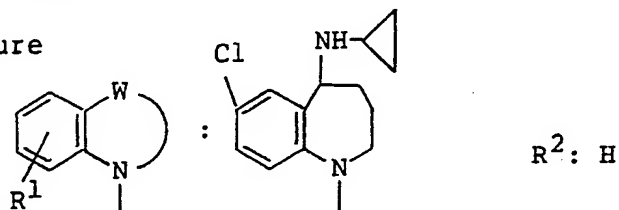
Recrystallization solvent: Dichloromethane/diethyl ether

Melting Point: 202.5 - 204.5°C

Form: Free

Example 964

Structure



Crystalline form: White powder

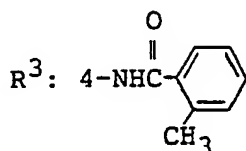
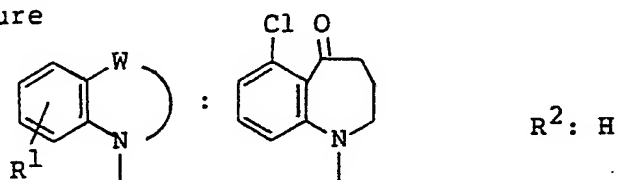
Recrystallization solvent: Dichloromethane/diethyl ether

Melting Point: 199.5 - 201°C

Form: Free

Example 965

Structure



Crystalline form: White powder

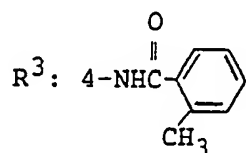
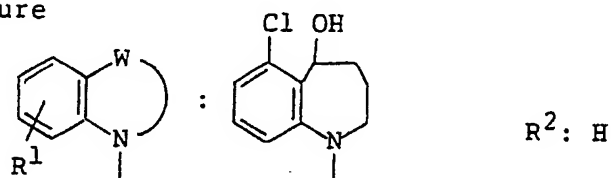
Recrystallization solvent: Dichloromethane/diethyl ether

Melting Point: 196.5 - 197°C

Form: Free

Example 966

Structure



Crystalline form: White powder

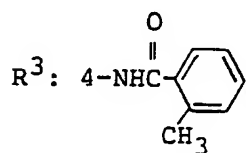
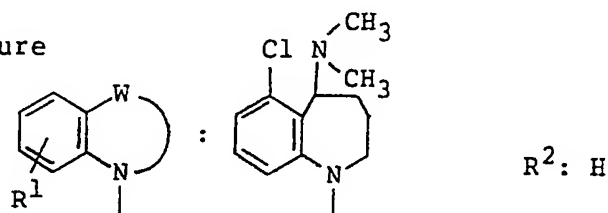
Recrystallization solvent: Dichloromethane/diethyl ether

Melting Point: 204 - 205°C

Form: Free

Example 967

Structure



Crystalline form: White powder

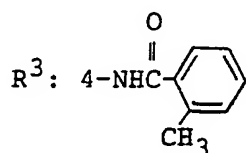
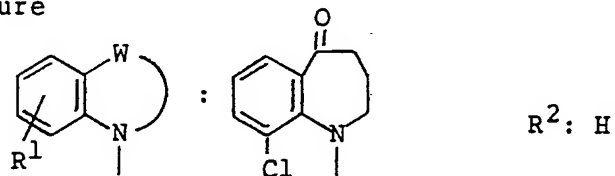
Recrystallization solvent: Dichloromethane/diethyl ether

Melting Point: 175 - 177°C

Form: Free

Example 968

Structure



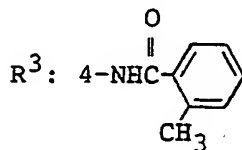
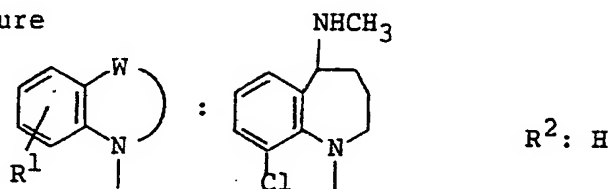
Crystalline form: Pink amorphous

NMR analysis: 179)

Form: Free

Example 969

Structure



Crystalline form: White powder

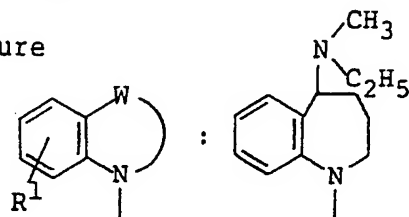
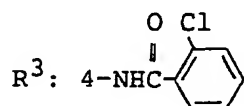
Recrystallization solvent: Dichloromethane/diethyl ether

Melting Point: 186 - 189°C

Form: Free

Example 970

Structure

R²: 2-Cl

Crystalline form: Colorless prisms

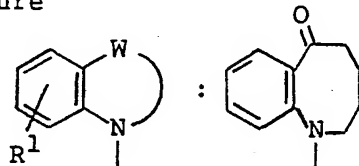
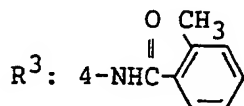
Recrystallization solvent: Ethyl acetate/n-hexane

Melting Point: 211 - 212°C

Form: Free

Example 971

Structure

R²: H

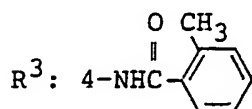
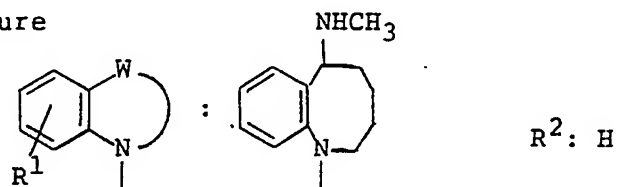
Crystalline form: Colorless amorphous

NMR analysis: 180)

Form: Free

Example 972

Structure



Crystalline form: Colorless needles

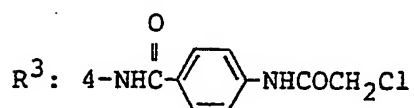
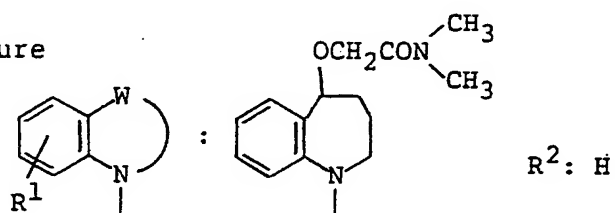
Recrystallization solvent: Ethanol

Melting Point: 206 - 207°C

Form: Free

Example 973

Structure



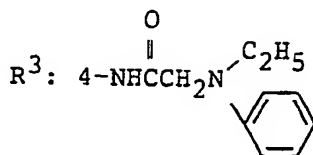
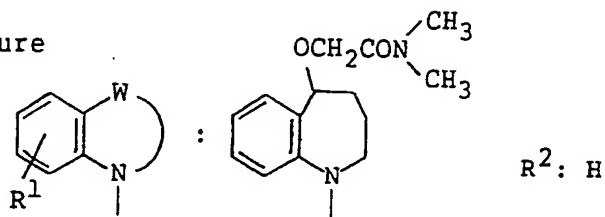
Crystalline form: Colorless amorphous

NMR analysis: 181)

Form: Free

Example 974

Structure



Crystalline form: White powder

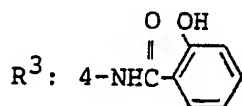
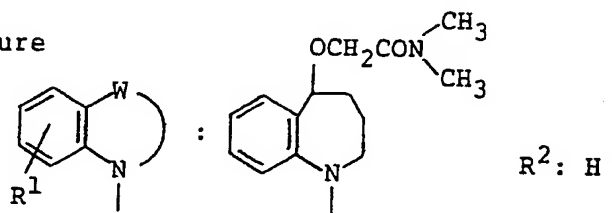
Recrystallization solvent: Ethyl acetate/n-hexane

Melting Point: 152 - 154°C

Form: Free

Example 975

Structure



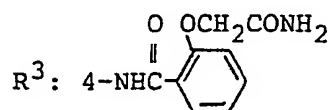
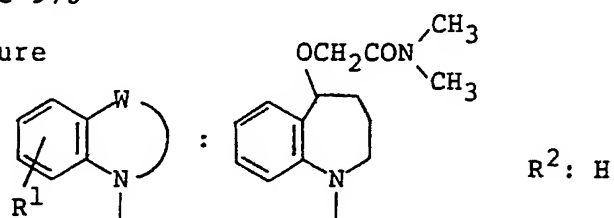
Crystalline form: Colorless amorphous

NMR analysis: 182)

Form: Free

Example 976

Structure



Crystalline form: White powder

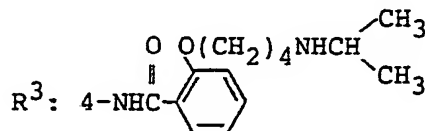
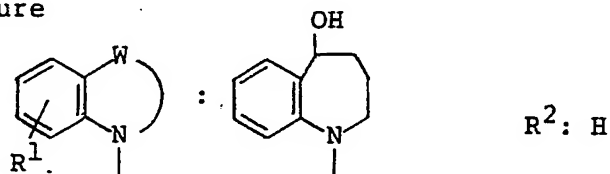
Recrystallization solvent: Ethyl acetate/n-hexane

Melting Point: 204 - 206°C

Form: Free

Example 977

Structure



Crystalline form: Colorless needles

Recrystallization solvent: Ethyl acetate/n-hexane

Melting Point: 162 - 163°C

Form: Free

- 167) $^1\text{H-NMR}$ (CDCl_3) δ ; 1.14-2.83 (13H, m), 2.43 (3H, s), 2.95-5.19 (4H, m), 4.12 (2H, t, $J=6.2$ Hz), 6.27-6.83 (2H, m), 6.83-7.36 (6H, m), 7.36-7.67 (4H, m), 7.93-8.11 (1H, m), 9.77 (1H, brs)
- 168) $^1\text{H-NMR}$ (CDCl_3) δ ; 1.11-2.98 (11H, m), 2.80 (3H, s), 3.69 (2H, s), 2.98-5.24 (2H, m), 6.50-7.71 (12H, m), 9.37 (1H, brs)
- 169) $^1\text{H-NMR}$ (CDCl_3) δ ; 1.10-2.80 (14H, m), 2.99 (3H, s), 3.39-5.20 (2H, m), 4.00 (2H, s), 6.49-7.67 (12H, m), 8.51 (1H, brs)
- 170) $^1\text{H-NMR}$ (CDCl_3) δ ; 1.10-1.98 (3H, m), 1.98-2.82 (10H, m), 2.82-3.20 (2H, m), 3.34-5.15 (2H, m), 6.48-7.68 (15H, m), 7.86 (1H, brs)
- 171) $^1\text{H-NMR}$ (CDCl_3) δ ; 1.10-2.84 (10H, m), 2.40 (3H, s), 2.90-5.20 (2H, m), 3.79 (2H, d, $J=2.7$ Hz), 4.33 (1H, br), 6.30-7.68 (12H, m), 8.67 (1H, brs)
- 172) $^1\text{H-NMR}$ (CDCl_3) δ ; 1.10-2.85 (14H, m), 2.72 (3H, s), 2.98-5.20 (2H, m), 3.62 (2H, s), 6.50-7.75 (12H, m), 9.18 (1H, brs)
- 173) $^1\text{H-NMR}$ (DMSO-d_6) δ ; 1.28-2.62 (4H, m), 2.07 (3H, s), 2.34 (6H, s), 3.04-3.57 (2H, m), 3.99-4.86 (1H, m), 6.62-7.88 (12H, m), 10.12-10.20 (2H, m)
- 174) $^1\text{H-NMR}$ (CDCl_3) δ ; 1.39 (3H, t, $J=7.1$ Hz), 1.64-2.68 (4H, m), 2.42 (6H, s), 3.04-3.58 (2H, m), 3.98-5.01 (1H, m), 4.38 (2H, q, $J=7.1$ Hz), 6.57-8.57 (13H, m)

- 175) $^1\text{H-NMR}$ ($\text{DMSO}-d_6$) δ ; 1.67-5.02 (7H, m), 3.35 (6H, s), 6.75-8.17 (12H, m), 8.46 (1H, s), 10.54 (1H, s)
- 176) $^1\text{H-NMR}$ (CDCl_3) δ ; 1.21 (3H, t, $J=7.1$ Hz), 1.95-2.30 (2H, m), 2.88 (2H, t, $J=6.2$ Hz), 3.40-3.65 (2H, m), 3.70-4.50 (2H, m), 3.91 (2H, s), 6.66 (1H, d, $J=8.5$ Hz), 6.70-7.00 (3H, m), 7.10-7.50 (7H, m), 7.81 (1H, d, $J=2.5$ Hz), 8.44 (1H, s)
- 177) $^1\text{H-NMR}$ (CDCl_3) δ ; 1.21 (3H, t, $J=7$ Hz), 1.30-5.20 (11H, m), 3.48 (2H, q, $J=7$ Hz), 3.90 (2H, s), 6.53 (1H, d, $J=8.3$ Hz), 6.65-7.00 (4H, m), 7.00-7.40 (6H, m), 7.51 (1H, d, $J=2.5$ Hz), 8.40 (1H, s)
- 178) $^1\text{H-NMR}$ (CDCl_3) δ ; 1.21 (3H, t, $J=7$ Hz), 1.20-5.20 (15H, m), 3.90 (2H, s), 6.48 (1H, d, $J=8.3$ Hz), 6.50-7.70 (11H, m), 8.39 (1H, s)
- 179) $^1\text{H-NMR}$ (CDCl_3) δ ; 1.60-2.20 (1H, m), 2.10-2.35 (1H, m), 2.45 (3H, s), 2.70-2.95 (2H, m), 3.25-3.45 (1H, m), 4.60-4.85 (1H, m), 7.10-7.80 (12H, m)
- 180) $^1\text{H-NMR}$ (CDCl_3) δ ; 1.65-2.15 (4H, m), 2.46 (3H, s), 2.6-5.15 (4H, m), 6.75-6.95 (1H, m), 7.15-7.55 (10H, m), 7.61 (1H, s), 7.95-8.1 (1H, m)
- 181) $^1\text{H-NMR}$ (CDCl_3) δ ; 1.60-2.15 (3H, m), 2.15-2.90 (2H, m), 2.90-3.22 (6H, m), 4.00-4.50 (2H, m), 4.13 (2H, s), 4.58-5.22 (2H, m), 6.53-6.80 (1H, m), 6.90-7.90 (7H, m), 8.48 (1H, s)
- 182) $^1\text{H-NMR}$ (CDCl_3) δ ; 1.48-2.20 (3H, m), 2.20-2.85 (2H, m), 2.85-3.27 (6H, m), 4.05-4.47 (2H, m),

4.47-5.22 (2H, m), 6.50-6.76 (1H, m), 6.76-6.91
(1H, m), 6.91-7.69 (9H, m), 7.69-8.13 (1H, m), 9.28
(1H, s), 11.87 (1H, brs)

Example 978

5-Dimethylamino-1-(2-methyl-4-aminobenzoyl)-
2,3,4,5-tetrahydro-1H-benzazepine (H) (1.00 g) is dissolved
in dichloromethane (30 ml), and thereto is added triethyl-
amine (0.48 ml) under ice-cooling, and further added
dropwise 2-methylbenzoyl chloride (0.44 ml). The mixture is
stirred at room temperature for 1 hour. The reaction
solution is washed with water, and dried over magnesium
sulfate. The solvent is distilled off, and the resulting
residue is crystallized by adding thereto ethyl acetate.
The precipitated crystal is recrystallized from dichloro-
methane/ethyl acetate to give 5-dimethylamino-1-[2-methyl-4-
(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-
benzazepine (0.92 g) as white powder, m.p. 191 - 192°C.

HPLC retention time: 7.5 minutes

Column; Wakosil II 5C₁₈ (trade mark; Wako Pure
Chemical Co., Ltd.)

Solvent; acetonitrile : 50 mM aqueous Na₂SO₄
solution : acetic acid = 27 : 73 : 1

Rate; 1.0 ml/min.

$[\alpha]_D^{22} = 0^\circ$ (c=1.0, chloroform)

¹H-NMR (CDCl₃) δ ; 1.15-3.25 (17H, m), 3.35-5.14

(2H, m), 6.62-8.05 (12H, m)

Charts of $^1\text{H-NMR}$ (CDCl_3) of the starting compound (H) and the compound obtained in Example 978 are shown in Fig. 1 and Fig. 2, respectively.

Example 979

Using 5-dimethylamino-1-(2-methyl-4-aminobenzoyl)-2,3,4,5-tetrahydro-1H-benzazepine (G) (1.00 g), 5-dimethylamino-1-[2-methyl-4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine (0.48 g) is obtained in the same manner as in Example 978 except that methanol/diethyl ether is used instead of ethyl acetate as recrystallization solvent, as white powder, m.p. 183 - 185°C.

HPLC retention time : 8.1 minutes

(the conditions of HPLC are same as those in Example 978)

$[\alpha]_D^{22} = 0^\circ$ (c=1.3, chloroform)

$^1\text{H-NMR}$ (CDCl_3) δ ; 1.10-3.20 (17H, m), 3.35-5.15 (2H, m), 6.50-6.80 (1H, m), 6.86-7.62 (10H, m), 7.65-8.09 (1H, m)

Charts of $^1\text{H-NMR}$ (CDCl_3) of the starting compound (G) and the compound obtained in Example 979 are shown in Fig. 3 and Fig. 4, respectively.

Reference Example 18

Using the suitable starting materials, the following compounds are obtained in the same manner as in Reference Example 1.

7-Methoxy-5-oxo-1-(4-nitrobenzoyl)-2,3,4,5-tetrahydro-1H-benzazepine, colorless needles, m.p. 178 - 178.5°C (recrystallized from ethyl acetate/n-hexane)

7-Methoxy-5-oxo-1-(2-chloro-4-nitrobenzoyl)-2,3,4,5-tetrahydro-1H-benzazepine, white powder, m.p. 150 - 151°C (recrystallized from ethyl acetate/n-hexane)

7-Methoxy-5-oxo-1-(3-methoxy-4-nitrobenzoyl)-2,3,4,5-tetrahydro-1H-benzazepine, white powder, m.p. 116 - 118°C (recrystallized from ethyl acetate/n-hexane)

7-Chloro-5-oxo-1-(3-methoxy-4-nitrobenzoyl)-2,3,4,5-tetrahydro-1H-benzazepine, yellow powder, m.p. 156 - 158°C (recrystallized from diethyl ether/dichloromethane)

Reference Example 19

Using the suitable starting materials, the following compounds are obtained in the same manner as in Reference Example 2.

7-Methoxy-5-oxo-1-(4-aminobenzoyl)-2,3,4,5-tetrahydro-1H-benzazepine, white powder, m.p. 172.5 - 173.5°C (recrystallized from ethyl acetate/n-hexane)

7-Methoxy-5-oxo-1-(2-chloro-4-aminobenzoyl)-2,3,4,5-tetrahydro-1H-benzazepine, white powder, m.p. 153 - 155°C (recrystallized from ethyl acetate/n-hexane)

7-Methoxy-5-oxo-1-(3-methoxy-4-aminobenzoyl)-2,3,4,5-tetrahydro-1H-benzazepine, colorless needles, m.p. 170 - 171°C (recrystallized from ethyl acetate/n-hexane)

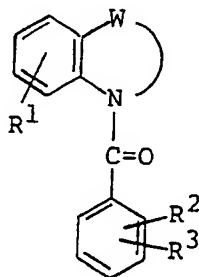
7-Chloro-5-oxo-1-(3-methoxy-4-aminobenzoyl)-

2,3,4,5-tetrahydro-1H-benzazepine, yellow oil

$^1\text{H-NMR}$ (CDCl_3) δ ; 2.05-2.30 (2H, m), 2.85-3.00 (2H, m), 3.70 (3H, s), 3.85-4.30 (4H, m), 6.42 (1H, d, $J=8.1$ Hz), 6.64 (1H, dd, $J=1.7$ Hz, 8.1 Hz), 6.72 (1H, d, $J=8.5$ Hz), 6.80 (1H, d, $J=1.8$ Hz), 7.19 (1H, dd, $J=2.6$ Hz, 8.5 Hz), 7.81 (1H, d, $J=2.5$ Hz)

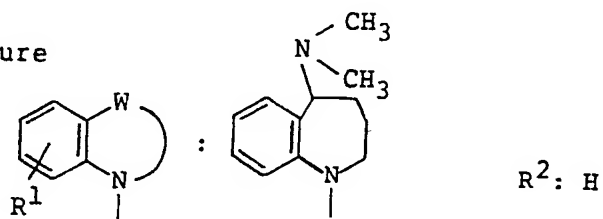
Using the suitable starting materials, the compounds of the following Table 7 are obtained in the same manner as in above Examples 1 and 382.

Table 7

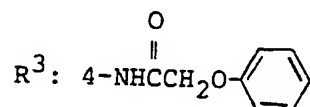


Example 980

Structure



R^2 : H



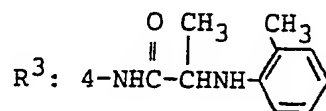
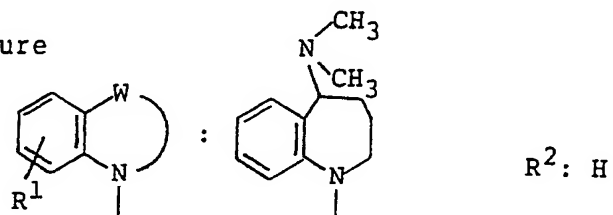
Crystalline form: Colorless amorphous

NMR analysis: 183)

Form: Free

Example 981

Structure



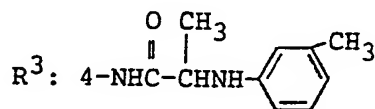
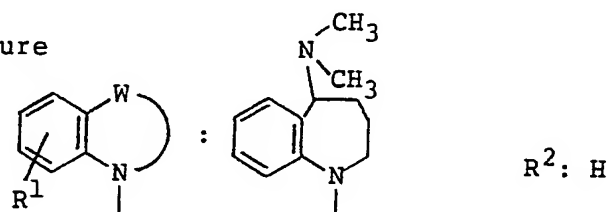
Crystalline form: Colorless amorphous

NMR analysis: 184)

Form: Free

Example 982

Structure



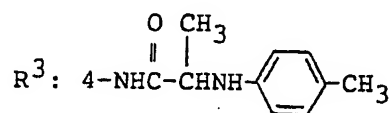
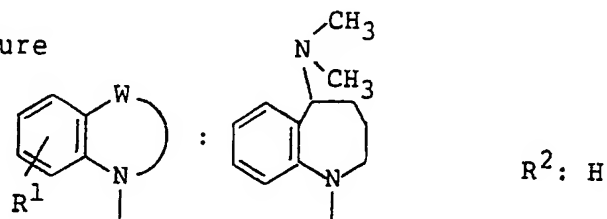
Crystalline form: Colorless amorphous

NMR analysis: 185)

Form: Free

Example 983

Structure



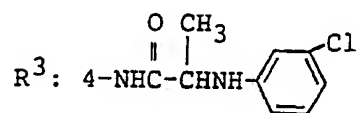
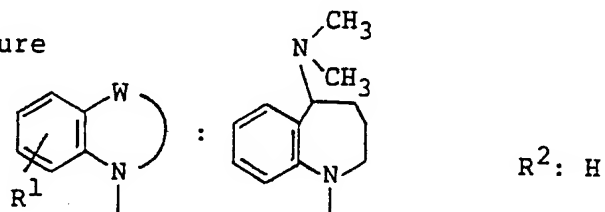
Crystalline form: Colorless amorphous

NMR analysis: 186)

Form: Free

Example 984

Structure



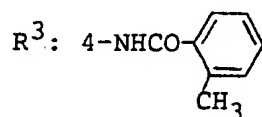
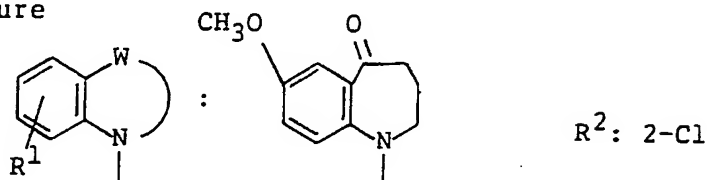
Crystalline form: Colorless amorphous

NMR analysis: 187)

Form: Free

Example 985

Structure



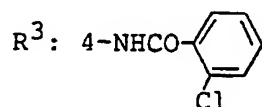
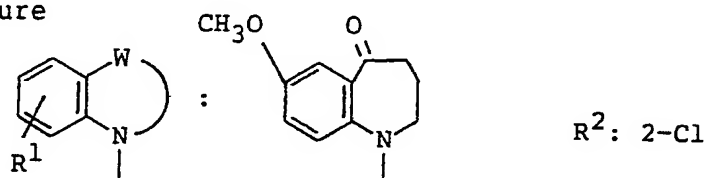
Crystalline form: Colorless amorphous

NMR analysis: 188)

Form: Free

Example 986

Structure



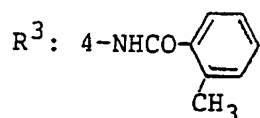
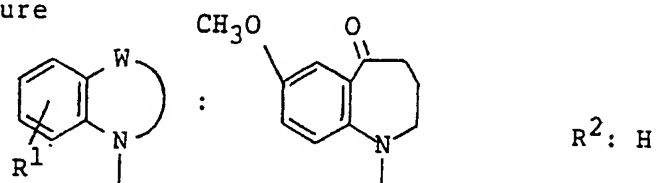
Crystalline form: Colorless amorphous

NMR analysis: 189)

Form: Free

Example 987

Structure



Crystalline form: White powder

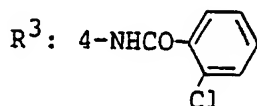
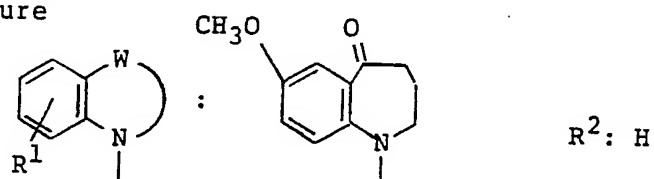
Recrystallization solvent: Ethanol/water

Melting Point: 267 - 268°C

Form: Free

Example 988

Structure



Crystalline form: White powder

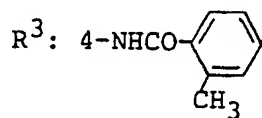
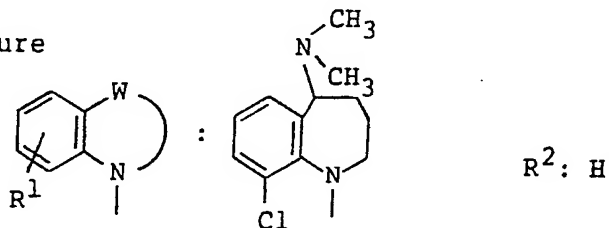
Recrystallization solvent: Ethanol/water

Melting Point: 264 - 266°C

Form: Free

Example 989

Structure



Crystalline form: White powder

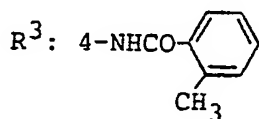
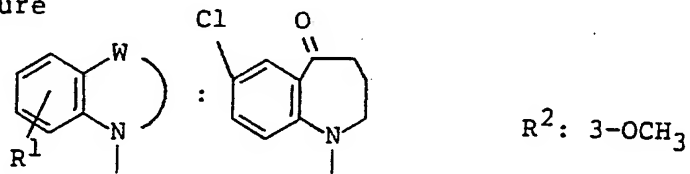
Recrystallization solvent: Dichloromethane/diethyl ether

Melting Point: 218 - 220°C

Form: Free

Example 990

Structure



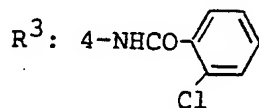
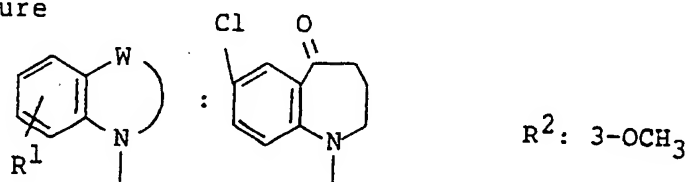
Crystalline form: Yellow oil

NMR analysis: 190)

Form: Free

Example 991

Structure



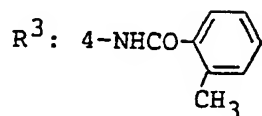
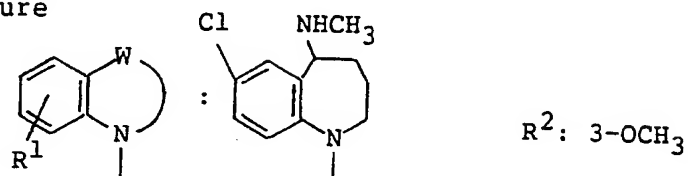
Crystalline form: Yellow oil

NMR analysis: 191)

Form: Free

Example 992

Structure



Crystalline form: Yellow powder

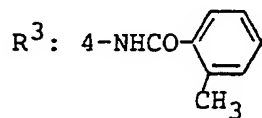
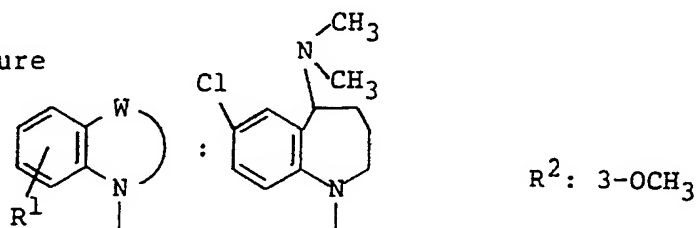
Recrystallization solvent: Dichloromethane/diethyl ether

Melting Point: 174 - 177°C

Form: Free

Example 993

Structure



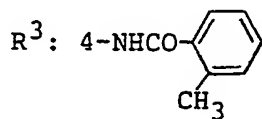
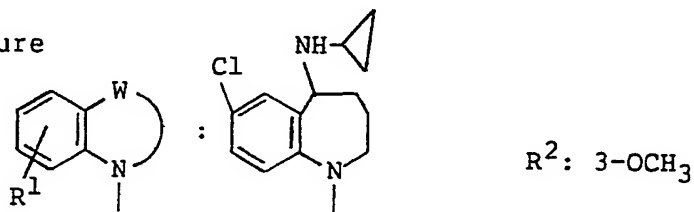
Crystalline form: Yellow amorphous

NMR analysis: 192)

Form: Free

Example 994

Structure



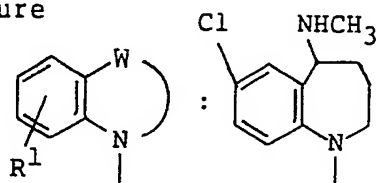
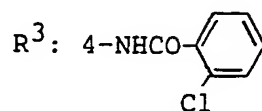
Crystalline form: Colorless amorphous

NMR analysis: 193)

Form: Free

Example 995

Structure

 $R^2: 3\text{-OCH}_3$ 

Crystalline form: White powder

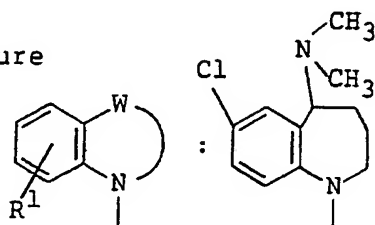
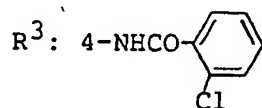
Recrystallization solvent: Diethyl ether/dichloromethane

Melting Point: 163 - 165°C

Form: Free

Example 996

Structure

 $R^2: 3\text{-OCH}_3$ 

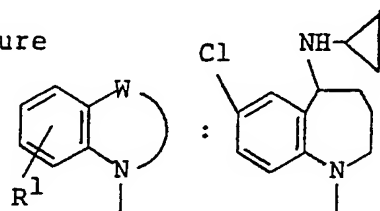
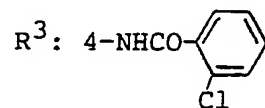
Crystalline form: Colorless amorphous

NMR analysis: 194)

Form: Free

Example 997

Structure

R²: 3-OCH₃

Crystalline form: Colorless amorphous

NMR analysis: 195)

Form: Free

- 183) ^1H -NMR (CDCl_3) δ ; 1.10-2.83 (11H, m), 2.96-5.21 (2H, m), 4.55 (2H, s), 6.48-7.72 (13H, m), 8.30 (1H, brs)
- 184) ^1H -NMR (CDCl_3) δ ; 1.10-2.85 (11H, m), 1.58 (3H, d, $J=6.8$ Hz), 2.23 (3H, s), 2.95-5.19 (4H, m), 6.38-7.70 (12H, m), 8.69 (1H, brs)
- 185) ^1H -NMR (CDCl_3) δ ; 1.10-2.85 (14H, m), 2.26 (3H, s), 2.96-5.19 (4H, m), 6.36-7.68 (12H, m), 8.72 (1H, brs)
- 186) ^1H -NMR (CDCl_3) δ ; 1.09-2.72 (11H, m), 1.53 (3H, d, $J=6.9$ Hz), 2.24 (3H, s), 2.93-5.21 (4H, m), 6.30-7.78 (12H, m), 8.76 (1H, brs)
- 187) ^1H -NMR (CDCl_3) δ ; 1.10-2.82 (14H, m), 2.96-5.20 (4H, m), 6.38-7.70 (12H, m), 8.54 (1H, brs)
- 188) ^1H -NMR (CDCl_3) δ ; 1.64-2.28 (2H, m), 2.41 (3H, s), 2.60-2.90 (2H, m), 2.90-3.70 (1H, m), 3.76 (3H, s), 4.10-5.10 (1H, m), 6.60-7.70 (10H, m), 8.51 (1H, s)
- 189) ^1H -NMR (CDCl_3) δ ; 1.64-2.43 (2H, m), 2.67-2.97 (2H, m), 3.00-3.70 (1H, m), 3.77 (3H, s), 4.20-5.10 (1H, m), 6.60-7.75 (10H, m), 8.51 (1H, s)
- 190) ^1H -NMR (CDCl_3) δ ; 2.00-2.35 (2H, m), 2.49 (3H, s), 2.89 (2H, t, $J=6.2$ Hz), 3.72 (3H, s), 3.40-4.80 (2H, m), 6.74 (2H, d, $J=8.5$ Hz), 6.80-7.00 (2H, m), 7.25-7.60 (5H, m), 7.80 (1H, d, $J=2.6$ Hz), 8.16 (1H, s), 8.37 (1H, d, $J=8.6$ Hz)

- 191) $^1\text{H-NMR}$ (CDCl_3) δ ; 1.90-2.40 (2H, m), 2.90 (2H, t, $J=6.2$ Hz), 3.75 (3H, s), 3.40-4.80 (2H, m), 6.74 (1H, d, $J=8.5$ Hz), 6.80-7.00 (2H, m), 7.10-7.50 (4H, m), 7.73 (1H, dd, $J=2.3$ Hz, 6 Hz), 7.80 (1H, d, $J=2.5$ Hz), 8.38 (1H, d, $J=8.8$ Hz), 8.65 (1H, s)
- 192) $^1\text{H-NMR}$ (CDCl_3) δ ; 1.10-2.10 (13H, m), 2.90-5.20 (6H, m), 6.56 (1H, d, $J=8.4$ Hz), 6.69 (1H, d, $J=7$ Hz), 6.85-7.70 (7H, m), 8.15 (1H, s), 8.31 (1H, d, $J=8.4$ Hz)
- 193) $^1\text{H-NMR}$ (CDCl_3) δ ; 0.30-0.65 (4H, m), 1.20-2.50 (7H, m), 2.50 (3H, s), 3.10-5.20 (2H, m), 3.75 (3H, s), 6.60 (1H, d, $J=8.3$ Hz), 6.70-7.60 (8H, m), 8.14 (1H, s), 8.20-8.40 (1H, m)
- 194) $^1\text{H-NMR}$ (CDCl_3) δ ; 0.80-2.50 (10H, m), 2.90-4.10 (6H, m), 6.50-7.80 (9H, m), 8.32 (1H, d, $J=8$ Hz), 8.62 (1H, s)
- 195) $^1\text{H-NMR}$ (CDCl_3) δ ; 0.30-0.65 (4H, m), 0.70-2.40 (6H, m), 2.60-5.20 (6H, m), 6.50-7.80 (9H, m), 8.30 (1H, d, $J=8$ Hz), 8.62 (1H, s)

Reference Example 20

Using the suitable starting materials, the following compounds are obtained in the same manner as in Reference Example 1.

7-Methyl-5-oxo-1-(4-nitrobenzoyl)-2,3,4,5-tetrahydro-1H-benzazepine, white needles

$^1\text{H-NMR}$ (CDCl_3) δ ; 2.20 (2H, brs), 2.32 (3H, s),

2.88 (2H, t, J=6.3 Hz), 3.40-4.79 (2H, m), 6.57 (1H, d, J=8.0 Hz), 7.04 (1H, d, J=7.7 Hz), 7.36 (2H, d, J=8.6 Hz), 7.62 (1H, d, J=1.7 Hz), 8.04 (2H, d, J=8.7 Hz)

7-Dimethylamino-5-oxo-1-(4-nitrobenzoyl)-2,3,4,5-tetrahydro-1H-benzazepine, red brown prisms (recrystallized from dichloromethane/diethyl ether)

$^1\text{H-NMR}$ (CDCl_3) δ ; 1.75-2.47 (2H, m), 2.60-3.62, 4.51-4.92 (total 4H, m), 2.93 (6H, s), 6.46 (1H, dd, J=2.2 Hz, 7.0 Hz), 6.52 (1H, d, J=7.0 Hz), 7.33 (2H, d, J=7.0 Hz), 8.00 (2H, d, J=7.0 Hz)

7-Bromo-5-oxo-1-(4-nitrobenzoyl)-2,3,4,5-tetrahydro-1H-benzazepine, white powder (recrystallized from dichloromethane/diethyl ether), m.p. 177 - 182°C

7-Chloro-5-oxo-1-(2-methyl-4-nitrobenzoyl)-2,3,4,5-tetrahydro-1H-benzazepine, white powder (recrystallized from dichloromethane/diethyl ether)

$^1\text{H-NMR}$ (CDCl_3) δ ; 1.78-2.37 (2H, m), 2.48 (3H, s), 2.88 (2H, t, J=6.1 Hz), 3.30-5.12 (2H, m), 6.47-6.82 (1H, m), 6.82-7.09 (1H, m), 7.09-7.27 (1H, m), 7.48-8.35 (3H, m)

6-Oxo-1-(2-chloro-4-nitrobenzoyl)-1,2,3,4,5,6-hexahydrobenzazocine, yellow amorphous

$^1\text{H-NMR}$ (CDCl_3) δ ; 1.7-2.1 (4H, m), 2.85-4.7 (4H, m), 7.12 (1H, d, J=8.4 Hz), 7.17-7.51 (4H, m), 7.89 (1H, dd, J=7.8 Hz, 2.1 Hz), 8.11 (1H, d, J=2.2 Hz)

8-Chloro-6-oxo-1-(2-chloro-4-nitrobenzoyl)-

1,2,3,4,5,6-hexahydrobenzazocine, yellow amorphous

$^1\text{H-NMR}$ (CDCl_3) δ ; 1.7-2.15 (4H, m), 2.85-4.8 (4H, m), 7.14 (1H, d, $J=8.5$ Hz), 7.16 (1H, d, $J=8.4$ Hz), 7.34 (1H, dd, $J=8.3$ Hz, 2.5 Hz), 7.85 (1H, d, $J=2.5$ Hz), 7.94 (1H, dd, $J=8.4$ Hz, 2.2 Hz), 8.13 (1H, d, $J=2.1$ Hz)

8-Methyl-6-oxo-1-(2-chloro-4-nitrobenzoyl)-

1,2,3,4,5,6-hexahydrobenzazocine, yellow amorphous

$^1\text{H-NMR}$ (CDCl_3) δ ; 1.65-2.2 (4H, m), 2.33 (3H, s), 2.7-5.0 (4H, m), 7.0-7.25 (3H, m), 7.67 (1H, d, $J=2.0$ Hz), 7.89 (1H, dd, $J=8.4$ Hz, 2.2 Hz), 8.10 (1H, d, $J=2.1$ Hz)

8-Methoxy-6-oxo-1-(2-chloro-4-nitrobenzoyl)-

1,2,3,4,5,6-hexahydrobenzazocine, light yellow amorphous

$^1\text{H-NMR}$ (CDCl_3) δ ; 1.6-2.05 (4H, m), 2.8-5.2 (4H, m), 3.78 (3H, s), 6.88 (1H, dd, $J=8.6$ Hz, 3.1 Hz), 7.11 (1H, d, $J=8.4$ Hz), 7.12 (1H, d, $J=8.6$ Hz), 7.38 (1H, d, $J=3.0$ Hz), 7.90 (1H, dd, $J=8.4$ Hz, 2.2 Hz), 8.11 (1H, d, $J=2.2$ Hz)

7-Chloro-5-oxo-1-(2-chloro-4-nitrobenzoyl)-2,3,4,5-tetrahydro-1H-benzazepine, yellow powder (recrystallized from diethyl ether/dichloromethane), m.p. 125 - 126.5°C

Reference Example 21

Using the suitable starting materials, the following compounds are obtained in the same manner as in Reference Example 2.

7-Methyl-5-oxo-1-(4-aminobenzoyl)-2,3,4,5-tetrahydro-1H-benzazepine, yellow powder

$^1\text{H-NMR}$ (CDCl_3) δ ; 2.13 (2H, brs), 2.32 (3H, s),

2.86 (2H, t, J=6.2 Hz), 2.89-5.29 (2H, m), 3.86 (2H, brs),
6.41 (2H, m), 6.65 (1H, d, J=8.1 Hz), 7.06 (3H, m), 7.65
(1H, d, J=1.7 Hz)

7-Dimethylamino-5-oxo-1-(4-aminobenzoyl)-2,3,4,5-tetrahydro-1H-benzazepine, yellow needles (recrystallized from dichloromethane/diethyl ether)

¹H-NMR (CDCl₃) δ ; 1.78-2.49 (2H, m), 2.64-3.78, 4.07-5.02 (total 4H, m), 2.93 (6H, m), 3.96 (2H, m), 6.38 (2H, d, J=8.7 Hz), 6.55 (1H, dd, J=2.7, 8.7 Hz), 6.62 (1H, d, J=8.7 Hz), 6.96-7.18 (3H, m)

7-Bromo-5-oxo-1-(4-aminobenzoyl)-2,3,4,5-tetrahydro-1H-benzazepine, white powder (recrystallized from methanol/diethyl ether)

¹H-NMR (CDCl₃) δ ; 1.98-2.37 (2H, m), 2.88 (2H, t, J=6.3 Hz), 3.52-4.55 (4H, m), 6.28-6.57 (2H, m), 6.57-6.76 (1H, m), 6.92-7.20 (2H, m), 7.28-7.42 (1H, m), 7.90-8.09 (1H, m)

7-Chloro-5-oxo-1-(2-methyl-4-aminobenzoyl)-2,3,4,5-tetrahydro-1H-benzazepine, white powder (recrystallized from dichloromethane/diethyl ether), m.p. 190 - 191°C

6-Oxo-1-(2-chloro-4-aminobenzoyl)-1,2,3,4,5,6-hexahydrobenzazocine, light yellow amorphous

¹H-NMR (CDCl₃) δ ; 1.3-2.25 (4H, m), 2.8-4.4 (6H, m), 6.1-6.9 (3H, m), 6.95-7.75 (3H, m), 7.8-8.3 (1H, m)

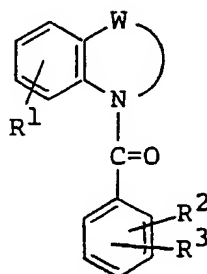
8-Chloro-6-oxo-1-(2-chloro-4-aminobenzoyl)-1,2,3,4,5,6-hexahydrobenzazocine, light yellow amorphous

$^1\text{H-NMR}$ (CDCl_3) δ ; 1.59-2.2 (4H, m), 2.6-4.4 (6H, m), 6.1-6.9 (3H, m), 6.95-7.5 (2H, m), 7.8-8.05 (1H, m)

7-Chloro-5-oxo-1-(2-chloro-4-aminobenzoyl)-2,3,4,5-tetrahydro-1H-benzazepine, yellow powder (recrystallized from diethyl ether/dichloromethane), m.p. 188 - 191.5°C

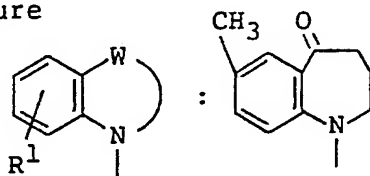
Using the suitable starting materials, the compounds of the following Table 8 are obtained in the same manner as in above Examples 1 and 382.

Table 8

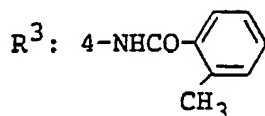


Example 998

Structure



R^2 : H



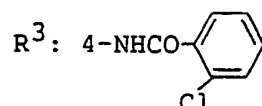
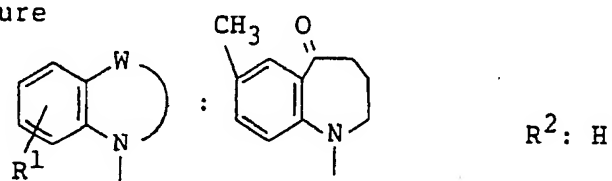
Crystalline form: White powder

NMR analysis: 196)

Form: Free

Example 999

Structure



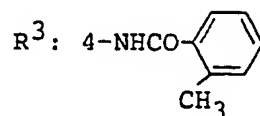
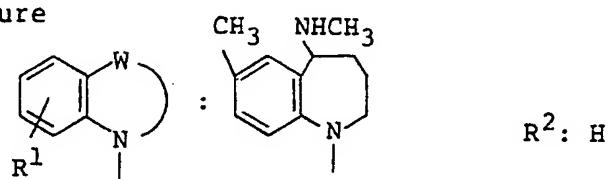
Crystalline form: White powder.

NMR analysis: 197)

Form: Free

Example 1000

Structure



Crystalline form: White powder

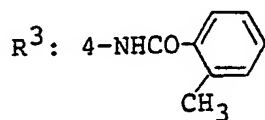
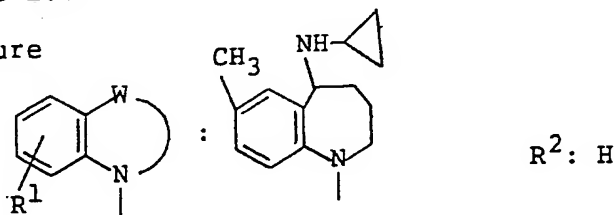
Recrystallization solvent: Dichloromethane/diethyl ether

Melting Point: 200 - 205°C

Form: Free

Example 1001

Structure



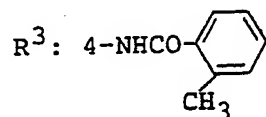
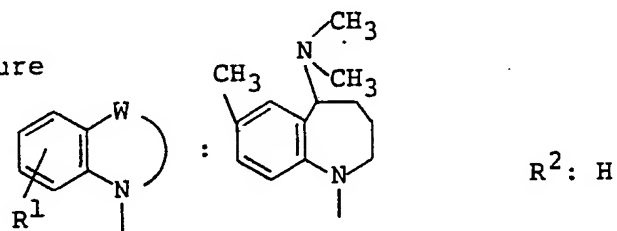
Crystalline form: Colorless amorphous

NMR analysis: 198)

Form: Free

Example 1002

Structure



Crystalline form: White powder

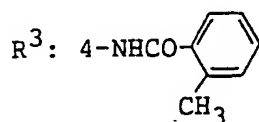
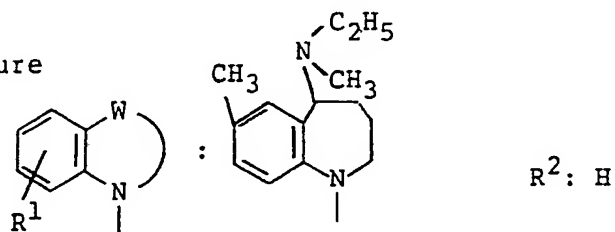
Recrystallization solvent: Dichloromethane/diethyl ether

Melting Point: 234 - 238°C

Form: Free

Example 1003

Structure



Crystalline form: White powder

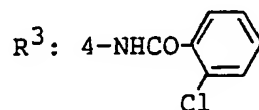
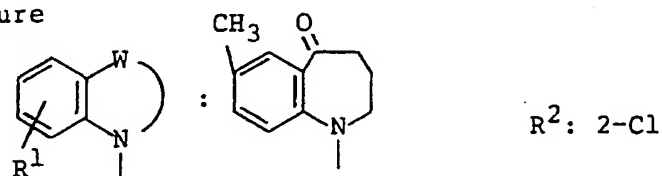
Recrystallization solvent: Ethyl acetate/n-hexane

Melting Point: 174 - 178°C

Form: Free

Example 1004

Structure



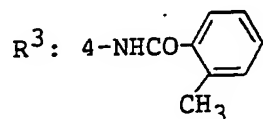
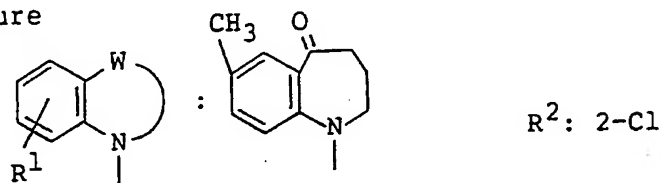
Crystalline form: Light yellow amorphous

NMR analysis: 199)

Form: Free

Example 1005

Structure



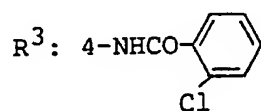
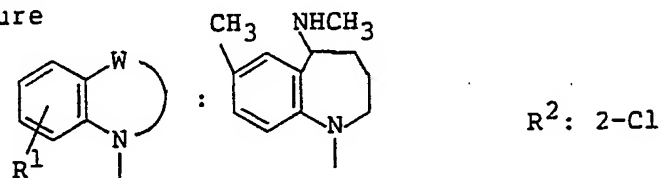
Crystalline form: Light yellow amorphous

NMR analysis: 200)

Form: Free

Example 1006

Structure



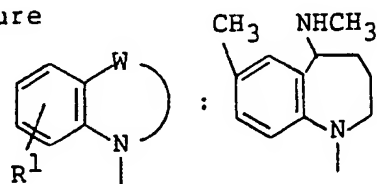
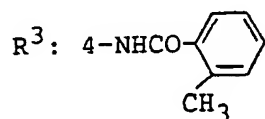
Crystalline form: Light yellow amorphous

NMR analysis: 201)

Form: Free

Example 1007

Structure

R²: 2-Cl

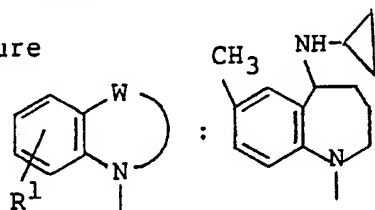
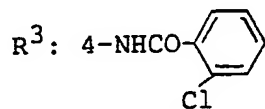
Crystalline form: Light yellow amorphous

NMR analysis: 202)

Form: Free

Example 1008

Structure

R²: 2-Cl

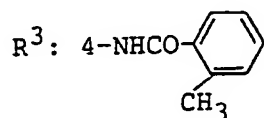
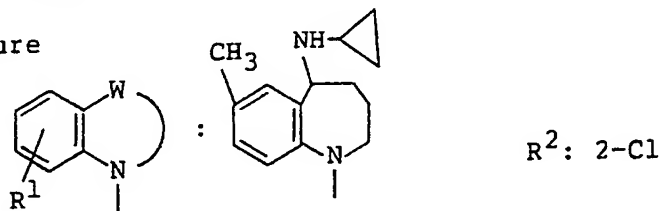
Crystalline form: Light yellow amorphous

MNR analysis: 203)

Form: Free

Example 1009

Structure



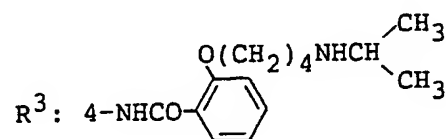
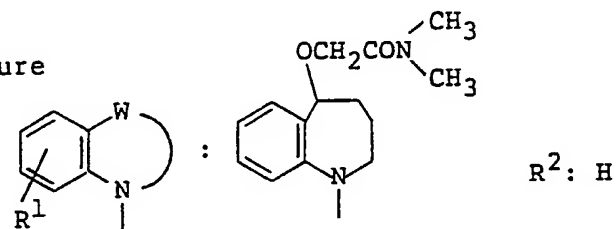
Crystalline form: Light yellow amorphous

NMR analysis: 204)

Form: Free

Example 1010

Structure



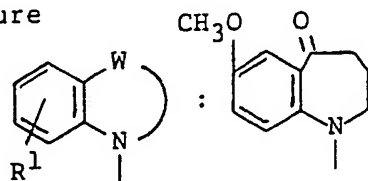
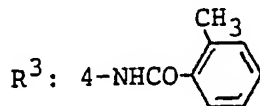
Crystalline form: Colorless amorphous

NMR analysis: 205)

Form: Free

Example 1011

Structure

 R^2 : 3-OCH₃

Crystalline form: White powder

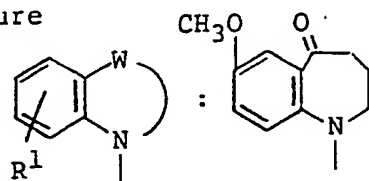
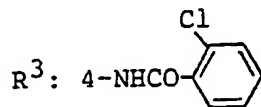
Recrystallization solvent: Ethyl acetate/n-hexane

Melting Point: 153 - 155°C

Form: Free

Example 1012

Structure

 R^2 : 3-OCH₃

Crystalline form: White powder

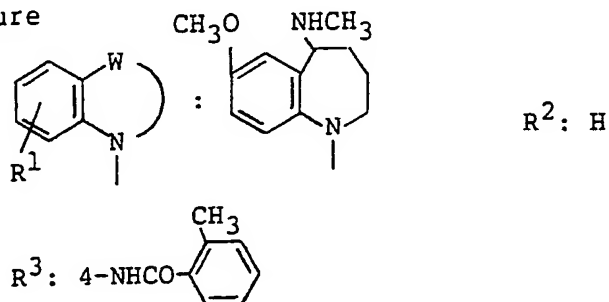
Recrystallization solvent: Ethyl acetate/n-hexane

Melting Point: 142 - 143°C

Form: Free

Example 1013

Structure



Crystalline form: White powder

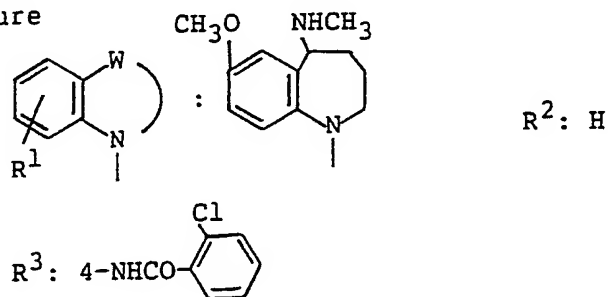
Recrystallization solvent: Ethyl acetate/n-hexane

Melting Point: 176 - 178°C

Form: Free

Example 1014

Structure



Crystalline form: White powder

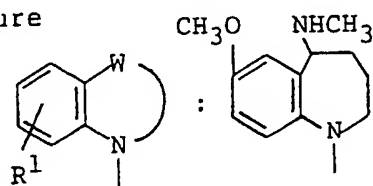
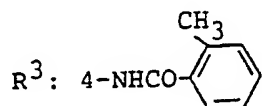
Recrystallization solvent: Ethyl acetate/n-hexane

Melting Point: 186 - 188°C

Form: Free

Example 1015

Structure

 R^2 : 2-Cl

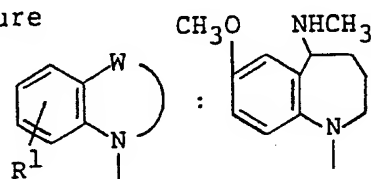
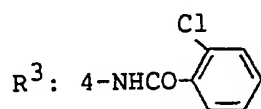
Crystalline form: Colorless amorphous

NMR analysis: 206)

Form: Free

Example 1016

Structure

 R^2 : 2-Cl

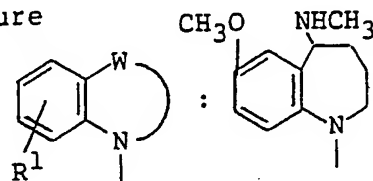
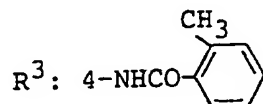
Crystalline form: Colorless amorphous

NMR analysis: 207)

Form: Free

Example 1017

Structure

 $R^2: 3-OCH_3$  $R^3: 4-NHCO-$

Crystalline form: White powder

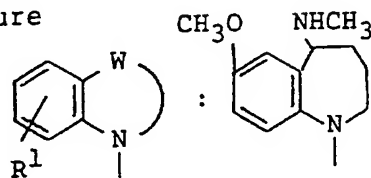
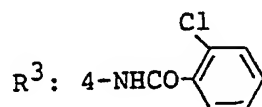
Recrystallization solvent: Ethyl acetate/n-hexane

Melting Point: 191 - 191.5°C

Form: Free

Example 1018

Structure

 $R^2: 3-OCH_3$  $R^3: 4-NHCO-$

Crystalline form: White powder

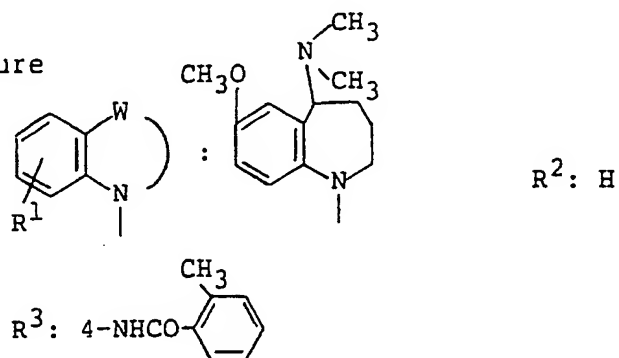
Recrystallization solvent: Ethyl acetate/n-hexane

Melting Point: 210 - 212°C

Form: Free

Example 1019

Structure



Crystalline form: White powder

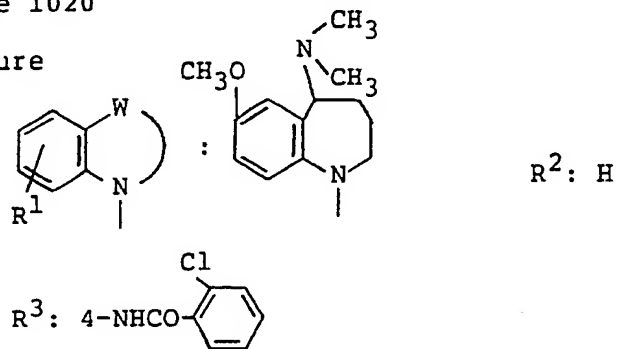
Recrystallization solvent: Ethyl acetate/n-hexane

Melting Point: 196 - 198°C

Form: Free

Example 1020

Structure



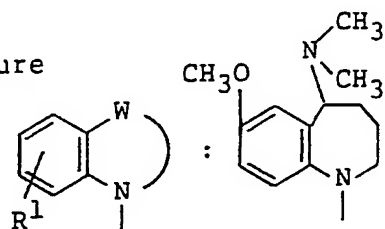
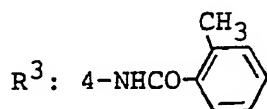
Crystalline form: Colorless amorphous

NMR analysis: 208)

Form: Free

Example 1021

Structure

R²: 2-Cl

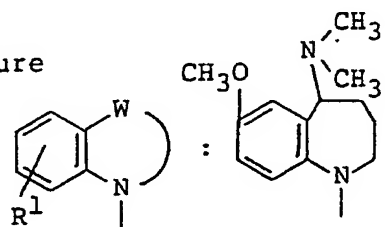
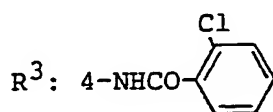
Crystalline form: Colorless amorphous

NMR analysis: 209)

Form: Free

Example 1022

Structure

R²: 2-Cl

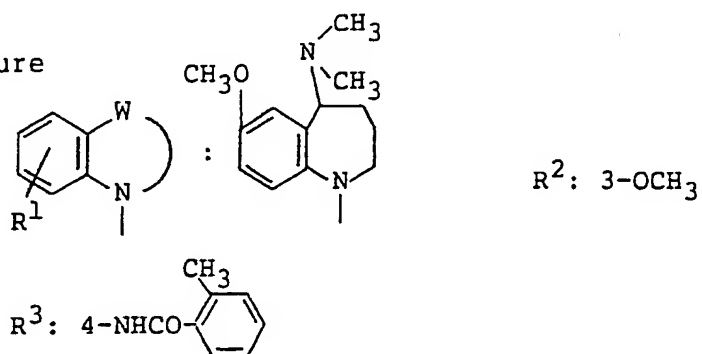
Crystalline form: Colorless amorphous

NMR analysis: 210)

Form: Free

Example 1023

Structure



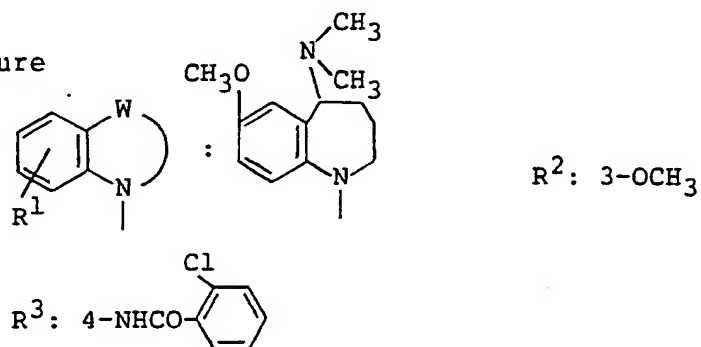
Crystalline form: Colorless amorphous

NMR analysis: 211)

Form: Free

Example 1024

Structure



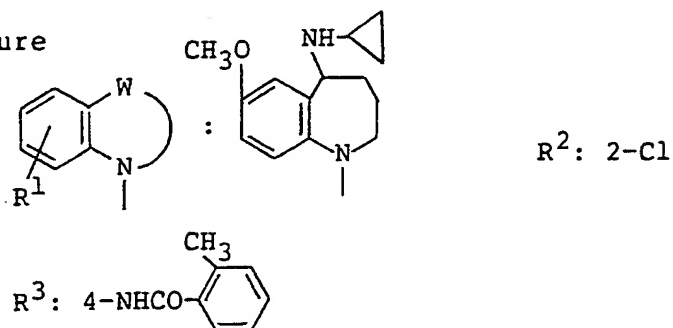
Crystalline form: Colorless amorphous

NMR analysis: 212)

Form: Free

Example 1025

Structure



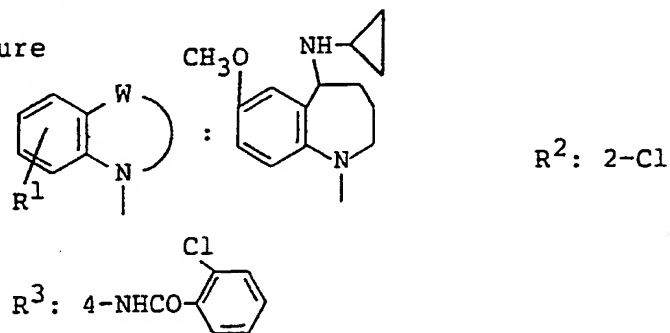
Crystalline form: Colorless amorphous

NMR analysis: 213)

Form: Free

Example 1026

Structure



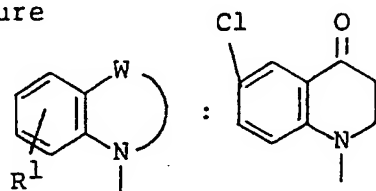
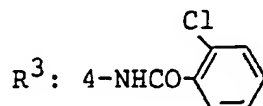
Crystalline form: Colorless amorphous

NMR analysis: 214)

Form: Free

Example 1027

Structure

 R^2 : 2-Cl

Crystalline form: Colorless prisms

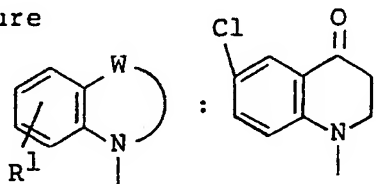
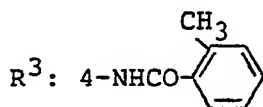
Recrystallization solvent: Ethanol

Melting Point: 207 - 208°C

Form: Free

Example 1028

Structure

 R^2 : 2-Cl

Crystalline form: White powder

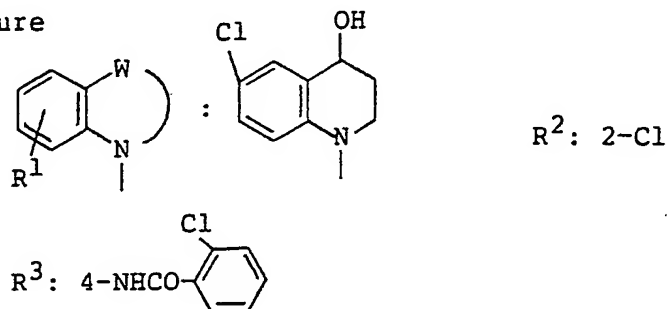
Recrystallization solvent: Ethanol

Melting Point: 201 - 202°C

Form: Free

Example 1029

Structure



Crystalline form: White powder

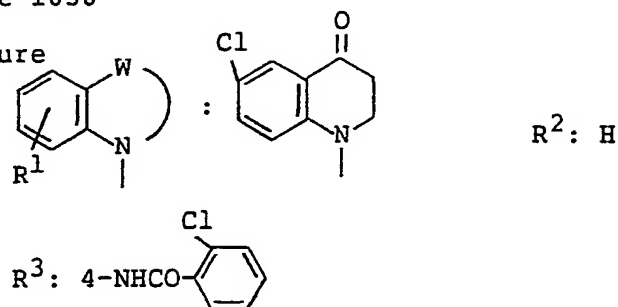
Recrystallization solvent: Ethanol

Melting Point: 193 - 194°C

Form: Free

Example 1030

Structure



Crystalline form: White powder

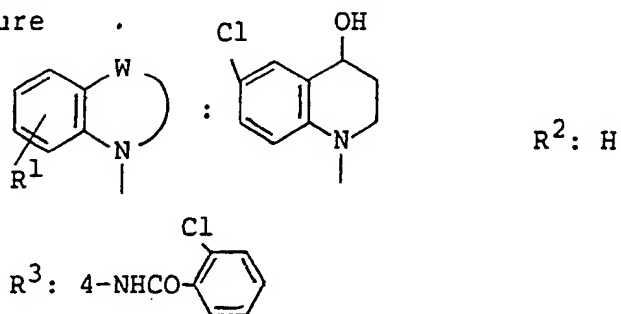
Recrystallization solvent: Ethanol

Melting Point: 205 - 208°C

Form: Free

Example 1031

Structure



Crystalline form: White powder

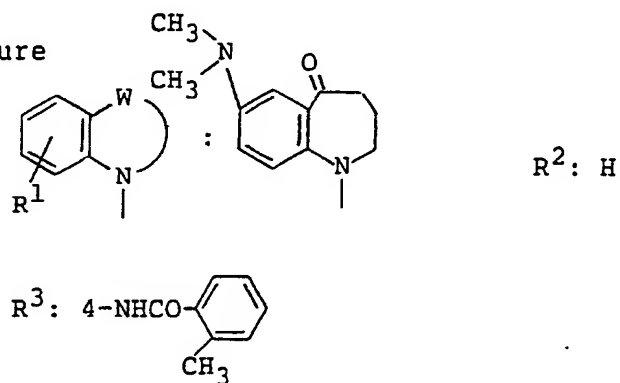
Recrystallization solvent: Ethanol

Melting Point: 214 - 216°C

Form: Free

Example 1032

Structure



Crystalline form: Yellow needles

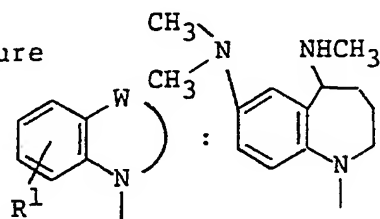
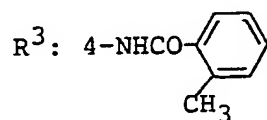
Recrystallization solvent: Ethanol

Melting Point: 223 - 226°C

Form: Free

Example 1033

Structure

R²: H

Crystalline form: Colorless needles

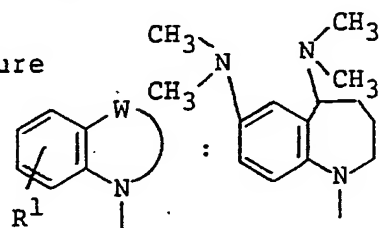
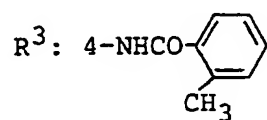
Recrystallization solvent: Ethanol/diethyl ether

Melting Point: 203 - 206°C

Form: Free

Example 1034

Structure

R²: H

Crystalline form: Colorless needles

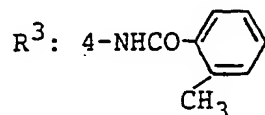
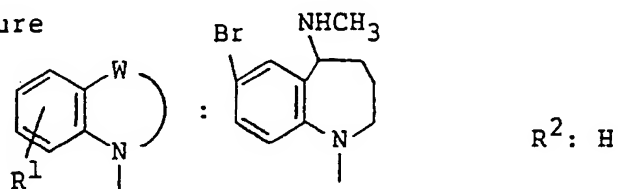
Recrystallization solvent: Ethanol/diethyl ether/n-hexane

Melting Point: 168 - 171°C

Form: Free

Example 1035

Structure



Crystalline form: White powder

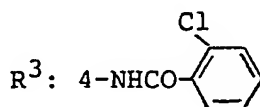
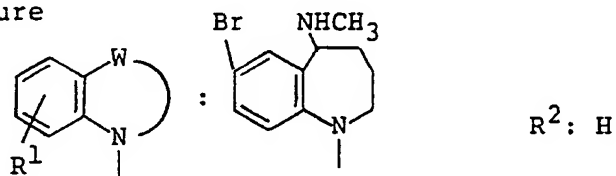
Recrystallization solvent: Methanol/diethyl ether

Melting Point: 206 - 208°C

Form: Free

Example 1036

Structure



Crystalline form: White powder

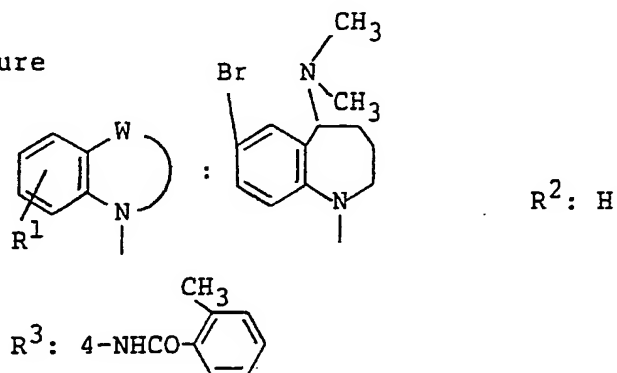
Recrystallization solvent: Methanol/diethyl ether

Melting Point: 229 - 232°C

Form: Free

Example 1037

Structure



Crystalline form: White powder

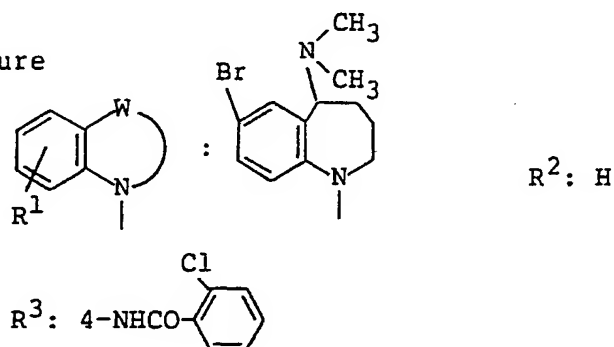
Recrystallization solvent: Methanol/diethyl ether

Melting Point: 220 - 222°C

Form: Free

Example 1038

Structure



Crystalline form: White powder

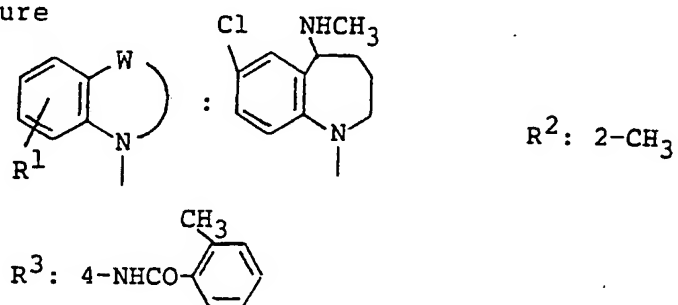
Recrystallization solvent: Methanol/diethyl ether

Melting Point: 232 - 233.5°C

Form: Free

Example 1039

Structure



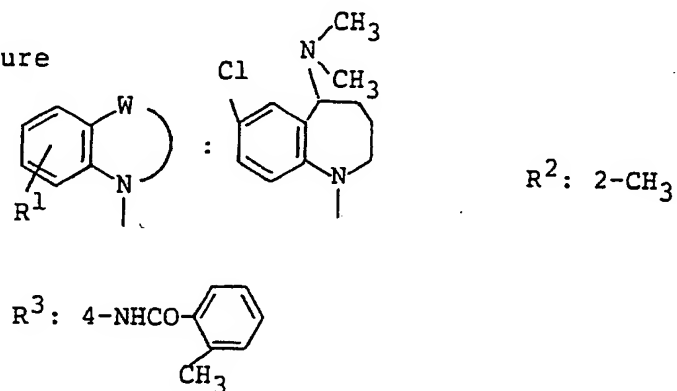
Crystalline form: Colorless amorphous

NMR analysis: 215)

Form: Free

Example 1040

Structure



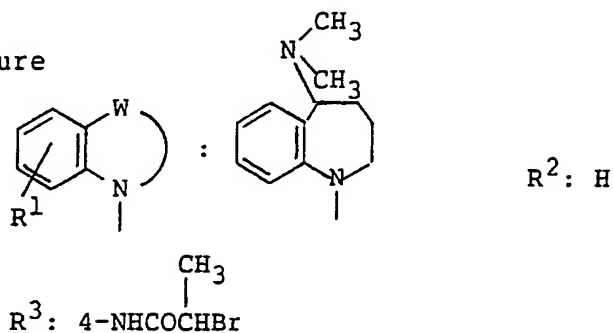
Crystalline form: Colorless amorphous

NMR analysis: 216)

Form: Free

Example 1041

Structure



Crystalline form: White powder

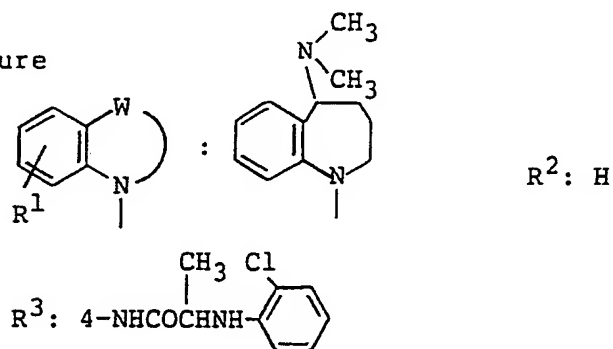
Recrystallization solvent: Methanol/diethyl ether

Melting Point: 147 - 151°C

Form: Free

Example 1042

Structure



Crystalline form: White powder

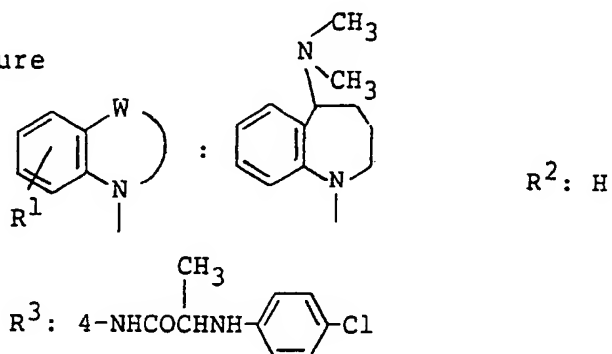
Recrystallization solvent: Methanol/n-hexane

Melting Point: 127 - 129°C

Form: Free

Example 1043

Structure



Crystalline form: White powder

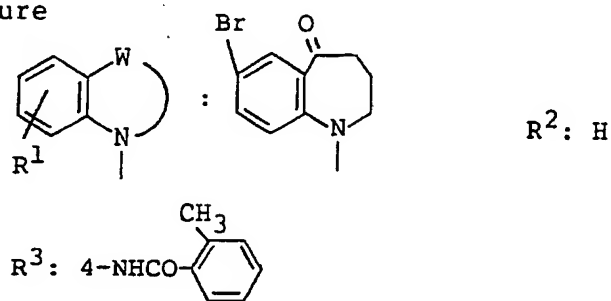
Recrystallization solvent: Methanol/n-hexane

Melting Point: 109 - 112°C

Form: Free

Example 1044

Structure



Crystalline form: White powder

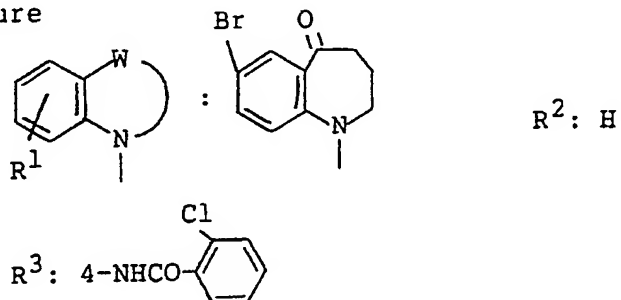
Recrystallization solvent: Methanol/diethyl ether

Melting Point: 198 - 200°C

Form: Free

Example 1045

Structure



Crystalline form: White powder

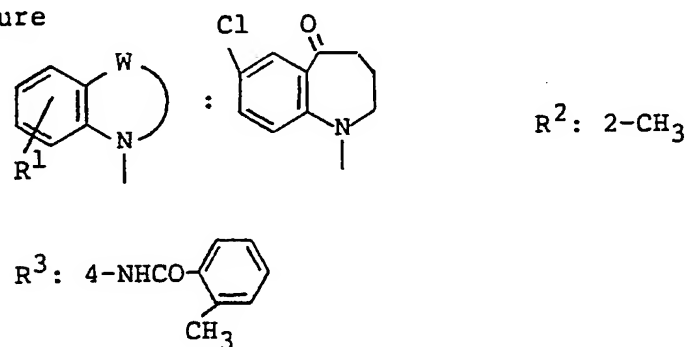
Recrystallization solvent: Methanol/diethyl ether

Melting Point: 210 - 211°C

Form: Free

Example 1046

Structure



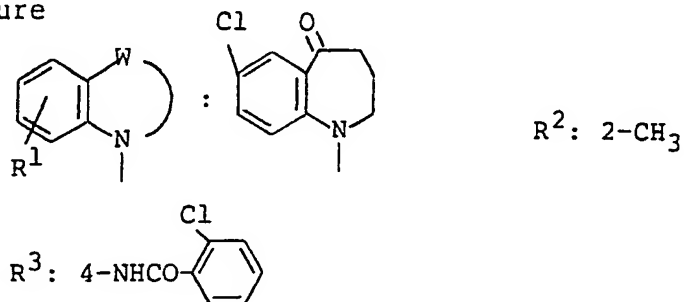
Crystalline form: Colorless amorphous

NMR analysis: 217)

Form: Free

Example 1047

Structure



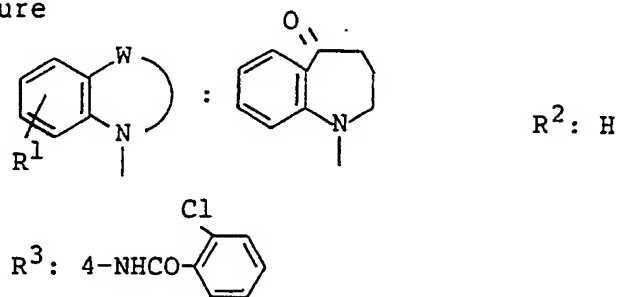
Crystalline form: Colorless amorphous

NMR analysis: 218)

Form: Free

Example 1048

Structure



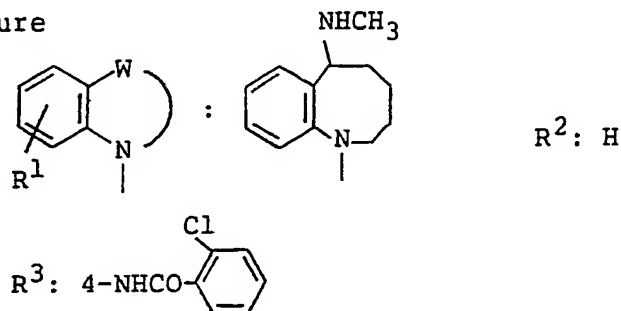
Crystalline form: Colorless amorphous

NMR analysis: 219)

Form: Free

Example 1049

Structure



Crystalline form: Colorless needles

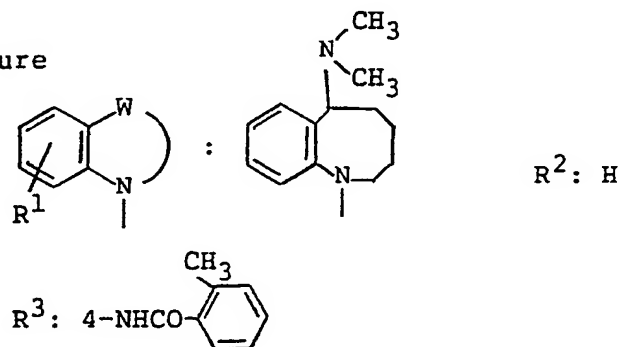
Recrystallization solvent: Ethanol

Melting Point: 243 - 243.5°C

Form: Free

Example 1050

Structure



Crystalline form: Colorless prisms

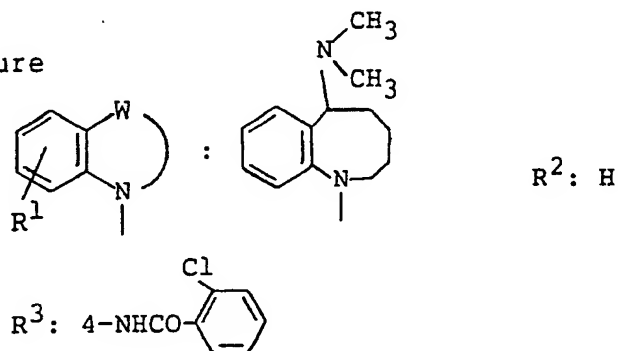
Recrystallization solvent: Ethanol/petroleum ether

Melting Point: 207 - 209°C

Form: Free

Example 1051

Structure



Crystalline form: Colorless needles

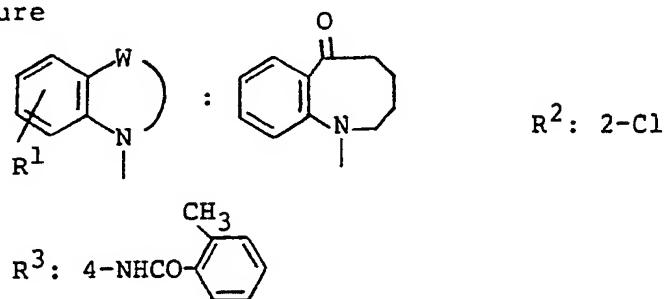
Recrystallization solvent: Ethanol/petroleum ether

Melting Point: 239 - 241°C

Form: Free

Example 1052

Structure



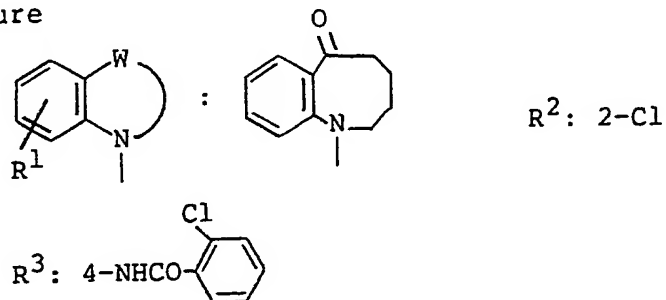
Crystalline form: Colorless amorphous

NMR analysis: 220)

Form: Free

Example 1053

Structure



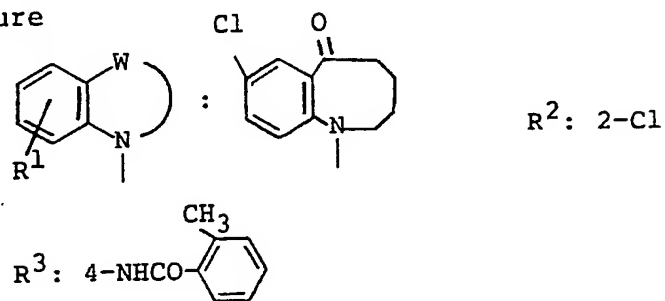
Crystalline form: Colorless amorphous

NMR analysis: 221)

Form: Free

Example 1054

Structure



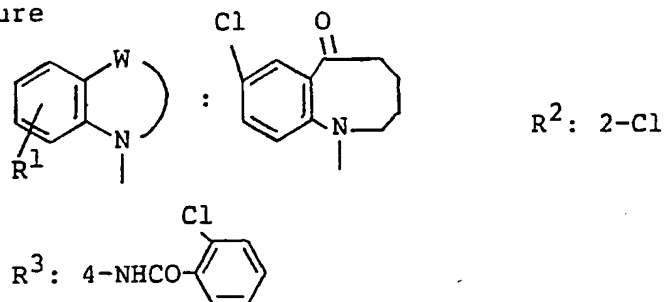
Crystalline form: Light yellow amorphous

NMR analysis: 222)

Form: Free

Example 1055

Structure



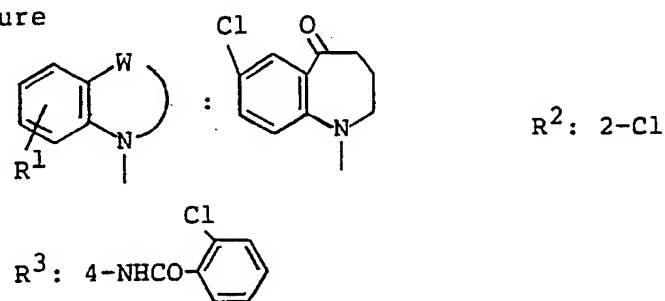
Crystalline form: Light yellow amorphous

NMR analysis: 223)

Form: Free

Example 1056

Structure



Crystalline form: White powder

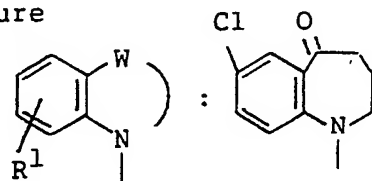
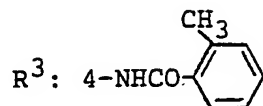
Recrystallization solvent: Diethyl ether/dichloromethane

Melting Point: 169.5 - 173°C

Form: Free

Example 1057

Structure

 R^2 : 2-Cl

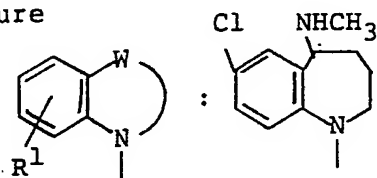
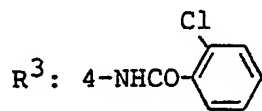
Crystalline form: Colorless amorphous

NMR analysis: 224)

Form: Free

Example 1058

Structure

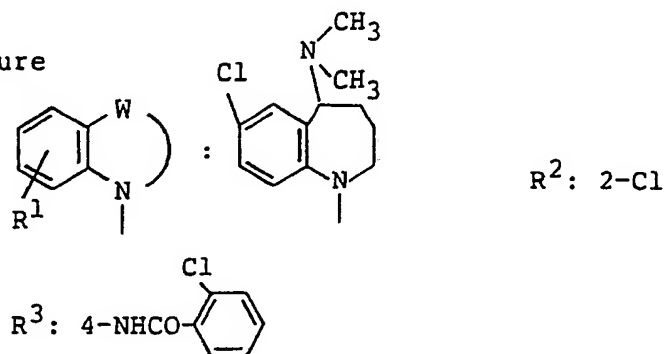
 R^2 : 2-Cl

Crystalline form: Colorless amorphous

NMR analysis: 225)

Example 1059

Structure



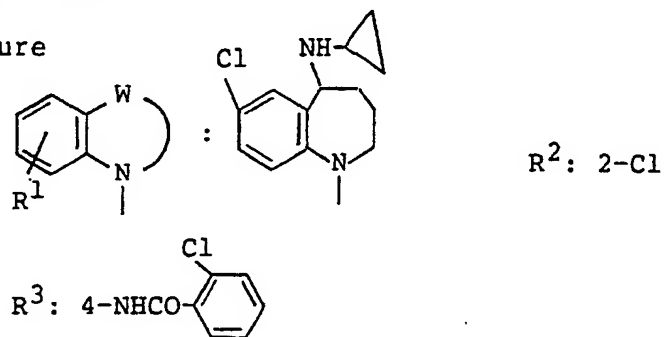
Crystalline form: Colorless amorphous

NMR analysis: 226)

Form: Free

Example 1060

Structure



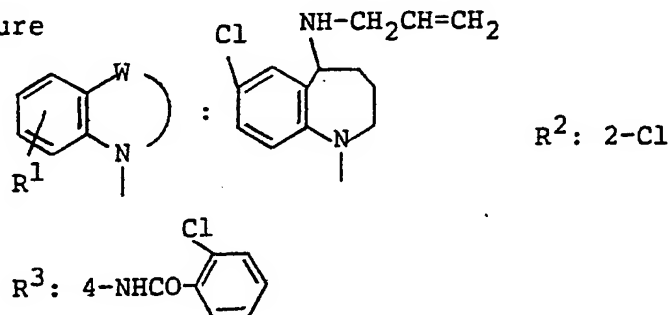
Crystalline form: Colorless amorphous

NMR analysis: 227)

Form: Free

Example 1061

Structure



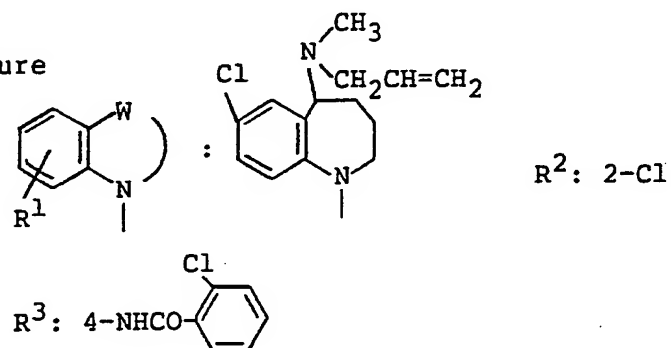
Crystalline form: Colorless amorphous

NMR analysis: 228)

Form: Free

Example 1062

Structure



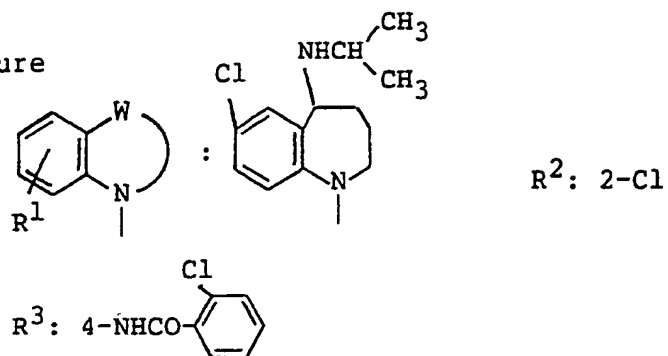
Crystalline form: Colorless amorphous

NMR analysis: 229)

Form: Free

Example 1063

Structure



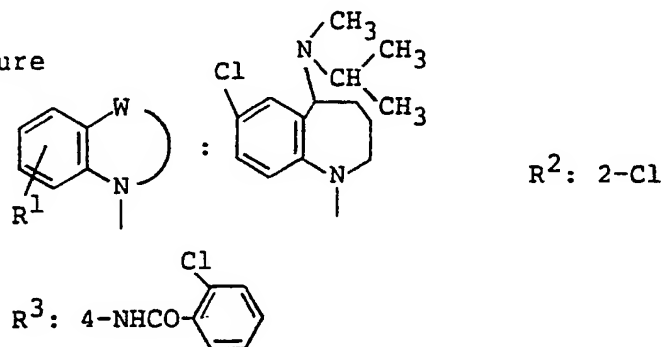
Crystalline form: Colorless amorphous

NMR analysis: 230)

Form: Free

Example 1064

Structure



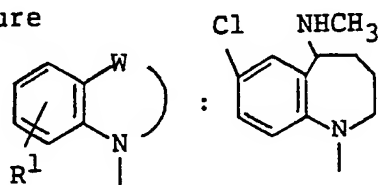
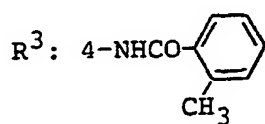
Crystalline form: Colorless amorphous

NMR analysis: 231)

Form: Free

Example 1065

Structure

 R^2 : 2-Cl

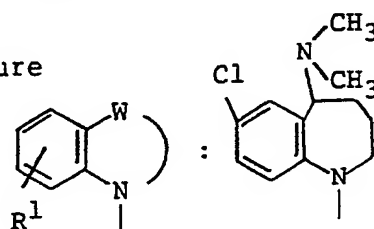
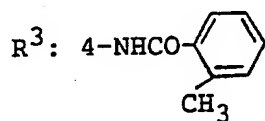
Crystalline form: Colorless amorphous

NMR analysis: 232)

Form: Free

Example 1066

Structure

 R^2 : 2-Cl

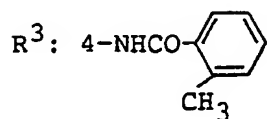
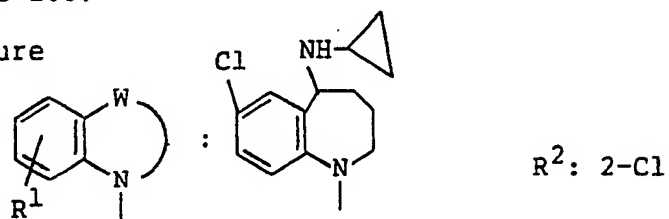
Crystalline form: Colorless amorphous

NMR analysis: 233)

Form: Free

Example 1067

Structure



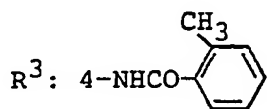
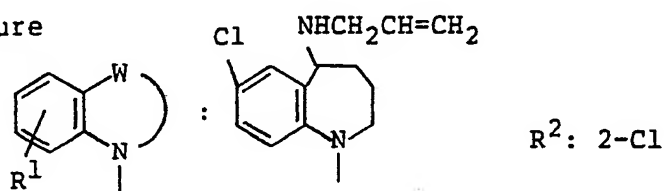
Crystalline form: Colorless amorphous

NMR analysis: 234)

Form: Free

Example 1068

Structure



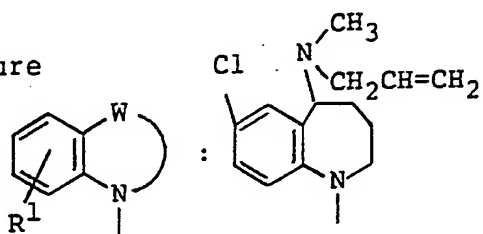
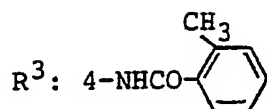
Crystalline form: Colorless amorphous

NMR analysis: 235)

Form: Free

Example 1069

Structure

 R^2 : 2-Cl

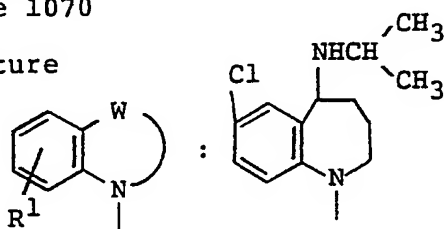
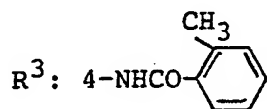
Crystalline form: Colorless amorphous

NMR analysis: 236)

Form: Free

Example 1070

Structure

 R^2 : 2-Cl

Crystalline form: Colorless amorphous

NMR analysis: 237)

Form: Free

- 196) $^1\text{H-NMR}$ (CDCl_3) δ ; 2.14 (2H, brs), 2.33 (3H, s), 2.46 (3H, s), 2.85 (2H, t, $J=6.1$ Hz), 4.83 (2H, brs), 6.64 (1H, d, $J=8.1$ Hz), 7.07 (1H, d, $J=8.0$ Hz), 7.21-7.48 (8H, m), 7.65 (1H, m), 7.74 (1H, brs)
- 197) $^1\text{H-NMR}$ (CDCl_3) δ ; 2.12 (2H, brs), 2.33 (3H, s), 2.85 (2H, t, $J=6.2$ Hz), 2.88-5.28 (2H, m), 6.63 (1H, d, $J=8.1$ Hz), 7.06 (1H, dd, $J=1.7$ Hz, 8.1 Hz), 7.19-7.69 (9H, m), 8.26 (1H, brs)
- 198) $^1\text{H-NMR}$ (CDCl_3) δ ; 0.49 (4H, m), 1.25-5.13 (9H, m), 2.33 (3H, s), 2.45 (3H, s), 6.53 (1H, m), 6.79 (1H, m), 7.07-7.42 (9H, m), 7.73 (1H, m)
- 199) $^1\text{H-NMR}$ (CDCl_3) δ ; 2.04 (2H, brs), 2.29 (3H, s), 2.82 (2H, t, $J=5.9$ Hz), 2.85-5.29 (2H, m), 6.82-7.69 (10H, m), 8.31 (1H, brs)
- 200) $^1\text{H-NMR}$ (CDCl_3) δ ; 2.05 (2H, brs), 2.29 (3H, s), 2.44 (3H, s), 2.79 (2H, t, $J=5.5$ Hz), 2.82-5.28 (2H, m), 6.82-8.12 (11H, m)
- 201) $^1\text{H-NMR}$ (CDCl_3) δ ; 1.40-4.85 (11H, m), 2.51 (3H, s), 6.78-7.63 (10H, m), 8.64 (1H, brs)
- 202) $^1\text{H-NMR}$ (CDCl_3) δ ; 1.40-4.85 (11H, m), 2.45 (3H, s), 2.50 (3H, s), 6.78-7.55 (10H, m), 8.10 (1H, brs)
- 203) $^1\text{H-NMR}$ (CDCl_3) δ ; 0.49 (4H, m), 1.25-4.85 (9H, m), 2.28 (3H, s), 6.77-7.62 (10H, m), 8.64 (1H, brs)
- 204) $^1\text{H-NMR}$ (CDCl_3) δ ; 0.48 (4H, m), 1.26-4.85 (9H, m), 2.29 (3H, s), 2.44 (3H, s), 6.78-7.58 (10H, m), 8.18 (1H, brs)

- 205) ^1H -NMR (CDCl_3) δ ; 1.14 (6H, d, $J=6.3$ Hz), 1.52-2.20 (7H, m), 2.20-2.60 (1H, m), 2.64-3.66 (10H, m), 4.00-4.50 (4H, m), 4.50-5.23 (2H, m), 6.57-7.90 (11H, m), 8.10-8.30 (1H, m), 9.97 (1H, s)
- 206) ^1H -NMR (CDCl_3) δ ; 1.24-2.08 (4H, m), 2.08-2.26 (3H, m), 2.26-3.16 (4H, m), 3.47-4.03 (4H, m), 4.18-4.92 (1H, m), 6.40-7.94 (10H, m), 8.45-9.03 (1H, m)
- 207) ^1H -NMR (CDCl_3) δ ; 1.26-2.10 (4H, m), 2.10-2.28 (3H, m), 2.28-3.20 (1H, m), 3.43-4.06 (4H, m); 4.20-4.93 (1H, m), 6.40-8.00 (10H, m), 8.78-9.30 (1H, m)
- 208) ^1H -NMR (CDCl_3) δ ; 1.10-1.98 (4H, m), 1.98-3.10 (7H, m), 3.30-3.90 (4H, m), 3.90-5.10 (1H, m), 6.45-8.25 (12H, m)
- 209) ^1H -NMR (CDCl_3) δ ; 1.06-1.94 (4H, m), 1.94-3.19 (10H, m), 3.19-3.90 (4H, m), 3.90-5.10 (1H, m), 6.44-8.60 (11H, m)
- 210) ^1H -NMR (CDCl_3) δ ; 1.06-1.97 (4H, m), 1.97-3.20 (7H, m), 3.20-3.92 (4H, m), 3.92-5.10 (1H, m), 6.44-8.55 (11H, m)
- 211) ^1H -NMR (CDCl_3) δ ; 1.07-1.98 (4H, m), 1.98-3.10 (10H, m), 3.37-5.20 (8H, m), 6.44-6.86 (3H, m), 6.97-7.60 (6H, m), 8.13 (1H, s), 8.19-8.38 (1H, m)
- 212) ^1H -NMR (CDCl_3) δ ; 1.08-1.99 (4H, m), 1.99-3.13 (7H, m), 3.33-5.14 (8H, m), 6.40-6.90 (3H, m),

- 6.95-7.56 (5H, m), 7.63-7.87 (1H, m), 8.17-8.37 (1H, m), 8.60 (1H, s)
- 213) $^1\text{H-NMR}$ (CDCl_3) δ ; 0.30-0.64 (4H, m), 0.70-3.42 (9H, m), 3.42-5.10 (5H, m), 6.40-8.70 (11H, m)
- 214) $^1\text{H-NMR}$ (CDCl_3) δ ; 0.30-0.76 (4H, m), 0.80-3.43 (6H, m), 3.50-5.00 (5H, m), 6.40-9.04 (11H, m)
- 215) $^1\text{H-NMR}$ (CDCl_3) δ ; 1.25-3.25 (14H, m), 3.55-5.06 (2H, m), 6.43-7.00 (2H, m), 7.00-7.71 (8H, m), 7.91-8.45 (1H, m)
- 216) $^1\text{H-NMR}$ (CDCl_3) δ ; 1.11-3.20 (17H, m), 3.28-5.12 (2H, m), 6.41-7.01 (2H, m), 7.02-7.63 (8H, m), 7.76-8.21 (1H, m)
- 217) $^1\text{H-NMR}$ (CDCl_3) δ ; 1.92-2.29 (2H, m), 2.36 (3H, s), 2.45 (3H, s), 2.84 (2H, t, $J=6.3$ Hz), 3.32-4.64 (2H, m), 6.40-8.10 (11H, m)
- 218) $^1\text{H-NMR}$ (CDCl_3) δ ; 1.92-2.25 (2H, m), 2.34 (3H, s), 2.83 (2H, t, $J=6.3$ Hz), 3.21-4.52 (2H, m), 6.39-7.97 (10H, m), 8.43 (1H, brs)
- 219) $^1\text{H-NMR}$ (CDCl_3) δ ; 1.7-2.15 (4H, m), 2.5-5.2 (4H, m), 6.75-6.9 (1H, m), 7.27-7.6 (9H, m), 7.65-7.85 (1H, m), 7.9-8.15 (2H, m)
- 220) $^1\text{H-NMR}$ (CDCl_3) δ ; 1.65-2.1 (4H, m), 2.44 (3H, s), 2.8-4.5 (4H, m), 6.75-8.0 (12H, m)
- 221) $^1\text{H-NMR}$ (CDCl_3) δ ; 1.65-2.3 (4H, m), 2.7-4.8 (4H, m), 6.75-8.4 (12H, m)
- 222) $^1\text{H-NMR}$ (CDCl_3) δ ; 1.45-2.15 (4H, m), 2.45-2.55

- (3H, m), 2.85-4.6 (4H, m), 6.8-8.25 (11H, m)
- 223) ^1H -NMR (CDCl_3) δ ; 1.5-2.2 (4H, m), 2.8-4.7 (4H, m), 6.8-8.4 (11H, m)
- 224) ^1H -NMR (CDCl_3) δ ; 1.75-2.25 (2H, m), 2.30-2.70 (3H, m), 2.70-2.95 (2H, m), 3.20-5.10 (2H, m), 6.70-8.40 (11H, m)
- 225) ^1H -NMR (CDCl_3) δ ; 1.20-2.60 (8H, m), 2.60-5.10 (3H, m), 6.80-7.90 (10H, m), 8.20-8.60 (1H, m)
- 226) ^1H -NMR (CDCl_3) δ ; 1.20-2.60 (10H, m), 2.60-5.10 (3H, m), 6.80-8.15 (11H, m)
- 227) ^1H -NMR (CDCl_3) δ ; 0.30-0.70 (4H, m), 1.20-2.45 (6H, m), 2.60-5.10 (3H, m), 6.80-7.95 (10H, m), 8.15-8.50 (1H, m)
- 228) ^1H -NMR (CDCl_3) δ ; 1.20-2.40 (5H, m), 2.60-5.35 (7H, m), 5.80-6.15 (1H, m), 6.75-7.95 (10H, m), 8.20-8.70 (1H, m)
- 229) ^1H -NMR (CDCl_3) δ ; 1.20-2.55 (7H, m), 2.60-5.35 (7H, m), 5.85-6.05 (1H, m), 6.70-7.10 (2H, m), 7.10-7.90 (8H, m), 8.15-8.60 (1H, m)
- 230) ^1H -NMR (CDCl_3) δ ; 1.00-1.20 (6H, m), 1.00-2.40 (5H, m), 2.60-5.10 (4H, m), 6.80-8.00 (10H, m), 8.15-8.65 (1H, m)
- 231) ^1H -NMR (CDCl_3) δ ; 0.80-2.50 (13H, m), 2.60-5.10 (4H, m), 6.70-8.85 (10H, m), 8.25-8.60 (1H, m)
- 232) ^1H -NMR (CDCl_3) δ ; 1.30-2.60 (11H, m), 2.60-5.10 (3H, m), 6.80-8.15 (11H, m)

- 233) $^1\text{H-NMR}$ (CDCl_3) δ ; 1.10-2.50 (13H, m), 2.50-5.10 (3H, m), 6.75-8.40 (11H, m)
- 234) $^1\text{H-NMR}$ (CDCl_3) δ ; 0.30-0.65 (4H, m), 1.20-2.30 (6H, m), 2.35-2.55 (3H, m), 2.60-5.10 (3H, m), 6.75-8.35 (11H, m)
- 235) $^1\text{H-NMR}$ (CDCl_3) δ ; 1.20-2.60 (8H, m), 2.60-5.40 (7H, m), 5.80-6.15 (1H, m), 6.80-8.20 (11H, m)
- 236) $^1\text{H-NMR}$ (CDCl_3) δ ; 1.25-2.60 (10H, m), 2.60-5.40 (7H, m), 5.75-6.10 (1H, m), 6.75-7.10 (2H, m), 7.10-8.40 (9H, m)
- 237) $^1\text{H-NMR}$ (CDCl_3) δ ; 0.95-1.20 (6H, m), 0.95-2.25 (5H, m), 2.40-2.60 (3H, m), 2.60-5.10 (4H, m), 6.75-7.05 (2H, m), 7.10-8.30 (9H, m)

Reference Example 22

Using the suitable starting materials, the following compounds are obtained in the same manner as in Reference Example 1.

8-Chloro-6-oxo-1-(4-nitrobenzoyl)-1,2,3,4,5,6-hexahydrobenzazocine, yellow prisms

$^1\text{H-NMR}$ (DMSO-d_6) δ ; 1.3-2.2 (4H, m), 2.6-5.0 (4H, m), 7.05-8.5 (7H, m)

5-Oxo-7-methyl-1-(2-chloro-4-nitrobenzoyl)-2,3,4,5-tetrahydro-1H-benzazepine, light yellow amorphous

$^1\text{H-NMR}$ (CDCl_3) δ ; 1.71-2.32 (2H, m), 2.29 (3H, s), 2.86 (2H, t, $J=6.3$ Hz), 3.10-5.30 (2H, m), 6.84-8.38 (6H, m)

5-Oxo-7-methyl-1-(3-methoxy-4-nitrobenzoyl)-

2,3,4,5-tetrahydro-1H-benzazepine, light yellow amorphous

$^1\text{H-NMR}$ (CDCl_3) δ ; 2.17 (2H, brs), 2.34 (3H, s),
2.84 (2H, t, $J=6.0$ Hz), 3.10-5.29 (2H, m), 3.77 (3H, s),
6.67 (1H, d, $J=7.9$ Hz), 6.85 (2H, m), 7.10 (1H, d, $J=8.0$
Hz), 7.57-7.65 (2H, m)

5-Oxo-7-dimethylamino-1-(2-chloro-4-nitrobenzoyl)-
2,3,4,5-tetrahydro-1H-benzazepine, yellow powder

$^1\text{H-NMR}$ (CDCl_3) δ ; 1.66-2.38 (2H, m), 2.65-2.88
(2H, m), 2.92 (6H, s), 3.08-3.64, 4.58-5.01 (total 2H, m),
6.49 (1H, dd, $J=3.1, 8.7$ Hz), 6.82 (1H, d, $J=8.7$ Hz), 6.90
(1H, d, $J=3.1$ Hz), 7.02-7.37 (1H, m), 7.94 (1H, dd, $J=1.9,$
8.4 Hz), 8.08 (1H, d, $J=1.9$ Hz)

Reference Example 23

Using the suitable starting materials, the
following compounds are obtained in the same manner as in
Reference Example 2.

8-Chloro-6-oxo-1-(4-aminobenzoyl)-1,2,3,4,5,6-
hexahydrobenzazocine, light yellow amorphous

$^1\text{H-NMR}$ (CDCl_3) δ ; 1.7-2.2 (4H, m), 2.3-4.8 (6H,
m), 6.4-6.6 (2H, m), 6.74 (1H, d, $J=8.5$ Hz), 7.1-7.4 (3H,
m), 7.99 (1H, d, $J=2.6$ Hz)

8-Methyl-6-oxo-(2-chloro-4-aminobenzoyl)-
1,2,3,4,5,6-hexahydrobenzazocine, colorless amorphous

$^1\text{H-NMR}$ (CDCl_3) δ ; 1.4-2.1 (4H, m), 2.15-2.6 (3H,
m), 2.7-4.4 (6H, m), 6.15-6.35 (1H, m), 6.51 (1H, s), 6.6-
6.85 (1H, m), 6.9-7.25 (2H, m), 7.72 (1H, s)

8-Methoxy-6-oxo-(2-chloro-4-aminobenzoyl)-

1,2,3,4,5,6-hexahydrobenzazocine, light yellow amorphous

¹H-NMR (CDCl₃) δ ; 1.4-2.2 (4H, m), 2.7-5.0 (9H, m), 6.25 (1H, dd, J=8.3 Hz, 2.2 Hz), 6.51 (1H, d, J=2.2 Hz); 6.66 (1H, d, J=8.3 Hz), 6.88 (1H, dd, J=8.6 Hz, 3.0 Hz), 7.23 (1H, d, J=8.6 Hz), 7.43 (1H, d, J=3.0 Hz)

5-Oxo-7-chloro-1-(2-methoxy-4-aminobenzoyl)-

2,3,4,5-tetrahydro-1H-benzazepine, colorless particles
(recrystallized from methanol/diethyl ether), m.p. 206 - 208°C

5-Oxo-7-methyl-1-(2-chloro-4-aminobenzoyl)-2,3,4,5-tetrahydro-1H-benzazepine, light yellow amorphous

¹H-NMR (CDCl₃) δ ; 2.09 (2H, brs), 2.29 (3H, s), 3.10-5.00 (2H, m), 3.78 (2H, brs), 6.34-7.54 (6H, m)

5-Oxo-7-methyl-1-(3-methoxy-4-aminobenzoyl)-

2,3,4,5-tetrahydro-1H-benzazepine, light yellow amorphous

¹H-NMR (CDCl₃) δ ; 2.12 (2H, brs), 2.32 (3H, s), 2.85 (2H, t, J=5.9 Hz), 3.30-5.00 (2H, m), 3.65 (3H, s), 3.98 (2H, brs), 6.40 (1H, d, J=8.1 Hz), 6.64-6.76 (3H, m), 7.06 (1H, dd, J=1.6, 8.1 Hz), 7.63 (1H, d, J=2.0 Hz)

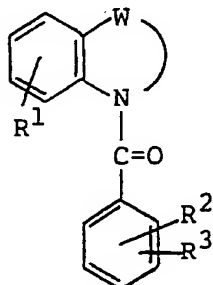
5-Oxo-7-dimethylamino-1-(2-chloro-4-aminobenzoyl)-

2,3,4,5-tetrahydro-1H-benzazepine, yellow amorphous

¹H-NMR (CDCl₃) δ ; 1.60-2.32 (2H, m), 2.67-5.13 (4H, m), 2.92 (6H, s), 3.75 (2H, s), 6.31 (1H, dd, J=2.1, 8.3 Hz), 6.46 (1H, d, J=2.1 Hz), 6.48 (1H, dd, J=3.1, 8.7 Hz), 6.66-6.89 (2H, m), 6.95 (1H, d, J=3.1 Hz)

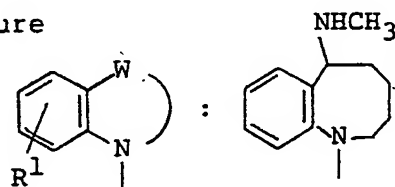
Using the suitable starting materials, the compounds of the following Table 9 are obtained in the same manner as in above Examples 1 and 382.

Table 9

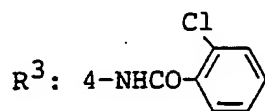


Example 1071

Structure



R²: 2-Cl



Crystalline form: Colorless prisms

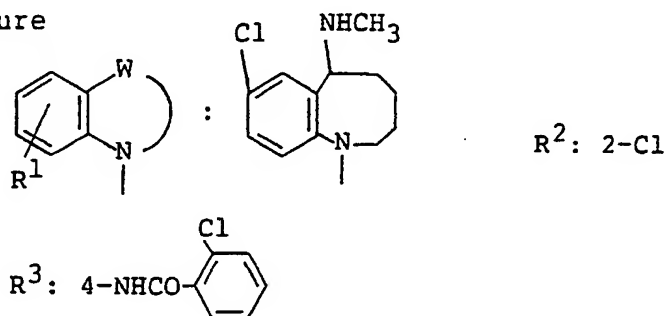
Recrystallization solvent: Ethanol

Melting Point: 227 - 230°C

Form: Free

Example 1072

Structure



Crystalline form: Colorless needles

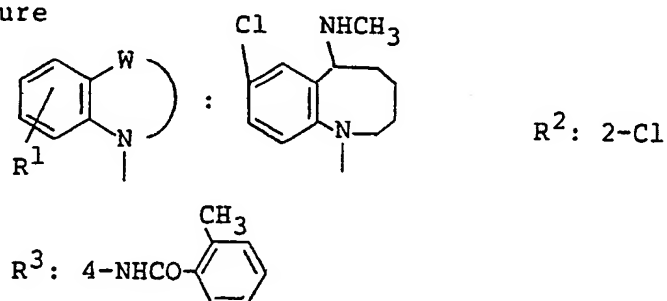
Recrystallization solvent: Ethanol/petroleum ether

Melting Point: 216 - 218°C

Form: Free

Example 1073

Structure



Crystalline form: Colorless prisms

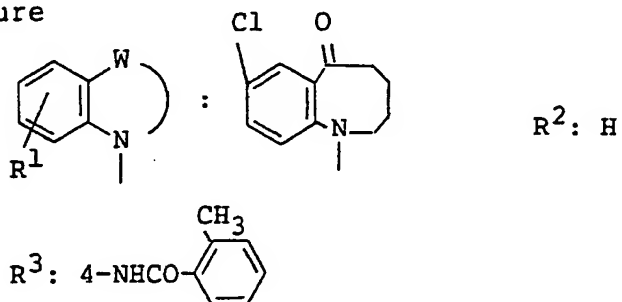
Recrystallization solvent: Ethyl acetate/n-hexane

Melting Point: 227 - 228°C

Form: Free

Example 1074

Structure



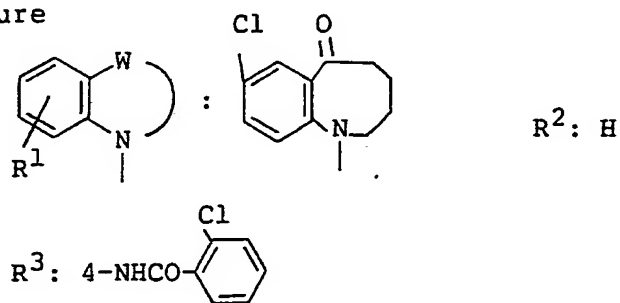
Crystalline form: White powder

NMR analysis: 238)

Form: Free

Example 1075

Structure



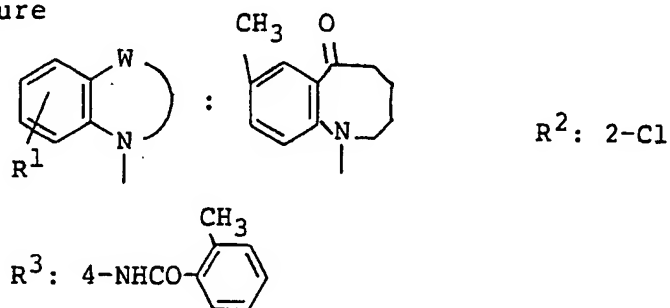
Crystalline form: White powder

NMR analysis: 239)

Form: Free

Example 1076

Structure



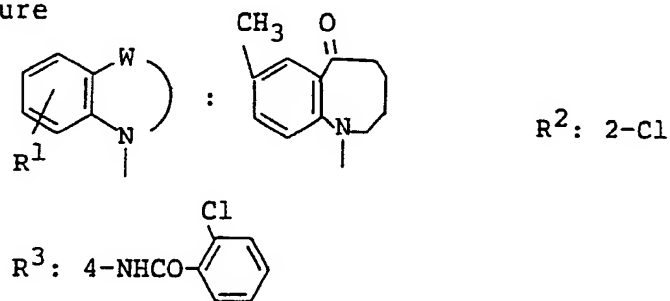
Crystalline form: Light yellow amorphous

NMR analysis: 240)

Form: Free

Example 1077

Structure



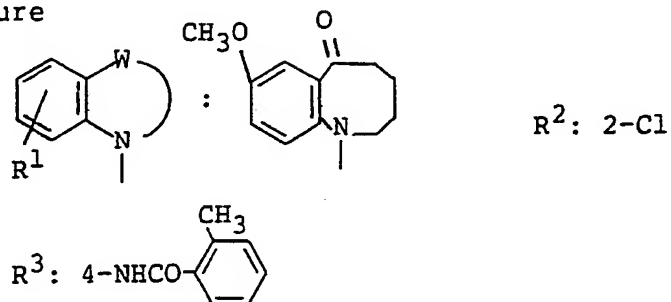
Crystalline form: Light yellow amorphous

NMR analysis: 241)

Form: Free

Example 1078

Structure



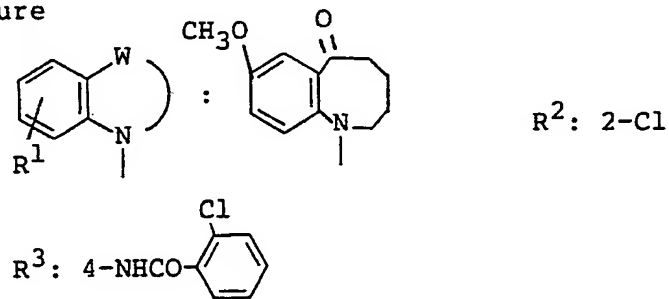
Crystalline form: Colorless amorphous

NMR analysis: 242)

Form: Free

Example 1079

Structure



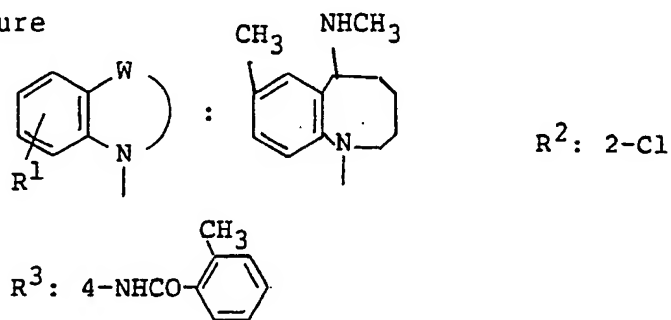
Crystalline form: Colorless amorphous

NMR analysis: 243)

Form: Free

Example 1080

Structure



Crystalline form: Light yellow powder

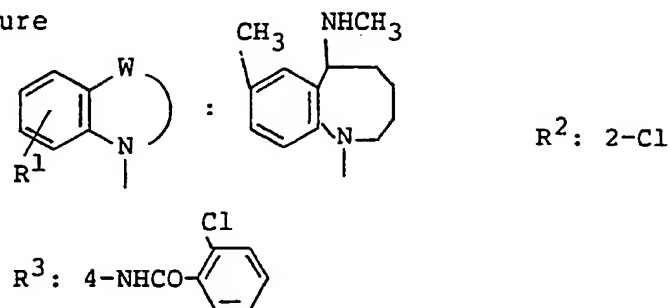
Recrystallization solvent: Ethyl acetate/diethyl ether

Melting Point: 179 - 181°C

Form: Free

Example 1081

Structure



Crystalline form: White powder

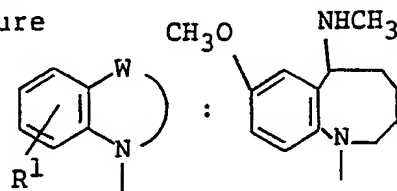
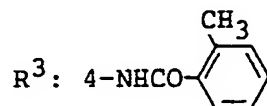
Recrystallization solvent: Ethyl acetate/diethyl ether

Melting Point: 213 - 216°C

Form: Free

Example 1082

Structure

 R^2 : 2-Cl

Crystalline form: Light yellow powder

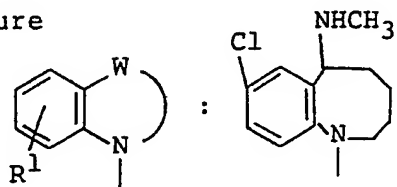
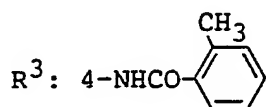
Recrystallization solvent: Ethyl acetate/diethyl ether

Melting Point: 185 - 187°C

Form: Free

Example 1083

Structure

 R^2 : H

Crystalline form: Colorless prisms

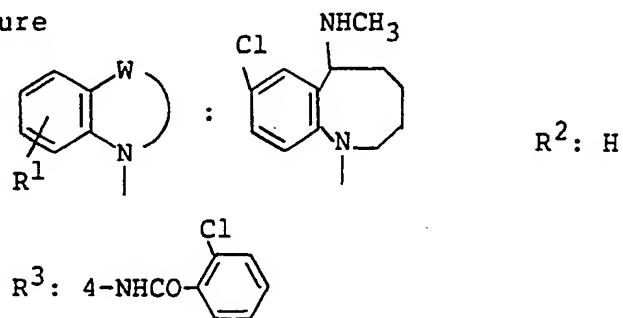
Recrystallization solvent: Ethanol

Melting Point: 249 - 251°C

Form: Free

Example 1084

Structure



Crystalline form: Colorless needles

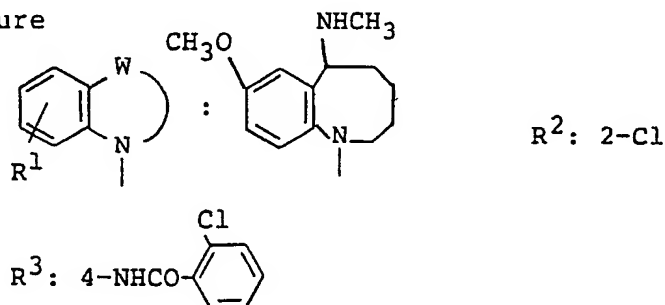
Recrystallization solvent: Ethanol

Melting Point: 239 - 241°C

Form: Free

Example 1085

Structure



Crystalline form: White powder

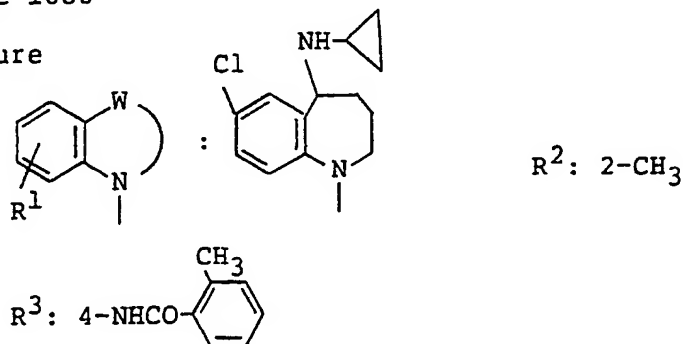
Recrystallization solvent: Ethyl acetate/diethyl ether

Melting Point: 208 - 210°C

Form: Free

Example 1086

Structure



Crystalline form: White powder

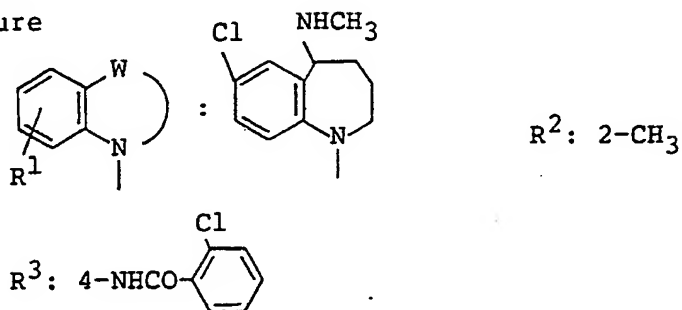
Recrystallization solvent: Methanol/n-hexane

Melting Point: 178 - 180.5°C

Form: Free

Example 1087

Structure



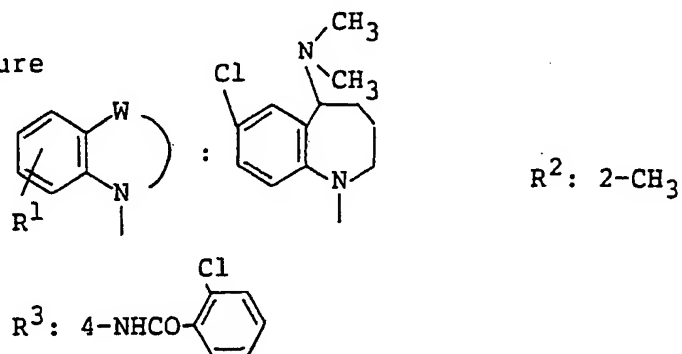
Crystalline form: Colorless amorphous

NMR analysis: 244)

Form: Free

Example 1088

Structure



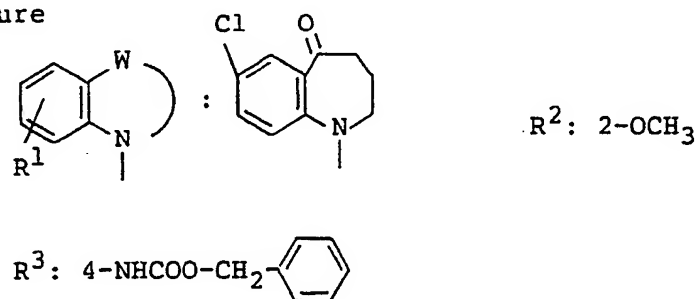
Crystalline form: Colorless amorphous

NMR analysis: 245)

Form: Free

Example 1089

Structure



Crystalline form: White powder

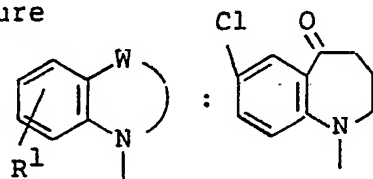
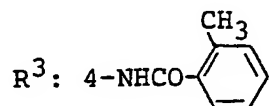
Recrystallization solvent: Methanol/diethyl ether

NMR analysis: 246)

Form: Free

Example 1090

Structure

 $R^2: 2\text{-OCH}_3$ 

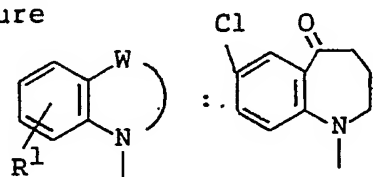
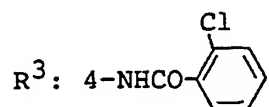
Crystalline form: Colorless amorphous

NMR analysis: 247)

Form: Free

Example 1091

Structure

 $R^2: 2\text{-OCH}_3$ 

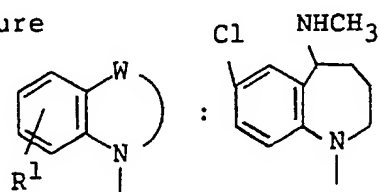
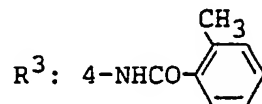
Crystalline form: Colorless amorphous

NMR analysis: 248)

Form: Free

Example 1092

Structure

 $R^2: 2\text{-OCH}_3$ 

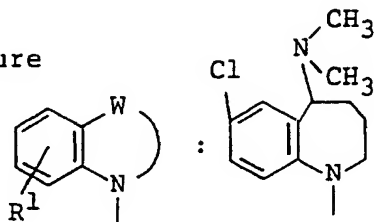
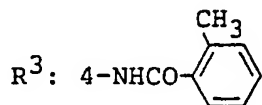
Crystalline form: Colorless amorphous

NMR analysis: 249)

Form: Free

Example 1093

Structure

 $R^2: 2\text{-OCH}_3$ 

Crystalline form: White powder

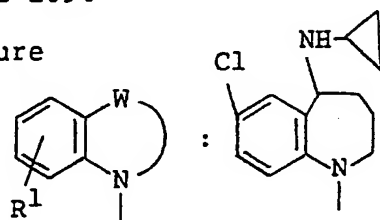
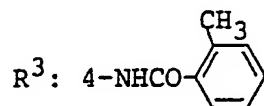
Recrystallization solvent: Methanol/diethyl ether

Melting Point: 205 - 206°C

Form: Free

Example 1094

Structure

 $R^2: 2\text{-OCH}_3$ 

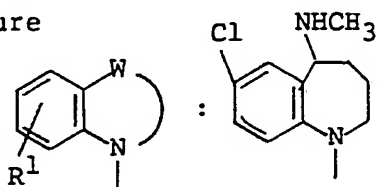
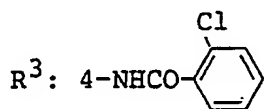
Crystalline form: Colorless amorphous

NMR analysis: 250)

Form: Free

Example 1095

Structure

 $R^2: 2\text{-OCH}_3$ 

Crystalline form: White powder

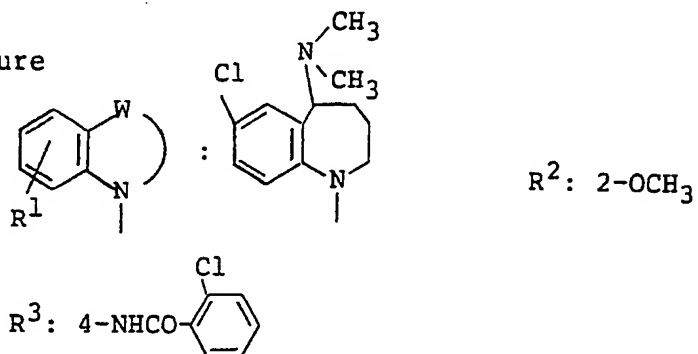
Recrystallization solvent: Methanol/n-hexane

Melting Point: 172.5 - 174°C

Form: Free

Example 1096

Structure



Crystalline form: White powder

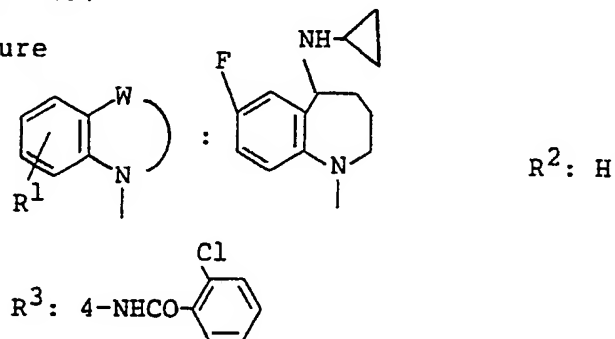
Recrystallization solvent: Methanol/diethyl ether

Melting Point: 215 - 216.5°C

Form: Free

Example 1097

Structure



Crystalline form: White powder

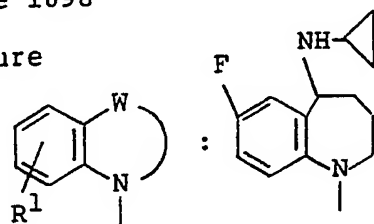
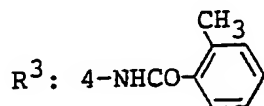
Recrystallization solvent: Methanol/diethyl ether

Melting Point: 133 - 136°C

Form: Free

Example 1098

Structure

 R^2 : 2-Cl

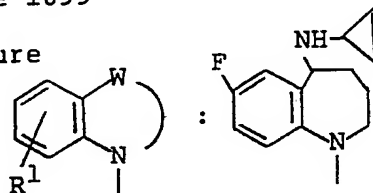
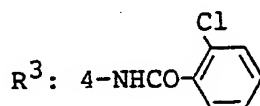
Crystalline form: Colorless amorphous

NMR analysis: 251)

Form: Free

Example 1099

Structure

 R^2 : 2-Cl

Crystalline form: White powder

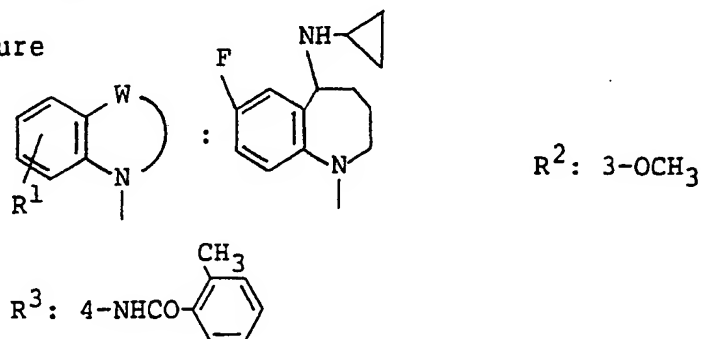
Recrystallization solvent: Methanol/n-hexane

Melting Point: 179 - 180°C

Form: Free

Example 1100

Structure



Crystalline form: White powder

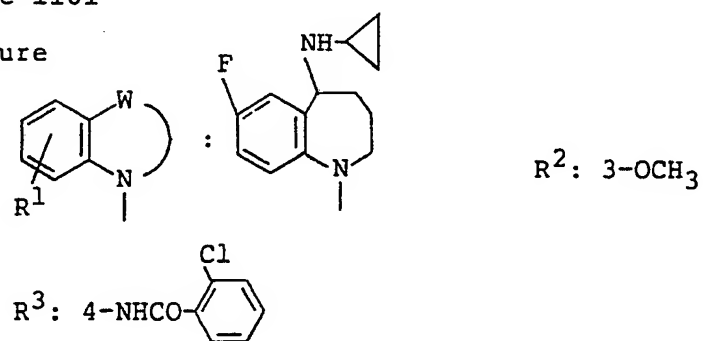
Recrystallization solvent: Methanol/n-hexane

Melting Point: 167.5 - 169.5°C

Form: Free

Example 1101

Structure



Crystalline form: White powder

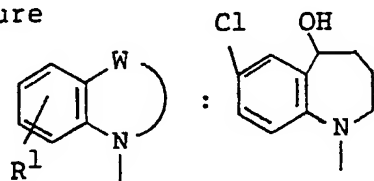
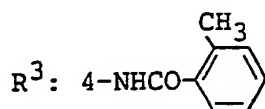
Recrystallization solvent: Methanol/diethyl ether

Melting Point: 176 - 178°C

Form: Free

Example 1102

Structure

 R^2 : 2-OCH₃

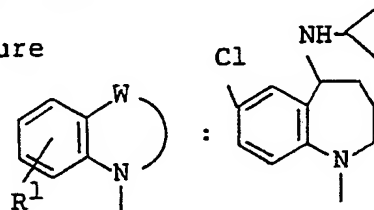
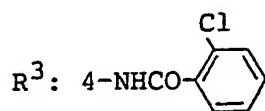
Crystalline form: Colorless amorphous

NMR analysis: 252)

Form: Free

Example 1103

Structure

 R^2 : 2-OCH₃

Crystalline form: White powder

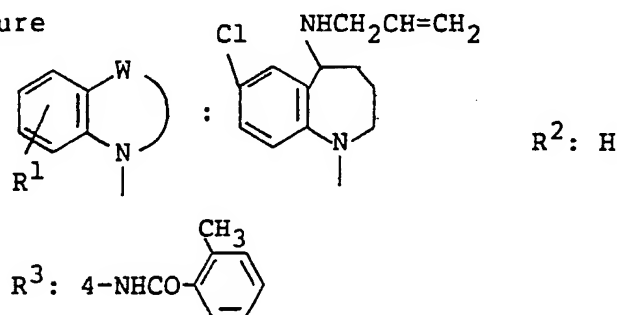
Recrystallization solvent: Methanol/diethyl ether

Melting Point: 185 - 188°C

Form: Free

Example 1104

Structure



Crystalline form: White powder

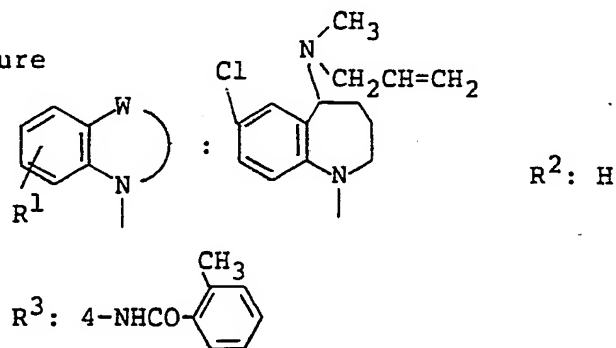
Recrystallization solvent: Methanol/diethyl ether

Melting Point: 180 - 181.5°C

Form: Free

Example 1105

Structure



Crystalline form: White powder

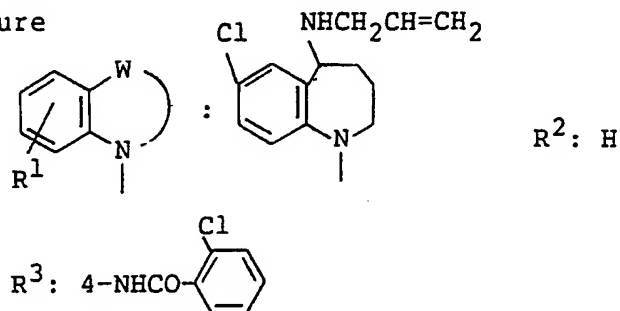
Recrystallization solvent: Methanol/diethyl ether

Melting Point: 181 - 184°C

Form: Free

Example 1106

Structure



Crystalline form: White powder

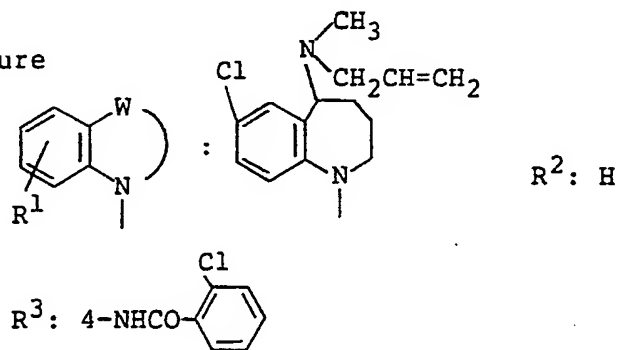
Recrystallization solvent: Methanol/diethyl ether

Melting Point: 186.5 - 187°C

Form: Free

Example 1107

Structure



Crystalline form: White powder

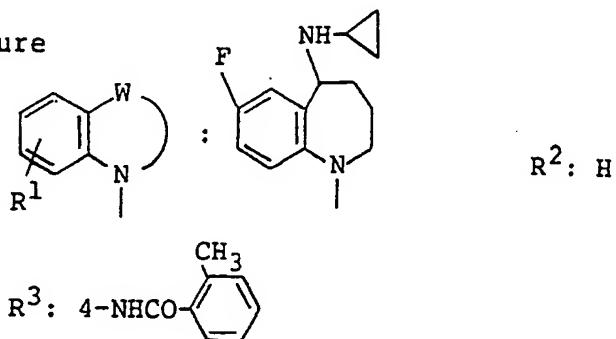
Recrystallization solvent: Methanol/diethyl ether

Melting Point: 183 - 184°C

Form: Free

Example 1108

Structure



Crystalline form: White powder

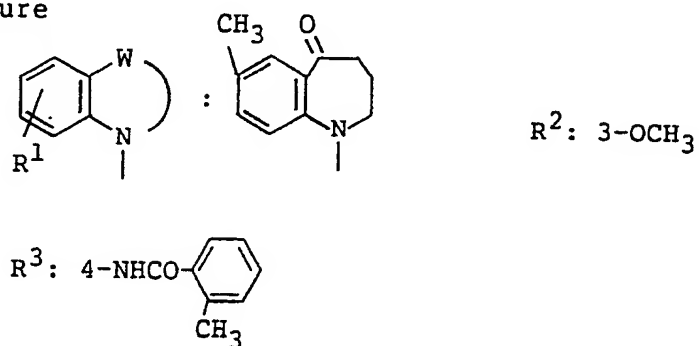
Recrystallization solvent: Methanol/diethyl ether

Melting Point: 151 - 153°C

Form: Free

Example 1109

Structure



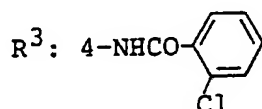
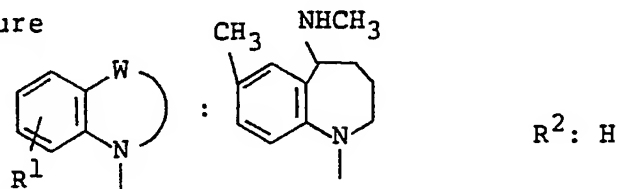
Crystalline form: Colorless amorphous

NMR analysis: 253)

Form: Free

Example 1110

Structure



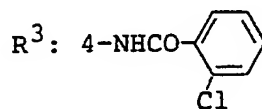
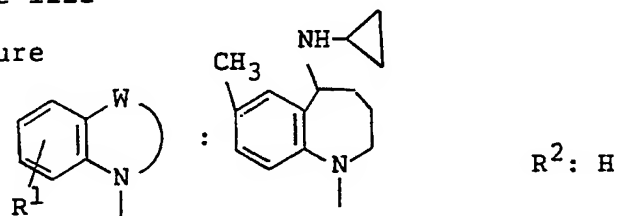
Crystalline form: Colorless amorphous

NMR analysis: 254)

Form: Free

Example 1111

Structure



Crystalline form: White needles

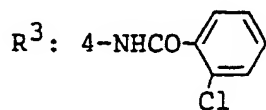
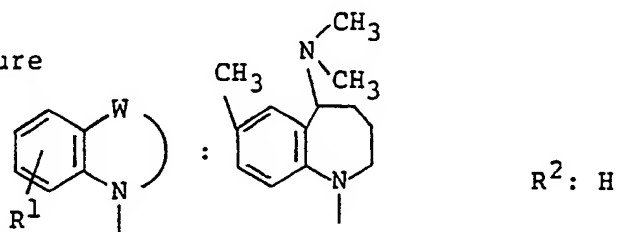
Recrystallization solvent: Ethanol/n-hexane

Melting Point: 191 - 195°C

Form: Free

Example 1112

Structure



Crystalline form: White powder

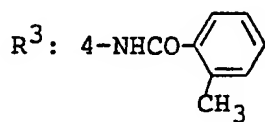
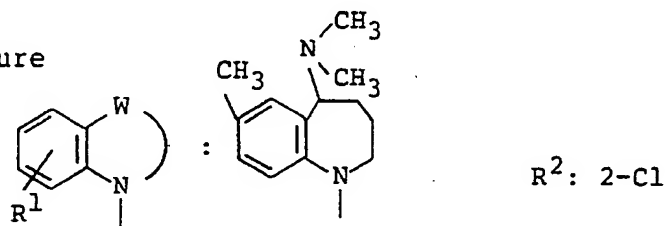
Recrystallization solvent: Diethyl ether/n-hexane

Melting Point: 227 - 230°C

Form: Free

Example 1113

Structure



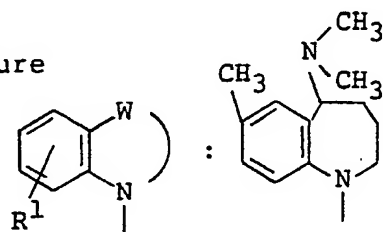
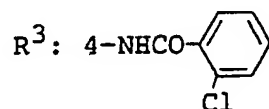
Crystalline form: Colorless amorphous

NMR analysis: 289)

Form: Free

Example 1114

Structure

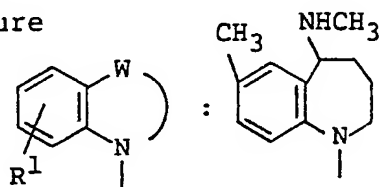
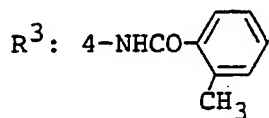
 R^2 : 2-Cl

Crystalline form: Light yellow amorphous

NMR analysis: 255)

Example 1115

Structure

 R^2 : 3-OCH₃

Crystalline form: White powder

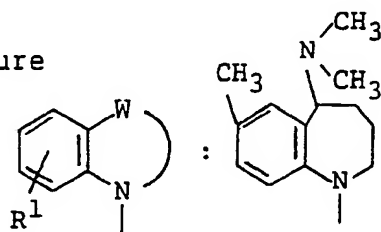
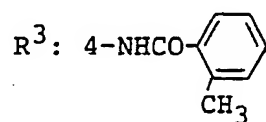
Recrystallization solvent: Diethyl ether/n-hexane

Melting Point: 172 - 174°C

Form: Free

Example 1116

Structure

 R^2 : 3-OCH₃

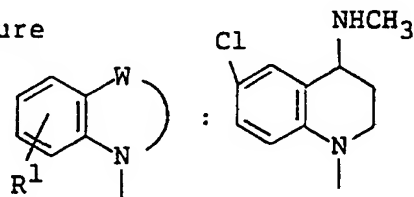
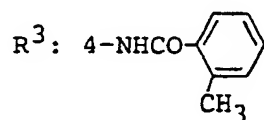
Crystalline form: Colorless amorphous

NMR analysis: 305)

Form: Free

Example 1117

Structure

 R^2 : 2-CH₃

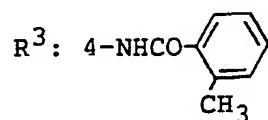
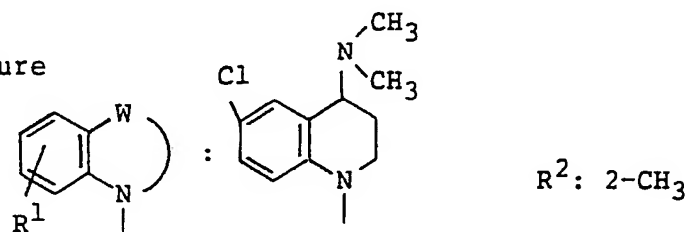
Crystalline form: Colorless amorphous

NMR analysis: 290)

Form: Free

Example 1118

Structure



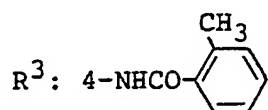
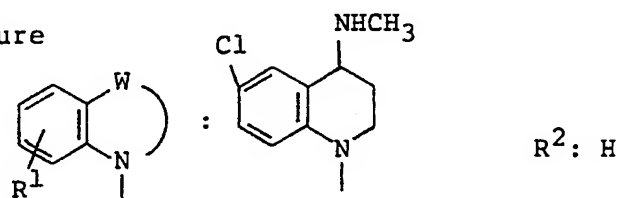
Crystalline form: Colorless amorphous

NMR analysis: 291)

Form: Free

Example 1119

Structure



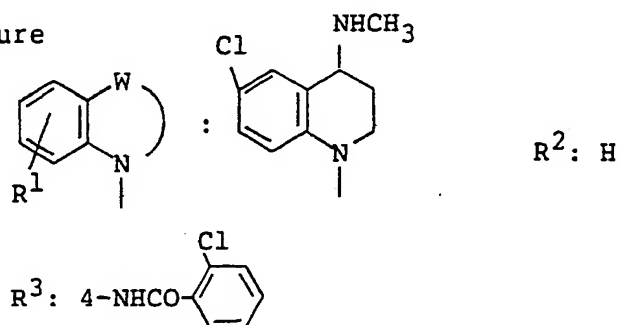
Crystalline form: Colorless amorphous

NMR analysis: 264)

Form: Free

Example 1120

Structure



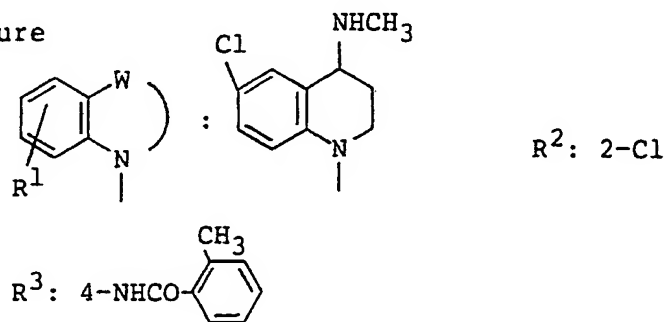
Crystalline form: Colorless amorphous

NMR analysis: 265)

Form: Free

Example 1121

Structure



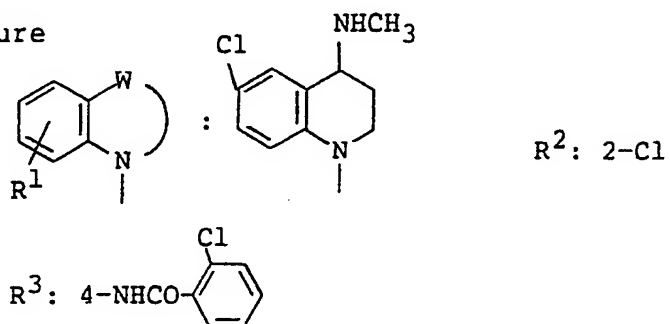
Crystalline form: Colorless amorphous

NMR analysis: 266)

Form: Free

Example 1122

Structure



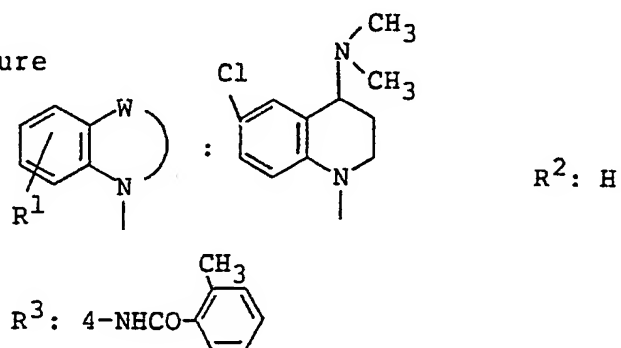
Crystalline form: Colorless amorphous

NMR analysis: 267)

Form: Free

Example 1123

Structure



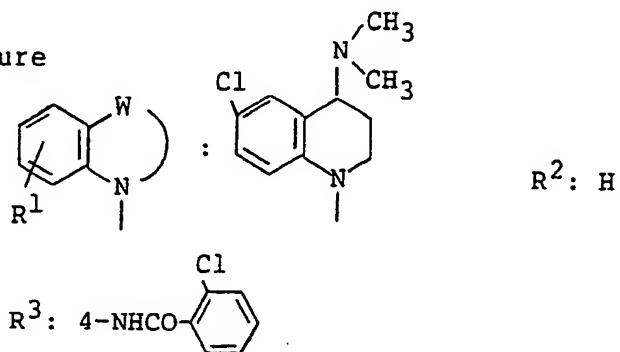
Crystalline form: Colorless amorphous

NMR analysis: 268)

Form: Free

Example 1124

Structure



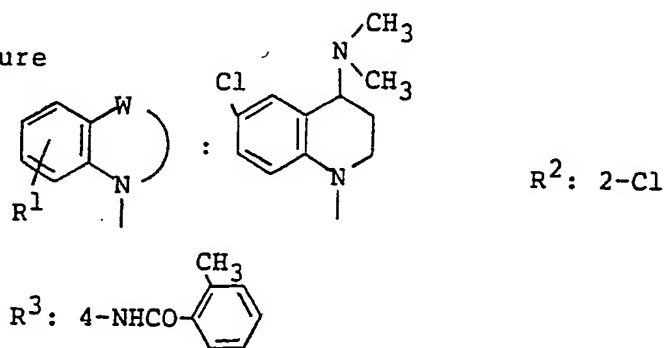
Crystalline form: Colorless amorphous

NMR analysis: 269)

Form: Free

Example 1125

Structure



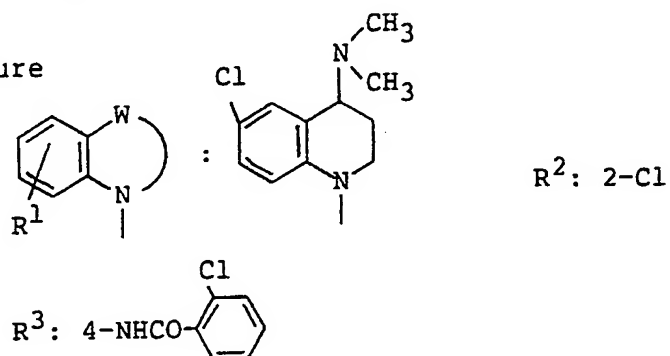
Crystalline form: Colorless amorphous

NMR analysis: 270)

Form: Free

Example 1126

Structure



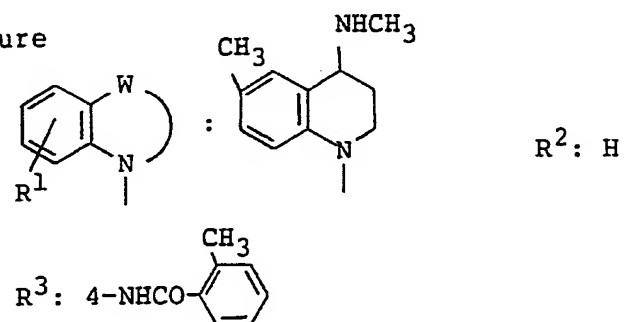
Crystalline form: Colorless amorphous

NMR analysis: 271)

Form: Free

Example 1127

Structure



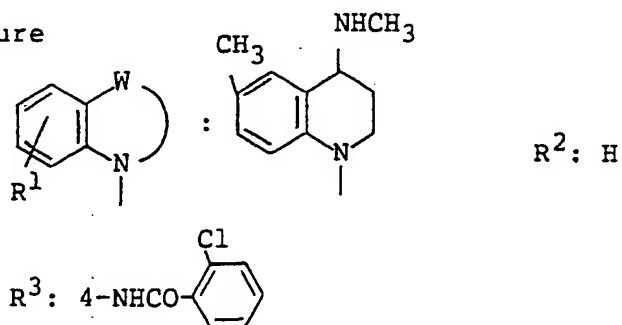
Crystalline form: Colorless amorphous

NMR analysis: 272)

Form: Free

Example 1128

Structure



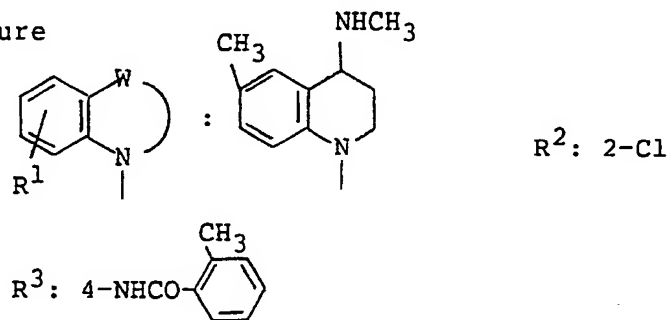
Crystalline form: Colorless amorphous

NMR analysis: 273)

Form: Free

Example 1129

Structure



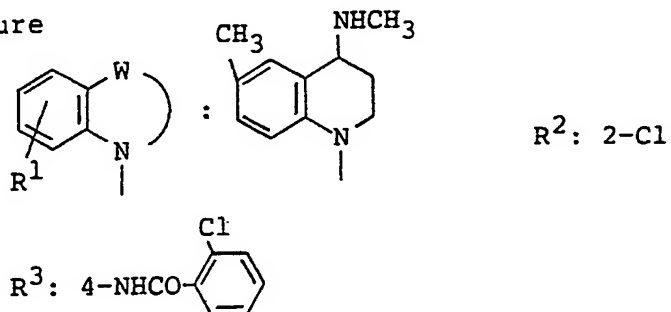
Crystalline form: Colorless amorphous

NMR analysis: 274)

Form: Free

Example 1130

Structure



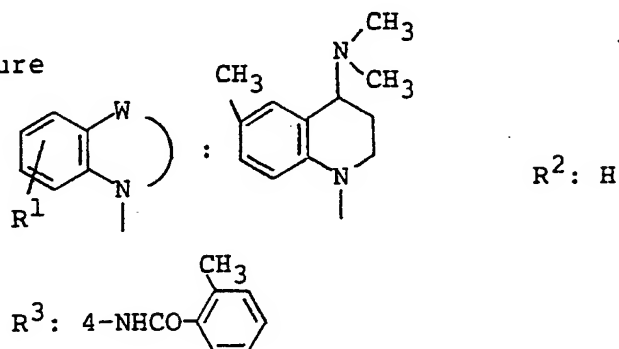
Crystalline form: Colorless amorphous

NMR analysis: 275)

Form: Free

Example 1131

Structure



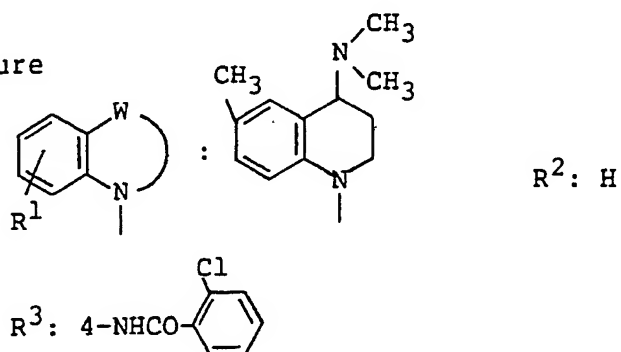
Crystalline form: Colorless amorphous

NMR analysis: 276)

Form: Free

Example 1132

Structure



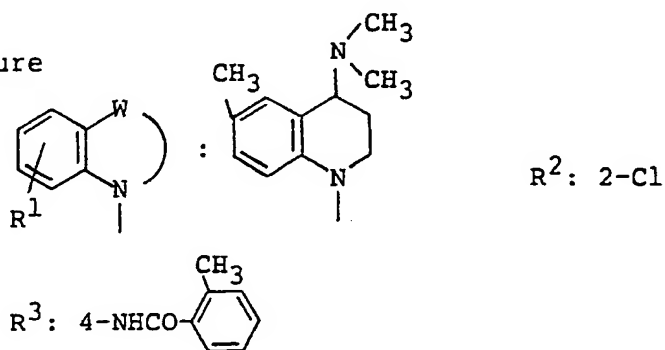
Crystalline form: Colorless amorphous

NMR analysis: 277)

Form: Free

Example 1133

Structure



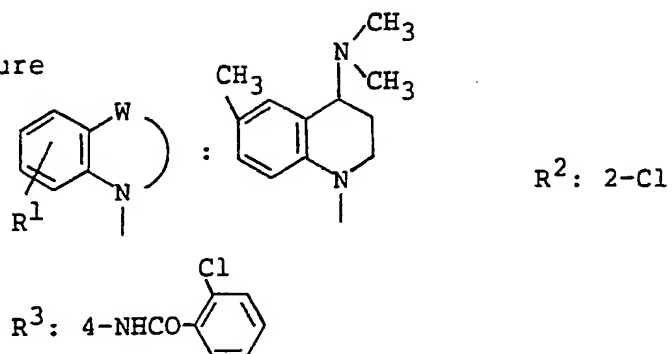
Crystalline form: Colorless amorphous

NMR analysis: 278)

Form: Free

Example 1134

Structure



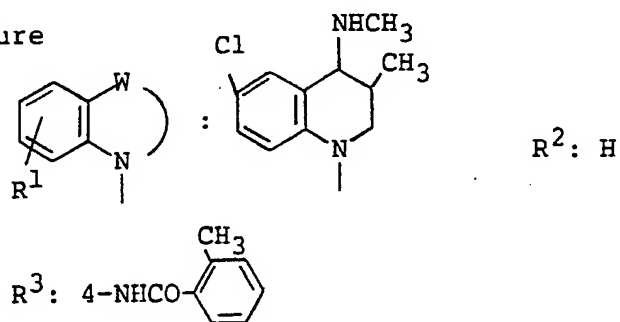
Crystalline form: Colorless amorphous

NMR analysis: 279)

Form: Free

Example 1135

Structure

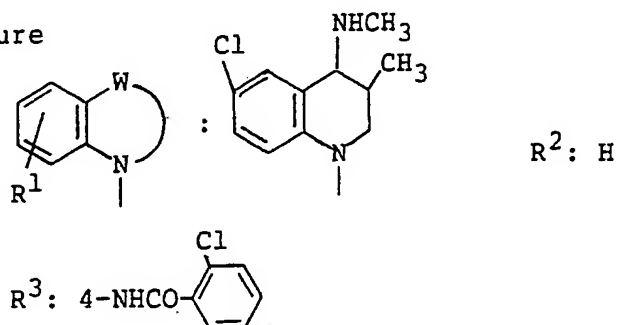


NMR analysis: 280)

Form: Free

Example 1136

Structure

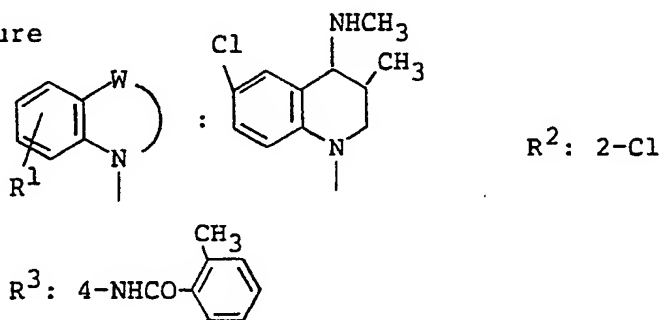


NMR analysis: 281)

Form: Free

Example 1137

Structure

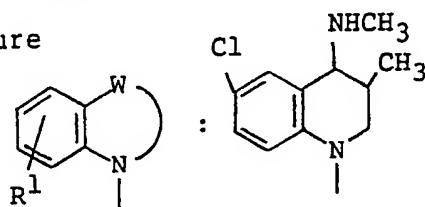
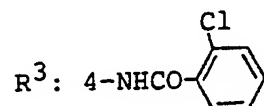


NMR analysis: 282)

Form: Free

Example 1138

Structure

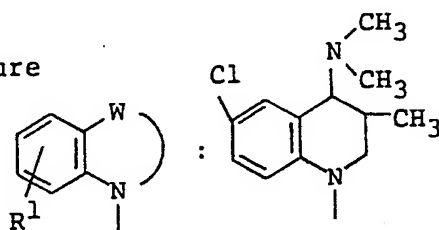
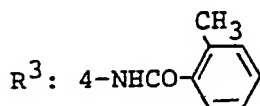
 R^2 : 2-Cl

NMR analysis: 283)

Form: Free

Example 1139

Structure

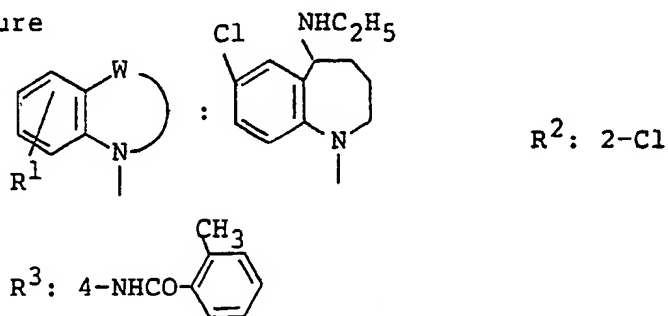
 R^2 : 2-Cl

NMR analysis: 306)

Form: Free

Example 1140

Structure



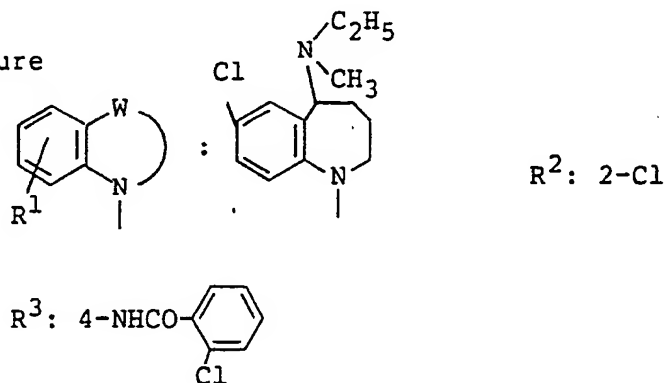
Crystalline form: Colorless amorphous

NMR analysis: 284)

Form: Free

Example 1141

Structure



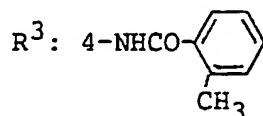
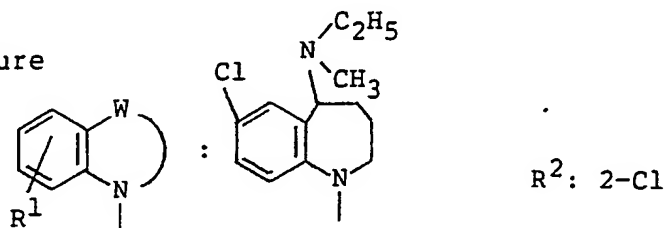
Crystalline form: Colorless amorphous

NMR analysis: 285)

Form: Free

Example 1142

Structure



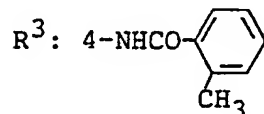
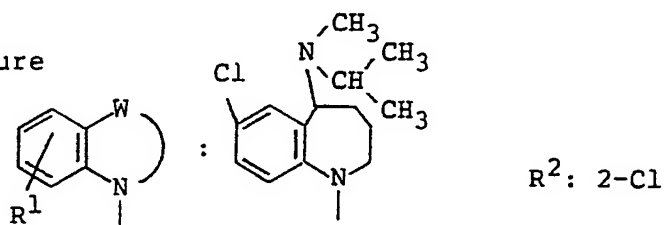
Crystalline form: Colorless amorphous

NMR analysis: 286)

Form: Free

Example 1143

Structure



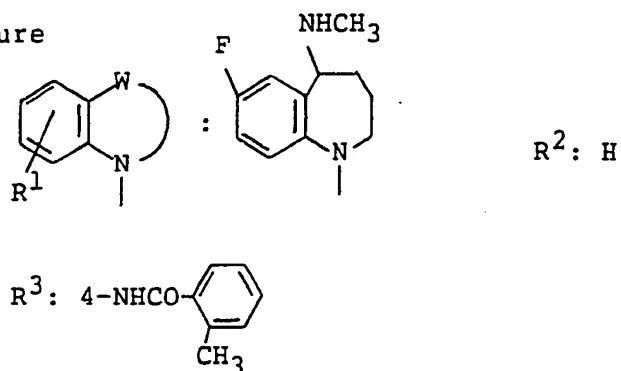
Crystalline form: Colorless amorphous

NMR analysis: 287)

Form: Free

Example 1144

Structure



Crystalline form: White powder

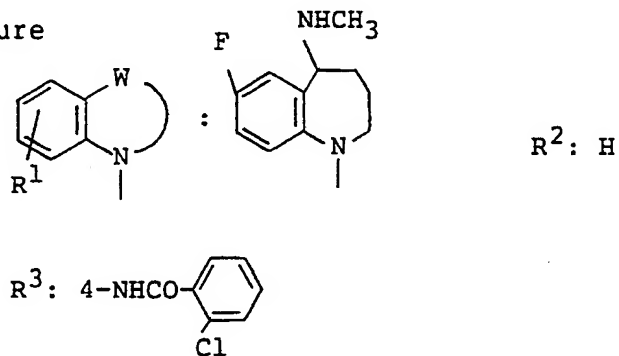
Recrystallization solvent: Dichloromethane/diethyl ether

Melting Point: 203 - 207°C

Form: Free

Example 1145

Structure



Crystalline form: White powder

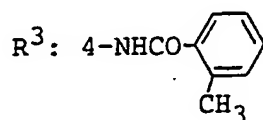
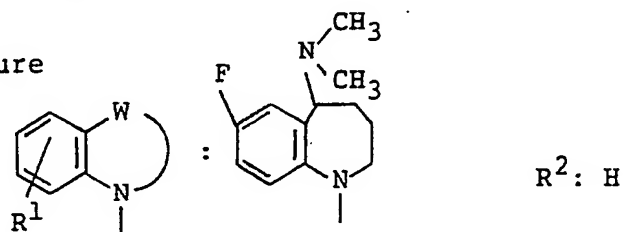
Recrystallization solvent: Dichloromethane/diethyl ether

Melting Point: 199 - 203°C

Form: Free

Example 1146

Structure



Crystalline form: White powder

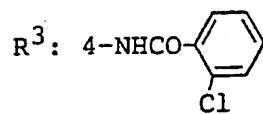
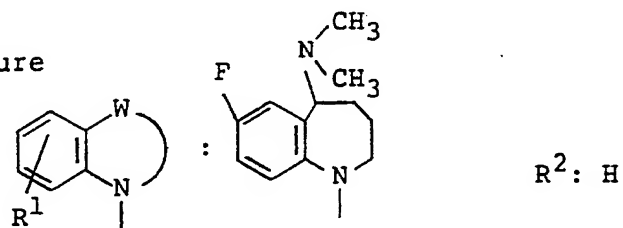
Recrystallization solvent: Dichloromethane/diethyl ether

Melting Point: 210 - 212°C

Form: Free

Example 1147

Structure



Crystalline form: White powder

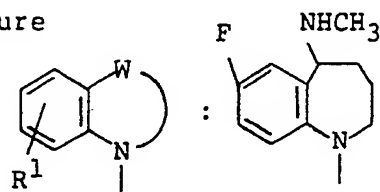
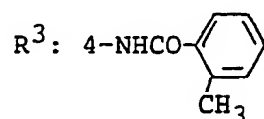
Recrystallization solvent: Dichloromethane/diethyl ether

Melting Point: 211 - 214°C

Form: Free

Example 1148

Structure

R²: 2-Cl

Crystalline form: White powder

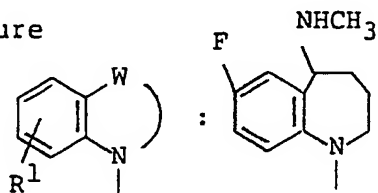
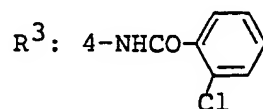
Recrystallization solvent: Dichloromethane/diethyl ether

Melting Point: 186 - 189°C

Form: Free

Example 1149

Structure

R²: 2-Cl

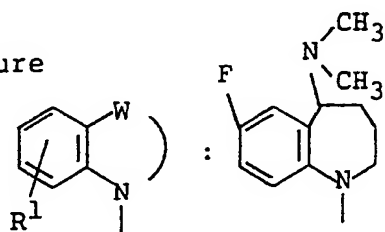
Crystalline form: Colorless amorphous

NMR analysis: 288)

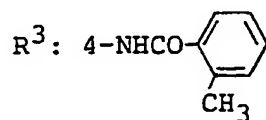
Form: Free

Example 1150

Structure



R²: 2-Cl



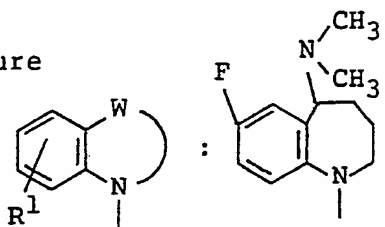
Crystalline form: Colorless amorphous

NMR analysis: 292)

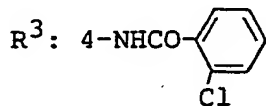
Form: Free

Example 1151

Structure



R²: 2-Cl



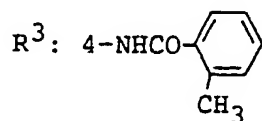
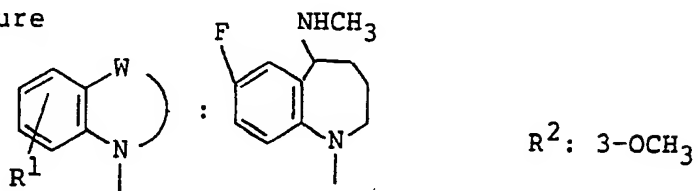
Crystalline form: Colorless amorphous

NMR analysis: 293)

Form: Free

Example 1152

Structure



Crystalline form: White powder

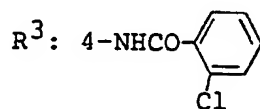
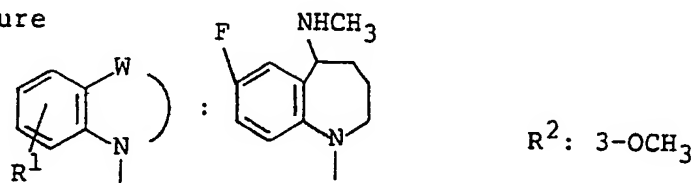
Recrystallization solvent: Dichloromethane/diethyl ether

Melting Point: 144 - 145°C

Form: Free

Example 1153

Structure



Crystalline form: White powder

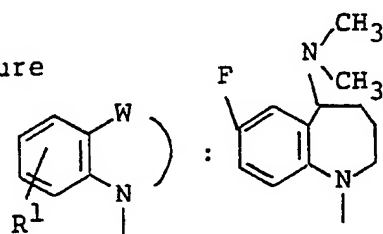
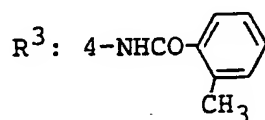
Recrystallization solvent: Dichloromethane/diethyl ether

Melting Point: 149 - 150°C

Form: Free

Example 1154

Structure

R²: 3-OCH₃

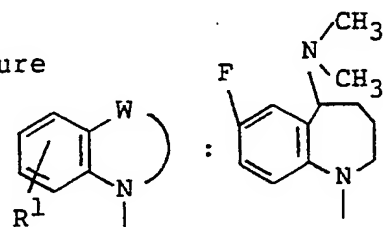
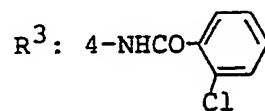
Crystalline form: Colorless amorphous

NMR analysis: 294)

Form: Free

Example 1155

Structure

R²: 3-OCH₃

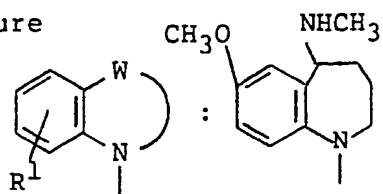
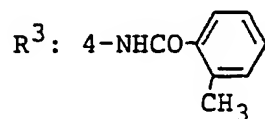
Crystalline form: Colorless amorphous

NMR analysis: 295)

Form: Free

Example 1156

Structure

 $R^2: 2\text{-CH}_3$ 

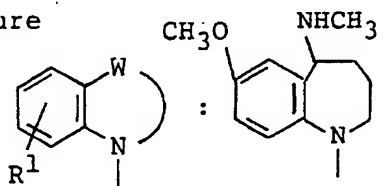
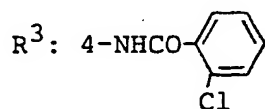
Crystalline form: Colorless amorphous

NMR analysis: 301)

Form: Free

Example 1157

Structure

 $R^2: 2\text{-CH}_3$ 

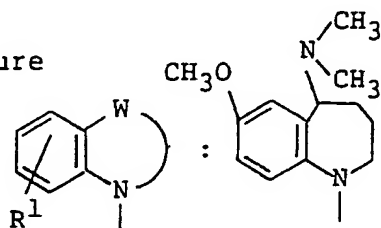
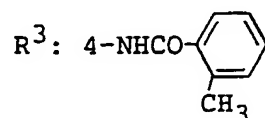
Crystalline form: Colorless amorphous

NMR analysis: 302)

Form: Free

Example 1158

Structure

 R^2 : 2- CH_3 

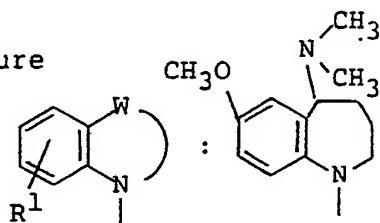
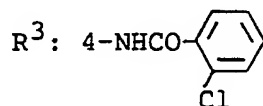
Crystalline form: Colorless amorphous

NMR analysis: 303)

Form: Free

Example 1159

Structure

 R^2 : 2- CH_3 

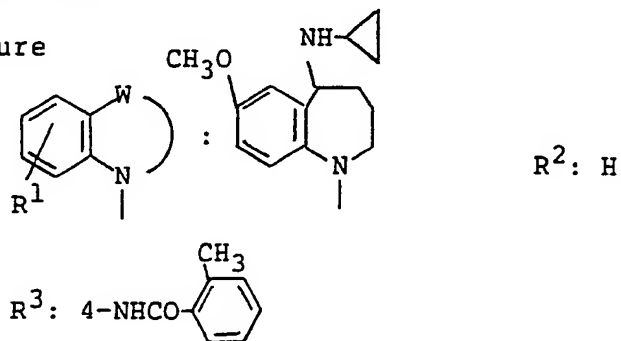
Crystalline form: Colorless amorphous

NMR analysis: 304)

Form: Free

Example 1160

Structure



Crystalline form: White powder

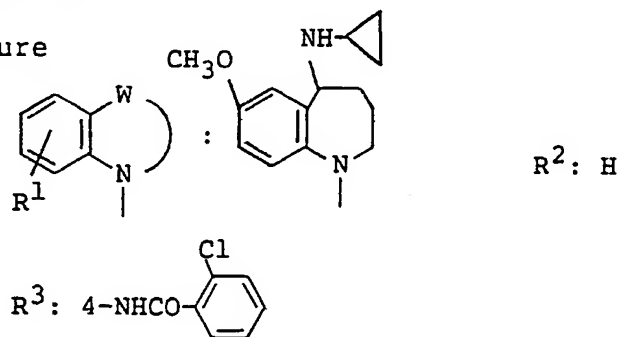
Recrystallization solvent: Ethyl acetate/diisopropyl ether

Melting Point: 191 - 193°C

Form: Free

Example 1161

Structure



Crystalline form: White powder

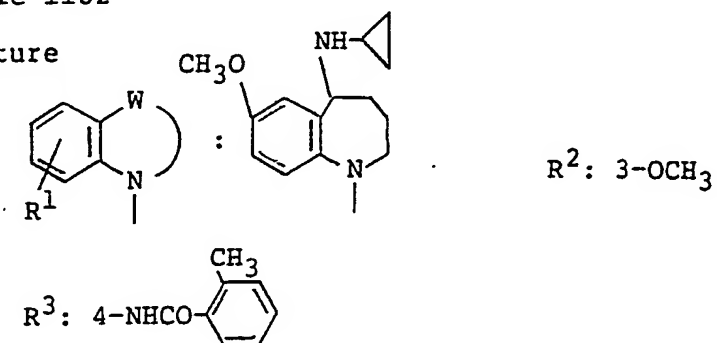
Recrystallization solvent: Ethyl acetate/diisopropyl ether

Melting Point: 221 - 223°C

Form: Free

Example 1162

Structure



Crystalline form: White powder

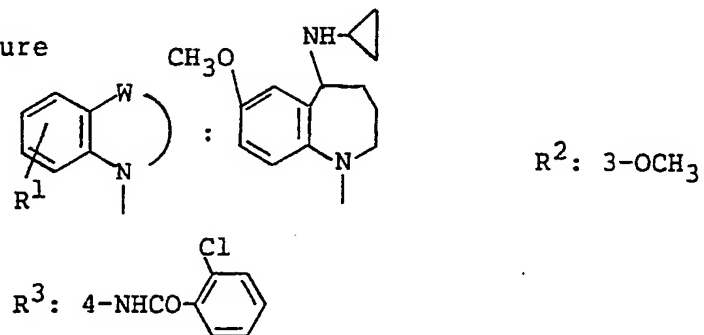
Recrystallization solvent: Ethyl acetate/n-hexane

Melting Point: 159 - 161°C

Form: Free

Example 1163

Structure



Crystalline form: White powder

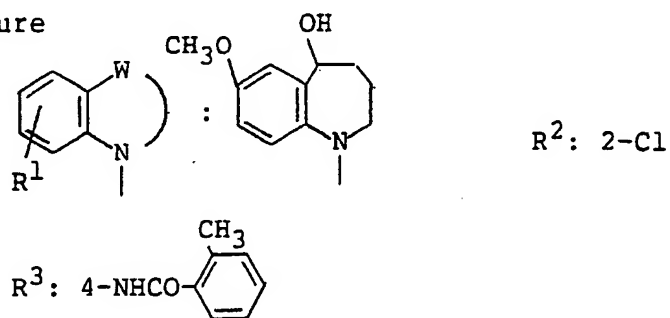
Recrystallization solvent: Ethyl acetate/n-hexane

Melting Point: 174 - 175°C

Form: Free

Example 1164

Structure



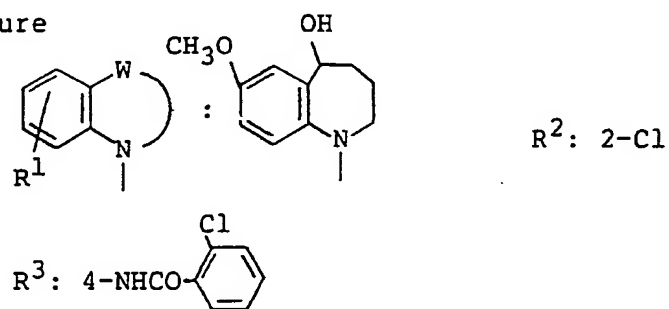
Crystalline form: Colorless amorphous

NMR analysis: 256)

Form: Free

Example 1165

Structure



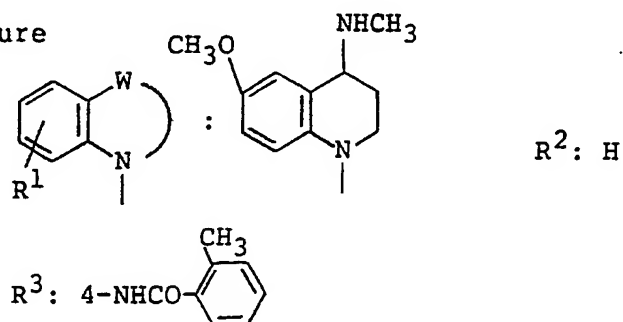
Crystalline form: Colorless amorphous

NMR analysis: 257)

Form: Free

Example 1166

Structure



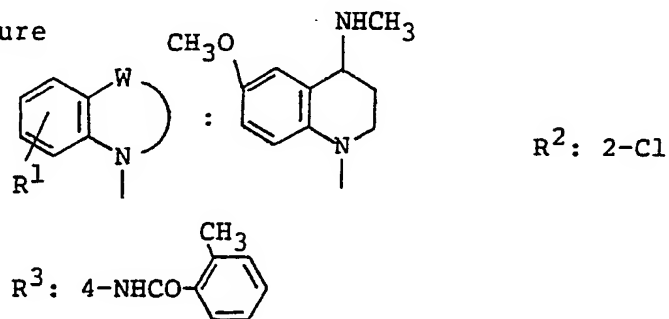
Crystalline form: Colorless amorphous

NMR analysis: 258)

Form: Free

Example 1167

Structure



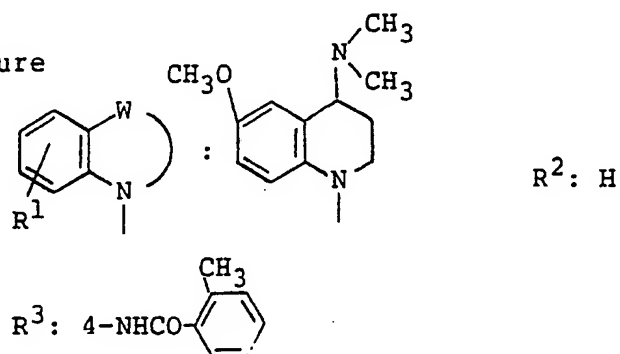
Crystalline form: Colorless amorphous

NMR analysis: 259)

Form: Free

Example 1168

Structure



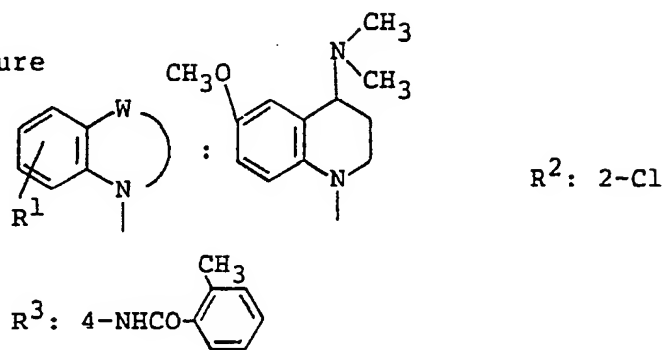
Crystalline form: Colorless amorphous

NMR analysis: 260)

Form: Free

Example 1169

Structure



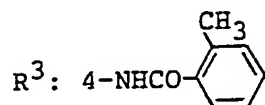
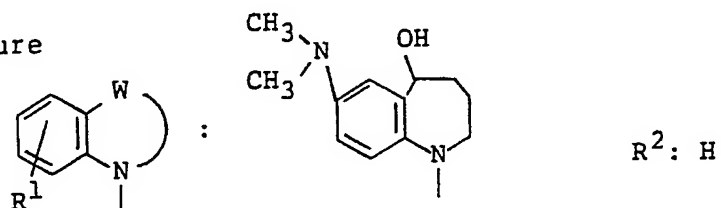
Crystalline form: Colorless amorphous

NMR analysis: 261)

Form: Free

Example 1170

Structure



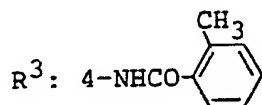
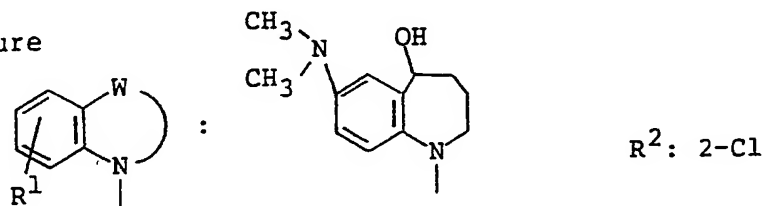
Crystalline form: Colorless amorphous

NMR analysis: 296)

Form: Free

Example 1171

Structure



Crystalline form: White powder

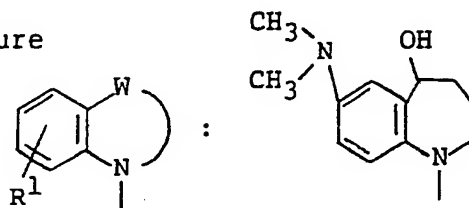
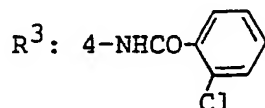
Recrystallization solvent: Ethanol/diethyl ether/n-hexane

Melting Point: 159 - 162°C

Form: Free

Example 1172

Structure

 R^2 : 2-Cl

Crystalline form: Colorless needles

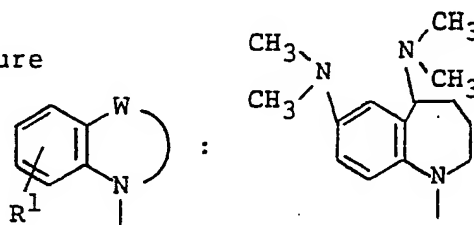
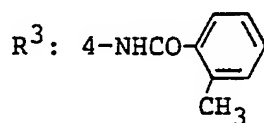
Recrystallization solvent: Ethanol/diethyl ether/n-hexane

Melting Point: 221 - 224°C

Form: Free

Example 1173

Structure

 R^2 : 2-Cl

Crystalline form: Colorless prisms

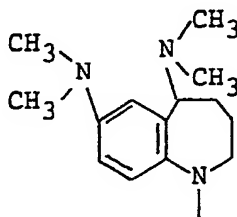
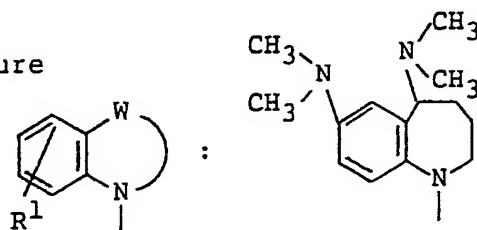
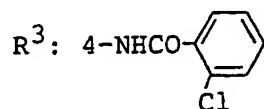
Recrystallization solvent: Ethanol/diethyl ether

Melting Point: 199 - 202°C

Form: Free

Example 1174

Structure

 R^2 : 2-Cl

Crystalline form: Colorless prisms

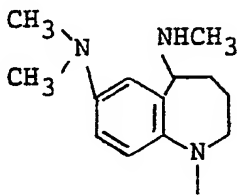
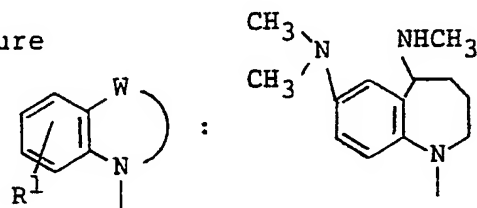
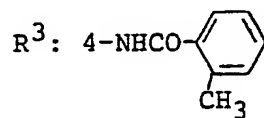
Recrystallization solvent: Ethanol/diethyl ether

Melting Point: 215 - 218°C

Form: Free

Example 1175

Structure

 R^2 : 2-Cl

Crystalline form: Colorless needles

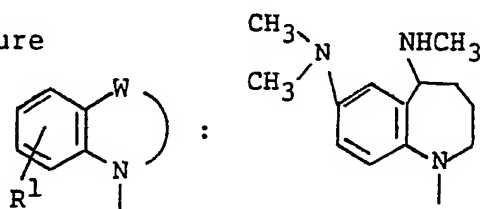
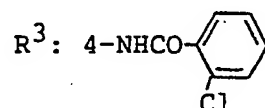
Recrystallization solvent: Ethanol/diethyl ether/n-hexane

Melting Point: 167 - 170°C

Form: Free

Example 1176

Structure

 R^2 : 2-Cl

Crystalline form: White powder

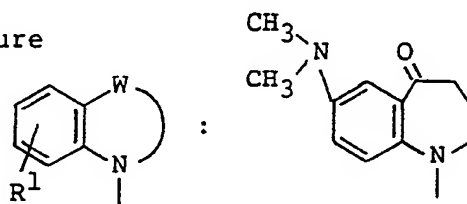
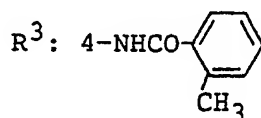
Recrystallization solvent: Ethanol/diethyl ether/n-hexane

Melting Point: 191 - 193°C

Form: Free

Example 1177

Structure

 R^2 : 2-Cl

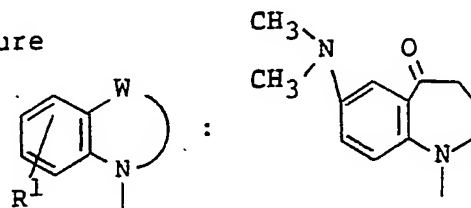
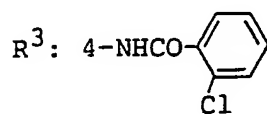
Crystalline form: Light yellow amorphous

NMR analysis: 262)

Form: Free

Example 1178

Structure

 R^2 : 2-Cl

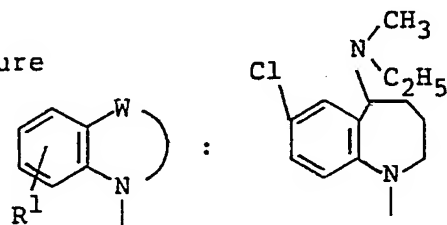
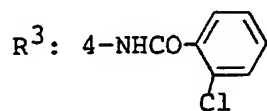
Crystalline form: Light yellow amorphous

NMR analysis: 263)

Form: Free

Example 1179

Structure

 R^2 : 3-OCH₃

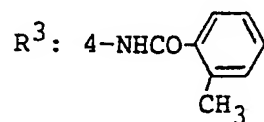
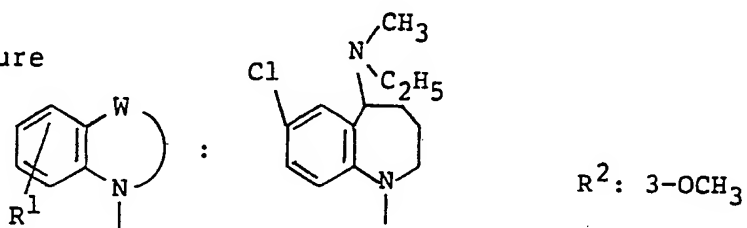
Crystalline form: Colorless amorphous

NMR analysis: 297)

Form: Free

Example 1180

Structure



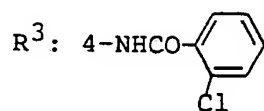
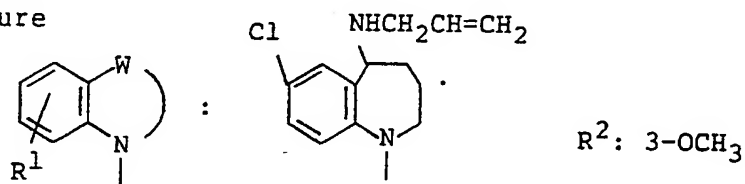
Crystalline form: Colorless amorphous

NMR analysis: 298)

Form: Free

Example 1181

Structure



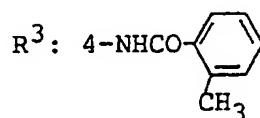
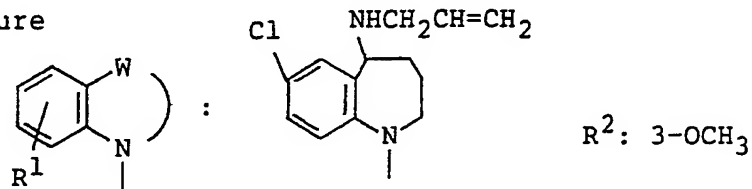
Crystalline form: Colorless amorphous

NMR analysis: 299)

Form: Free

Example 1182

Structure



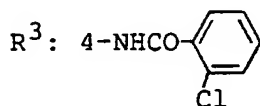
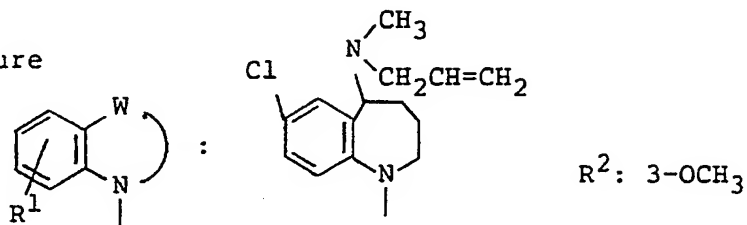
Crystalline form: Colorless amorphous

NMR analysis: 300)

Form: Free

Example 1183

Structure



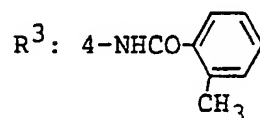
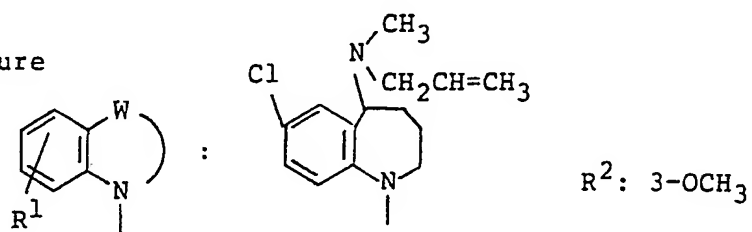
Crystalline form: Colorless amorphous

NMR analysis: 307)

Form: Free

Example 1184

Structure



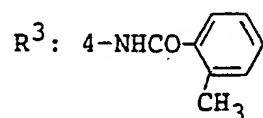
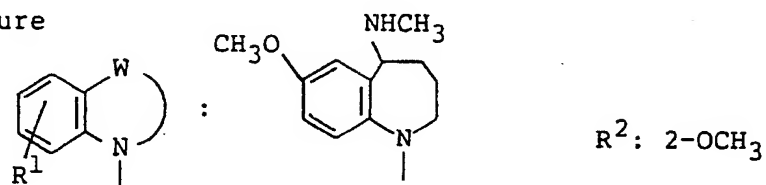
Crystalline form: Colorless amorphous

NMR analysis: 308)

Form: Free

Example 1185

Structure



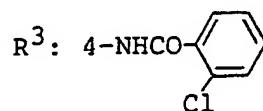
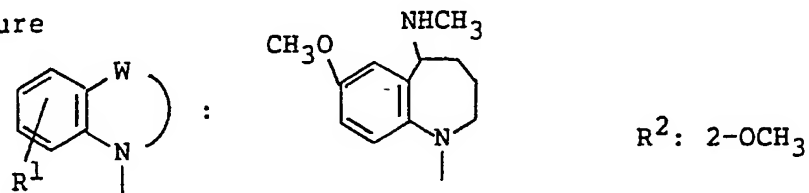
Crystalline form: Colorless amorphous

NMR analysis: 309)

Form: Free

Example 1186

Structure



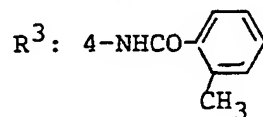
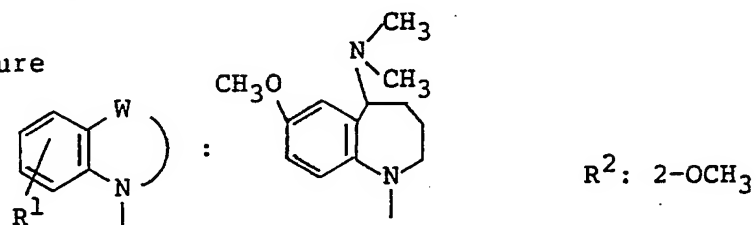
Crystalline form: Colorless amorphous

NMR analysis: 310)

Form: Free

Example 1187

Structure



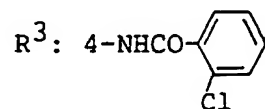
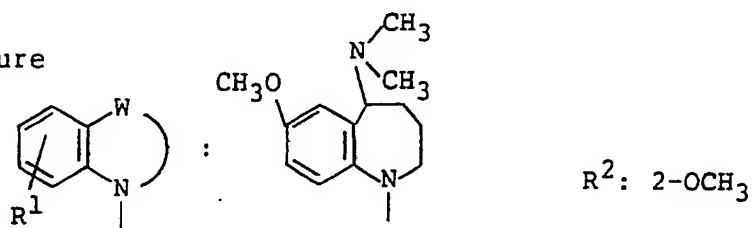
Crystalline form: Colorless amorphous

NMR analysis: 311)

Form: Free

Example 1188

Structure



Crystalline form: Colorless amorphous

NMR analysis: 312)

Form: Free

- 238) ^1H -NMR (DMSO- d_6) δ ; 1.4-2.1 (4H, m), 2.34 (3H, s),
2.8-5.4 (4H, m), 7.09 (1H, d, $J=8.4$ Hz), 7.15-7.7
(9H, m), 7.76 (1H, d, $J=2.6$ Hz), 10.41 (1H, s)
- 239) ^1H -NMR (DMSO- d_6) δ ; 1.5-2.2 (4H, m), 2.8-5.2 (4H,
m), 7.09 (1H, d, $J=8.4$ Hz), 7.2-7.7 (9H, m), 7.76
(1H, d, $J=2.6$ Hz), 10.63 (1H, s)
- 240) ^1H -NMR (CDCl_3) δ ; 1.65-2.2 (4H, m), 2.25-2.65 (6H,
m), 2.75-4.6 (4H, m), 6.8-8.15 (11H, m)
- 241) ^1H -NMR (CDCl_3) δ ; 1.4-2.25 (4H, m), 2.25-2.55 (3H,
m), 2.7-4.8 (4H, m), 6.8-8.3 (11H, m)
- 242) ^1H -NMR (CDCl_3) δ ; 1.4-2.1 (4H, m), 2.35-2.6 (3H,
m), 2.8-5.2 (7H, m), 6.8-8.05 (11H, m)
- 243) ^1H -NMR (CDCl_3) δ ; 1.4-2.15 (4H, m), 2.4-5.2 (7H,
m), 6.8-7.85 (10H, m), 7.9-8.3 (1H, m)
- 244) ^1H -NMR (CDCl_3) δ ; 1.20-2.38 (11H, m), 2.98-5.10
(3H, m), 6.45-7.04 (2H, m), 7.05-7.86 (8H, m),
8.00-8.50 (1H, m)
- 245) ^1H -NMR (CDCl_3) δ ; 1.05-2.78 (14H, m), 2.78-5.18
(2H, m), 6.36-7.03 (2H, m), 7.06-7.90 (8H, m),
7.98-8.39 (1H, m)
- 246) ^1H -NMR (CDCl_3) δ ; 1.75-2.54 (2H, m), 2.60-4.03
(4H, m), 3.37 (3H, brs), 5.17 (2H, s), 6.60-6.83
(3H, m), 6.90-7.07 (1H, m), 7.07-7.20 (1H, m),
7.22-7.50 (6H, m), 7.73-7.84 (1H, m)
- 247) ^1H -NMR (CDCl_3) δ ; 1.60-2.40 (2H, m), 2.45 (3H, s),
2.65-3.06 (2H, m), 3.06-5.28 (2H, m), 3.35 (3H,

- brs), 6.59-7.60 (9H, m), 7.67-7.88 (1H, m), 8.12 (1H, brs)
- 248) $^1\text{H-NMR}$ (CDCl_3) δ ; 1.60-2.52 (2H, m), 2.64-5.32 (4H, m), 3.37 (3H, brs), 6.60-7.98 (10H, m), 8.50 (1H, brs)
- 249) $^1\text{H-NMR}$ (CDCl_3) δ ; 1.18-3.15 (12H, m), 3.40-4.38 (5H, m), 6.58-7.75 (10H, m), 8.30-8.71 (1H, m)
- 250) $^1\text{H-NMR}$ (CDCl_3) δ ; 0.26-0.71 (4H, m), 1.15-3.29 (10H, m), 3.40-4.95 (5H, m), 6.60-7.85 (10H, m), 8.18-8.68 (1H, m)
- 251) $^1\text{H-NMR}$ (CDCl_3) δ ; 0.25-0.72 (4H, m), 1.16-2.35 (6H, m), 2.35-3.30 (4H, m), 3.43-4.98 (2H, m), 6.57-7.94 (10H, m), 8.22-8.89 (1H, m)
- 252) $^1\text{H-NMR}$ (CDCl_3) δ ; 0.69-2.90 (9H, m), 2.90-5.10 (5H, m), 6.40-7.85 (10H, m), 8.25-8.54 (1H, m)
- 253) $^1\text{H-NMR}$ (CDCl_3) δ ; 2.17 (2H, brs), 2.34 (3H, s), 2.49 (3H, s), 2.87 (2H, t, $J=6.0$ Hz), 3.10-5.00 (2H, m), 3.70 (3H, s), 6.67 (1H, d, $J=8.0$ Hz), 6.85-6.88 (2H, m), 7.09 (1H, dd, $J=1.5, 8.0$ Hz), 7.21-7.50 (4H, m), 7.64 (1H, d, $J=1.9$ Hz), 8.11 (1H, m), 8.33 (1H, d, $J=8.8$ Hz)
- 254) $^1\text{H-NMR}$ (CDCl_3) δ ; 1.42-5.06 (13H, m), 6.51 (1H, d, $J=7.8$ Hz), 6.76 (1H, m), 7.01-7.63 (10H, m), 8.53 (1H, m)
- 255) $^1\text{H-NMR}$ (CDCl_3) δ ; 1.26-4.93 (16H, m), 6.69-7.73 (10H, m), 8.62-8.84 (1H, m)

- 256) $^1\text{H-NMR}$ (CDCl_3) δ ; 1.45-1.90 (2H, m), 1.90-2.33 (2H, m), 2.33-3.25 (4H, m), 3.60-3.93 (3H, m), 4.45-5.15 (2H, m), 6.40-8.25 (11H, m)
- 257) $^1\text{H-NMR}$ (CDCl_3) δ ; 1.49-1.97 (2H, m), 1.97-3.10 (3H, m), 3.58-3.98 (3H, m), 4.60-5.26 (2H, m), 6.44-8.36 (11H, m)
- 258) $^1\text{H-NMR}$ (CDCl_3) δ ; 1.82-2.13 (1H, m), 2.13-2.43 (1H, m), 2.50 (3H, s), 2.57 (3H, s), 3.69-4.06 (3H, m), 3.78 (3H, s), 6.45-6.80 (2H, m), 6.85-7.00 (1H, m), 7.18-7.80 (9H, m)
- 259) $^1\text{H-NMR}$ (CDCl_3) δ ; 1.72-2.05 (1H, m), 2.11-2.40 (1H, m), 2.51 (3H, s), 2.57 (3H, s), 3.40-4.20 (3H, m), 3.77 (3H, s), 6.35-6.64 (1H, m), 6.79-6.96 (1H, m), 7.15-8.13 (9H, m)
- 260) $^1\text{H-NMR}$ (CDCl_3) δ ; 1.71-2.05 (1H, m), 2.07-2.32 (1H, m), 2.33 (6H, s), 2.47 (3H, s), 3.50-3.80 (2H, m), 3.76 (3H, s), 3.95-4.17 (1H, m), 6.40-6.70 (2H, m), 6.90-7.03 (1H, m), 7.14-7.77 (8H, m), 7.90-8.14 (1H, m)
- 261) $^1\text{H-NMR}$ (CDCl_3) δ ; 1.76-2.70 (2H, m), 2.30 (6H, s), 2.47 (3H, s), 3.23-4.40 (3H, m), 3.73 (3H, s), 6.30-6.65 (2H, m), 6.65-8.76 (9H, m)
- 262) $^1\text{H-NMR}$ (CDCl_3) δ ; 1.68-2.35 (2H, m), 2.36-5.11 [13H, m, 2.45 (3H, s), 2.92 (6H, s)], 6.56 (1H, dd, $J=3.1, 8.7$ Hz), 6.78-7.06 (2H, m), 6.82 (1H, d, $J=8.7$ Hz), 7.11-7.68 (6H, m), 7.97 (1H, brs)

- 263) ^1H -NMR (CDCl_3) δ ; 1.69-2.30 (2H, m), 2.59-5.10 [10H, m, 2.92 (6H, s)], 6.56 (1H, dd, $J=3.1$, 8.8 Hz), 6.72-7.90 (9H, m), 8.42 (1H, brs)
- 264) ^1H -NMR (CDCl_3) δ ; 1.49 (1H, brs), 1.82-2.01 (1H, m), 2.03-2.26 (1H, m), 2.46 (3H, s), 2.54 (3H, s), 3.67-3.76 (1H, m), 3.86 (2H, t, $J=6.8$ Hz), 6.67 (1H, d, $J=8.6$ Hz), 6.93 (1H, dd, $J=8.6$, 2.5 Hz), 7.13-7.43 (9H, m), 8.15 (1H, brs)
- 265) ^1H -NMR (CDCl_3) δ ; 1.58 (1H, brs), 1.86-2.03 (1H, m), 2.08-2.30 (1H, m), 2.56 (3H, s), 3.69-3.78 (1H, m), 3.91 (2H, t, $J=6.5$ Hz), 6.69 (1H, d, $J=8.7$ Hz), 6.94 (1H, dd, $J=8.6$, 2.5 Hz), 7.33-7.47 (6H, m), 7.54-7.63 (2H, m), 7.67-7.77 (1H, m), 8.16 (1H, brs)
- 266) ^1H -NMR (CDCl_3) δ ; 1.50 (1H, brs), 1.76-2.23 (2H, m), 2.42 (3H, s), 2.47 (3H, s), 3.55-3.94 (3H, m), 6.28-7.78 (10H, m), 8.91 (1H, brs)
- 267) ^1H -NMR (CDCl_3) δ ; 1.46 (1H, brs), 1.82-2.28 (2H, m), 2.50 (3H, s), 3.52-4.08 (3H, m), 6.34-7.75 (10H, m), 8.61 (1H, brs)
- 268) ^1H -NMR (CDCl_3) δ ; 1.80-2.31 (2H, m), 2.32 (3H, s), 2.48 (3H, s), 3.51-3.82 (2H, m), 3.95-4.15 (1H, m), 6.59 (1H, d, $J=8.6$ Hz), 6.90 (1H, dd, $J=8.6$, 2.5 Hz), 7.16-7.61 (9H, m), 7.88 (1H, brs)
- 269) ^1H -NMR (CDCl_3) δ ; 1.86-2.04 (1H, m), 2.13-2.31 (1H, m), 2.33 (3H, s), 3.53-3.62 (1H, m), 3.76 (1H,

- dt, $J=12.8$, 6.4 Hz), 6.60 (1H, d, $J=8.7$ Hz), 6.91 (1H, dd, $J=8.7$, 2.5 Hz), $7.33-7.52$ (6H, m), $7.54-7.66$ (2H, m), $7.73-7.82$ (1H, m), 8.07 (1H, brs)
- 270) $^1\text{H-NMR}$ (CDCl_3) δ ; $1.65-2.27$ (2H, m), 2.28 (6H, s), 2.48 (3H, s), $3.37-4.07$ (3H, m), $6.33-7.91$ (10H, m), 8.20 (1H, brs)
- 271) $^1\text{H-NMR}$ (CDCl_3) δ ; $1.71-2.26$ (2H, m), 2.28 (6H, s), $3.36-4.10$ (3H, m), $6.35-7.95$ (10H, m), 8.59 (1H, brs)
- 272) $^1\text{H-NMR}$ (CDCl_3) δ ; $2.02-2.23$ (2H, m), 2.28 (3H, s), 2.47 (3H, s), 2.56 (3H, s), $3.73-4.07$ (3H, m), 4.68 (1H, brs), 6.61 (1H, d, $J=8.1$ Hz), $6.72-6.83$ (1H, m), $7.17-7.63$ (11H, m), 8.03 (1H, brs)
- 273) $^1\text{H-NMR}$ (CDCl_3) δ ; 1.61 (1H, brs), $1.87-2.25$ (2H, m), 2.29 (3H, s), 2.56 (3H, s), $3.67-3.78$ (1H, m), 3.91 (2H, t, $J=6.9$ Hz), $6.52-6.79$ (2H, m), $7.09-7.15$ (1H, m), $7.30-7.90$ (8H, m), 8.23 (1H, brs)
- 274) $^1\text{H-NMR}$ (CDCl_3) δ ; 1.58 (1H, brs), $1.82-2.23$ (2H, m), 2.27 (3H, s), 2.48 (3H, s), 2.50 (3H, s), $3.47-4.05$ (3H, m), $6.23-6.83$ (2H, m), $7.00-7.50$ (7H, m), $7.53-7.74$ (1H, m), 8.28 (1H, brs)
- 275) $^1\text{H-NMR}$ (CDCl_3) δ ; 1.60 (1H, brs), $1.82-2.35$ (5H, m), 2.49 (3H, s), $3.41-4.08$ (3H, m), $6.30-6.80$ (1H, m), $6.98-7.68$ (8H, m), $7.31-7.82$ (1H, m), 8.77 (1H, brs)
- 276) $^1\text{H-NMR}$ (CDCl_3) δ ; $1.76-2.03$ (2H, m), 2.27 (3H, s),

- 2.32 (6H, s), 2.47 (3H, s), 3.48-3.58 (1H, m), 3.66 (1H, dt, J=12.7, 6.1 Hz), 3.97-4.14 (1H, m), 6.48 (1H, d, J=8.2 Hz), 6.65-6.77 (1H, m), 7.14-7.59 (9H, m), 7.96 (1H, brs)
- 277) $^1\text{H-NMR}$ (CDCl_3) δ ; 1.75-2.04 (2H, m), 2.27 (3H, s), 2.33 (6H, s), 3.48-3.58 (1H, m), 3.67 (1H, dt, J=12.7, 6.1 Hz), 3.98-4.16 (1H, m), 6.48 (1H, d, J=8.2 Hz), 6.72 (1H, dd, J=8.2, 1.9 Hz), 7.16 (1H, d, J=1.9 Hz), 7.27-7.91 (8H, m), 8.31 (1H, brs)
- 278) $^1\text{H-NMR}$ (CDCl_3) δ ; 1.72-2.05 (2H, m), 2.28 (9H, s), 2.47 (3H, s), 3.16-4.34 (3H, m), 6.38-7.79 (10H, m), 8.37 (1H, brs)
- 279) $^1\text{H-NMR}$ (CDCl_3) δ ; 1.65-2.07 (2H, m), 2.28 (9H, s), 3.26-4.38 (3H, m), 6.34-8.06 (10H, m), 8.53 (1H, brs)
- 280) Two stereoisomers: Both colorless amorphous
- Isomer A:
- $[\alpha]_D^{22} = 0^\circ$ (chloroform, c=1.0)
- $^1\text{H-NMR}$ (CDCl_3) δ ; 1.04 (3H, d, J=6.9 Hz), 1.59 (1H, brs), 2.25-2.45 (1H, m), 2.49 (3H, s), 2.52 (3H, s), 3.53-3.69 (2H, m), 3.91 (1H, abq, J=7.2, 12.9 Hz), 6.60 (1H, d, J=8.6 Hz), 6.93 (1H, dd, J=8.6, 2.5 Hz), 7.18-7.60 (9H, m), 7.76 (1H, brs)
- Isomer B:
- $[\alpha]_D^{22} = 0^\circ$ (chloroform, c=1.0)

$^1\text{H-NMR}$ (CDCl_3) δ ; 1.06 (3H, d, $J=6.9$ Hz), 1.60 (1H, brs), 2.21-2.43 (1H, m), 2.47 (3H, s), 2.52 (3H, s), 3.51-3.66 (2H, m), 3.93 (1H, abq, $J=7.5$, 12.9 Hz), 6.60-6.68 (1H, m), 6.95 (1H, dt, $J=7.5$, 1.8 Hz), 7.03 (1H, dt, $J=7.4$, 1.4 Hz), 7.17-7.55 (8H, m), 7.81 (1H, brs)

281) Two stereoisomers: Both colorless amorphous

Isomer A:

$[\alpha]_D^{22} = 0^\circ$ (chloroform, $c=1.0$)

$^1\text{H-NMR}$ (CDCl_3) δ ; 1.04 (3H, d, $J=6.9$ Hz), 1.55 (1H, brs), 2.23-2.46 (1H, m), 2.53 (3H, s), 3.53-3.67 (2H, m), 3.91 (1H, abq, $J=7.1$, 12.9 Hz), 6.61 (1H, d, $J=8.6$ Hz), 6.93 (1H, dd, $J=8.6$, 2.5 Hz), 7.28-7.52 (6H, m), 7.54-7.65 (2H, m), 7.70-7.79 (1H, m), 8.16 (1H, brs)

Isomer B:

$[\alpha]_D^{22} = 0^\circ$ (chloroform, $c=1.0$)

$^1\text{H-NMR}$ (CDCl_3) δ ; 1.06 (3H, d, $J=6.9$ Hz), 1.61 (1H, brs), 2.21-2.42 (1H, m), 2.51 (3H, s), 3.48-3.67 (2H, m), 3.90 (1H, abq, $J=7.4$, 12.9 Hz), 6.59-6.67 (1H, m), 6.94 (1H, dt, $J=7.5$, 1.9 Hz), 7.03 (1H, dt, $J=7.4$, 1.4 Hz), 7.23-7.75 (8H, m), 8.41 (1H, brs)

282) Two stereoisomers: Both colorless amorphous

Isomer A:

$$[\alpha]_D^{22} = 0^\circ \text{ (chloroform, c=1.0)}$$

$^1\text{H-NMR}$ (CDCl_3) δ ; 0.99 (3H, d, $J=6.5$ Hz), 1.37 (1H, brs), 2.16-2.40 (1H, m), 2.46 (3H, s), 2.48 (3H, s), 3.38-3.96 (3H, m), 6.30-7.28 (10H, m), 8.26 (1H, brs)

Isomer B:

$$[\alpha]_D^{22} = 0^\circ \text{ (chloroform, c=1.0)}$$

$^1\text{H-NMR}$ (CDCl_3) δ ; 1.03 (3H, d, $J=6.7$ Hz), 1.44 (1H, brs), 2.17-2.40 (1H, m), 2.45 (3H, s), 2.47 (3H, s), 3.40-3.98 (3H, m), 6.47-7.73 (10H, m), 8.23 (1H, brs)

283) Two stereoisomers: Both colorless amorphous

Isomer A:

$$[\alpha]_D^{22} = 0^\circ \text{ (chloroform, c=1.0)}$$

$^1\text{H-NMR}$ (CDCl_3) δ ; 1.00 (3H, d, $J=6.6$ Hz), 1.40 (1H, brs), 2.18-2.42 (1H, m), 2.47 (3H, s), 3.36-4.02 (3H, m), 6.32-7.78 (10H, m), 8.55 (1H, brs)

Isomer B:

$$[\alpha]_D^{22} = 0^\circ \text{ (chloroform, c=1.0)}$$

$^1\text{H-NMR}$ (CDCl_3) δ ; 1.03 (3H, d, $J=6.5$ Hz), 1.39 (1H, brs), 2.14-2.39 (1H, m), 2.45 (3H, s), 3.34-3.98 (3H, m), 6.53-7.98 (10H, m), 8.78 (1H, brs)

284) $^1\text{H-NMR}$ (CDCl_3) δ ; 1.05-1.25 (3H, m), 1.25-2.80 (10H, m), 3.00-5.10 (3H, m), 6.75-8.40 (11H, m)

- 285) $^1\text{H-NMR}$ (CDCl_3) δ ; 1.00-2.80 (12H, m), 3.00-5.10 (3H, m), 6.70-7.80 (10H, m), 8.30-8.80 (1H, m)
- 286) $^1\text{H-NMR}$ (CDCl_3) δ ; 0.95-2.80 (15H, m), 2.80-5.15 (3H, m), 6.70-7.05 (2H, m), 7.10-7.80 (10H, m), 7.95-8.45 (1H, m)
- 287) $^1\text{H-NMR}$ (CDCl_3) δ ; 0.80-2.60 (16H, m), 2.60-5.05 (4H, m), 6.70-7.70 (10H, m), 7.85-8.40 (1H, m)
- 288) $^1\text{H-NMR}$ (CDCl_3) δ ; 1.30-2.60 (8H, m), 2.60-5.10 (3H, m), 6.60-7.95 (10H, m), 8.25-8.70 (1H, m)
- 289) $^1\text{H-NMR}$ (CDCl_3) δ ; 1.27-4.91 (19H, m), 6.68-7.73 (10H, m), 8.40-8.71 (1H, m)
- 290) $^1\text{H-NMR}$ (CDCl_3) δ ; 1.81-2.54 (6H, m), 2.15 (3H, s), 2.41 (3H, s), 2.46 (3H, s), 3.61-3.71 (3H, m), 6.91-7.43 (10H, m), 8.60 (1H, s)
- 291) $^1\text{H-NMR}$ (CDCl_3) δ ; 1.86-2.50 (3H, m), 2.28 (9H, s), 2.49 (3H, s), 6.60-7.47 (10H, m), 7.75 (1H, m)
- 292) $^1\text{H-NMR}$ (CDCl_3) δ ; 1.15-2.55 (13H, m), 2.55-5.10 (3H, m), 6.60-8.40 (11H, m)
- 293) $^1\text{H-NMR}$ (CDCl_3) δ ; 1.15-2.45 (10H, m), 2.55-5.10 (3H, m), 6.60-7.80 (10H, m), 8.30-8.70 (1H, m)
- 294) $^1\text{H-NMR}$ (CDCl_3) δ ; 1.10-2.60 (4H, m), 2.41 (6H, s), 2.49 (3H, s), 3.76 (3H, s), 2.60-5.20 (3H, m), 6.50-6.80 (3H, m), 6.90-7.60 (6H, m), 8.13 (1H, s), 8.30 (1H, d, $J=8.5$ Hz)
- 295) $^1\text{H-NMR}$ (CDCl_3) δ ; 1.15-2.50 (4H, m), 2.41 (6H, s), 2.60-5.20 (3H, m), 3.77 (3H, s), 6.50-7.50 (8H, m),

- 7.65-7.80 (1H, m), 8.31 (1H, d, J=8.4 Hz), 8.61 (1H, s)
- 296) $^1\text{H-NMR}$ (CDCl_3) δ ; 1.55-3.13 (12H, m), 2.44 (3H, s), 4.60-5.14 (2H, m), 6.28 (1H, dd, J=2.5, 8.5 Hz), 6.48 (1H, d, J=8.5 Hz), 6.99 (1H, d, J=2.5 Hz), 7.07-7.58 (8H, m), 7.80 (1H, brs)
- 297) $^1\text{H-NMR}$ (CDCl_3) δ ; 1.01-2.88, 3.22-4.41, 4.90-5.28 [total 18H, 1.17 (3H, t, J=7.2 Hz), 2.40 (3H, s), 3.77 (3H, s)], 6.55 (1H, d, J=8.1 Hz), 6.60-7.98 (8H, m), 8.23-8.75 (2H, m)
- 298) $^1\text{H-NMR}$ (CDCl_3) δ ; 1.00-3.04, 3.24-4.45, 4.91-5.27 [total 21H, m, 1.17 (3H, t, J=7.0 Hz), 2.39 (3H, s), 2.50 (3H, s), 3.75 (3H, s)], 8.56 (1H, d, J=8.3 Hz), 6.69 (1H, d, J=8.3 Hz), 6.82-7.75 (7H, m), 8.05-8.49 (2H, m)
- 299) $^1\text{H-NMR}$ (CDCl_3) δ ; 1.21-4.62, 4.90-5.43 (total 15H, m), 5.70-6.11 (1H, m), 6.35-7.90 (9H, m), 8.07-8.92 (2H, m)
- 300) $^1\text{H-NMR}$ (CDCl_3) δ ; 1.20-4.68, 5.01-5.3 [total 18H, m, 2.50 (3H, s)], 5.72-6.14 (1H, m), 6.49-7.69 (9H, m), 8.01-8.58 (2H, m)
- 301) $^1\text{H-NMR}$ (CDCl_3) δ ; 1.25-2.80 (14H, m), 3.00-5.10 (6H, m), 6.40-8.00 (11H, m)
- 302) $^1\text{H-NMR}$ (CDCl_3) δ ; 1.30-2.90 (11H, m), 3.00-5.10 (6H, m), 6.40-7.80 (10H, m), 8.00-8.35 (1H, m)
- 303) $^1\text{H-NMR}$ (CDCl_3) δ ; 1.10-2.80 (16H, m), 2.85-5.15

- (6H, m), 6.40-7.80 (11H, m)
- 304) $^1\text{H-NMR}$ (CDCl_3) δ ; 1.10-2.80 (13H, m), 2.90-5.10
(6H, m), 6.40-7.85 (10H, m), 7.90-8.20 (1H, m)
- 305) $^1\text{H-NMR}$ (CDCl_3) δ ; 1.27-5.28 (19H, m), 3.75 (3H, s), 6.51 (1H, d, $J=7.9$ Hz), 6.69-6.81 (2H, m), 7.05-7.49 (6H, m), 8.14 (1H, m), 8.27 (1H, d, $J=8.4$ Hz)
- 306) Two stereoisomers: Both colorless amorphous
Isomer A:
 $[\alpha]_D^{22} = 0^\circ$ (chloroform, $c=1.0$)
 $^1\text{H-NMR}$ (CDCl_3) δ ; 0.78-1.02 (3H, m), 2.23-2.52 (1H, m), 2.39 (6H, s), 2.48 (3H, s), 3.17-4.30 (3H, m), 6.85-7.84 (10H, m), 8.17 (1H, brs)
Isomer B:
 $[\alpha]_D^{22} = 0^\circ$ (chloroform, $c=1.0$)
 $^1\text{H-NMR}$ (CDCl_3) δ ; 0.73-1.00 (3H, m), 2.17-2.52 (1H, m), 2.39 (6H, s), 2.49 (3H, s), 3.15-4.33 (3H, m), 6.36-7.55 (8H, m), 7.58-7.83 (2H, m), 8.19 (1H, brs)
- 307) $^1\text{H-NMR}$ (CDCl_3) δ ; 1.25-4.44, 4.98-5.41 [total 17H, m, 2.40 (3H, s), 3.76 (3H, s)], 5.72-6.13 (1H, m), 6.56 (1H, d, $J=8.4$ Hz), 6.69 (1H, d, $J=7.9$ Hz), 6.77-7.93 (7H, m), 8.32 (1H, d, $J=8.3$ Hz), 8.49-8.95 (1H, m)
- 308) $^1\text{H-NMR}$ (CDCl_3) δ ; 1.23-5.42 (20H, m), 5.78-6.09

- (1H, m), 6.56 (1H, d, J=8.3 Hz), 6.61-7.82 (8H, m),
8.14 (1H, s), 8.30 (1H, d, J=8 Hz)
- 309) $^1\text{H-NMR}$ (CDCl_3) δ ; 1.20-2.70 (11H, m), 2.80-4.90
(9H, m), 6.40-7.70 (10H, m), 8.30-8.70 (1H, m)
- 310) $^1\text{H-NMR}$ (CDCl_3) δ ; 1.20-2.80 (8H, m), 2.85-5.05
(9H, m), 6.40-7.80 (10H, m), 8.10-8.50 (1H, m)
- 311) $^1\text{H-NMR}$ (CDCl_3) δ ; 1.20-2.75 (13H, m), 2.80-5.10
(9H, m), 6.40-8.00 (11H, m)
- 312) $^1\text{H-NMR}$ (CDCl_3) δ ; 1.20-2.80 (10H, m), 2.90-5.10
(9H, m), 6.40-7.80 (10H, m), 8.00-8.40 (1H, m)

Example 1189

By using di-p-toluoyl-L-tartaric acid monohydrate
or di-p-toluoyl-D-tartaric acid monohydrate, the compound
obtained in above Example 408 is optically resolved to give
the following compounds.

(+)-5-Dimethylamino-1-[4-(2-methylbenzoylamino)-
benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine hydrochloride

White amorphous

$$[\alpha]_D^{25} = +234^\circ \text{ (methanol, } c=0.2 \text{)}$$

Purity; more than 99 % ee, determined by HPLC using
an optical active column

HPLC conditions;

Mobile phase; n-hexane : ethanol : diethylamine

= 950 : 50 : 1

Flow rate; 1.0 ml/min.

Column; CHIRALCEL OD, 25 cm x 0.46 cm

(manufactured by Daicel Chemical Ind. Ltd.)

Concentration of sample; 0.1 % in methanol

Retention time; 34 minutes

$^1\text{H-NMR}$ (DMSO-d_6) δ ; 0.85-1.20, 1.56-4.06, 4.94-5.21 (total 13H, m), 2.36 (3H, s), 6.79 (1H, d, $J=7.6$ Hz), 7.12-7.60 (8H, m), 7.62 (2H, d, $J=8.4$ Hz), 8.00 (1H, d, $J=7.6$ Hz), 10.43 (1H, s), 11.80 (1H, brs)

(-)-5-Dimethylamino-1-[4-(2-methylbenzoylamino)-benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine hydrochloride
White amorphous

$[\alpha]_D^{25} = -23.1^\circ$ (methanol, $c=0.2$)

Purity; more than 99 % ee, determined by HPLC using an optical active column, and the conditions are the same as above except that the retention time is 40 minutes.

$^1\text{H-NMR}$ (DMSO-d_6) δ ; 0.83-1.19, 1.55-4.06, 4.94-5.20 (total 13H, m), 2.36 (3H, s), 6.80 (1H, d, $J=7.8$ Hz), 7.12-7.60 (8H, m), 7.63 (2H, d, $J=8.5$ Hz), 8.00 (1H, d, $J=7.8$ Hz), 10.44 (1H, s), 11.74 (1H, brs)

Pharmacological TestExperiment 1 : V_1 receptor binding assay

Using rat liver plasma membrane preparations prepared according to Ichihara's method [cf: Akira Ichihara, J. Bio. Chem., 258, 9283 (1983)], the plasma membrane (50000dpm, 2×10^{-10} M) of [^3H]-Arg-vasopressin and a test compound (60 μg , 10^{-8} - 10^{-4} M) are incubated at 37°C for 10 minutes in 100 mM Tris-HCl buffer (pH: 8.0, 250 μl) containing 5 mM MgCl_2 , 1 mM EDTA and 0.1 % BSA. After incubation, the mixture is filtered three times using the glass filter (GF/F) so as to separate the membrane preparation combined with vasopressin and then washed with the buffer (5 ml). This glass filter is taken out and mixed with liquid scintillation cocktail. The amount of [^3H]-vasopressin combined with the membrane is measured by liquid scintillation counter and the rate of the inhibitory effect of the test compound is estimated according to the following equation.

$$\text{Rate of the inhibitory effect (\%)} = 100 - \frac{C_1 - B_1}{C_0 - B_1} \times 100$$

C^1 : The amount of [^3H]-vasopressin combined with the membrane in the presence of the test compound (in prescribed amount).

C^0 : The amount of [^3H]-vasopressin combined with the membrane in the absence of the test compound.

B¹: The amount of [³H]-vasopressin combined with the membrane in the presence of the excess amount of vasopressin (10^{-6} M).

The results are expressed as IC₅₀ values, which is the concentration of the test compound required to achieve the inhibitory effect in the rate of 50 %.

The results are shown in the following Table 5.

Test compound

1. 1-(4-Benzoylamino benzoyl)-1,2,3,4-tetrahydroquinoline
2. 1-[4-(3-Chlorobenzoylamino)benzoyl]-1,2,3,4-tetrahydroquinoline
3. 1-[4-(3-Methoxybenzoylamino)benzoyl]-1,2,3,4-tetrahydroquinoline
4. 1-[4-(3-Cyanobenzoylamino)benzoyl]-1,2,3,4-tetrahydroquinoline
5. 1-[4-(3-Aminobenzoylamino)benzoyl]-1,2,3,4-tetrahydroquinoline
6. 1-[4-(2,3-Dimethylbenzoylamino)benzoyl]-1,2,3,4-tetrahydroquinoline
7. 1-[4-(2-Methylbenzoylamino)benzoyl]-1,2,3,4-tetrahydroquinoline
8. 1-[4-(2-Trifluoromethylbenzoylamino)benzoyl]-1,2,3,4-tetrahydroquinoline
9. 1-[4-(2-Nitrobenzoylamino)benzoyl]-1,2,3,4-tetrahydroquinoline

10. 1-[4-(3,5-Dichlorobenzoylamino)benzoyl]-
1,2,3,4-tetrahydroquinoline
11. 1-[4-(3,3-Dimethylbutyrylamino)benzoyl]-
1,2,3,4-tetrahydroquinoline
12. 1-[4-(2-Cyclohexylacetyl amino)benzoyl]-1,2,3,4-
tetrahydroquinoline
13. 1-[4-(2-Phenylacetyl amino)benzoyl]-1,2,3,4-
tetrahydroquinoline
14. 1-(4-Cyclohexylcarbonylamino benzoyl)-1,2,3,4-
tetrahydroquinoline
15. 1-(4-Cycloheptylcarbonylamino benzoyl)-1,2,3,4-
tetrahydroquinoline
16. 1-(4-Cyclooctylcarbonylamino benzoyl)-1,2,3,4-
tetrahydroquinoline
17. 1-(4-Tricyclo[3.3.1.1]decanylcarbonylamino-
benzoyl)-1,2,3,4-tetrahydroquinoline
18. 1-[4-(α -Naphthylcarbonylamino)benzoyl]-1,2,3,4-
tetrahydroquinoline
19. 1-[4-(3-Thenoyl)benzoyl]-1,2,3,4-tetrahydro-
quinoline
20. 1-[2-(β -Naphthylcarbonylamino)benzoyl]-1,2,3,4-
tetrahydroquinoline
21. 1-[4-(4-Methoxyanilinocarbonyl)benzoyl]-
1,2,3,4-tetrahydroquinoline
22. 1-[4-(2-Methylanilinocarbonyl)benzoyl]-1,2,3,4-
tetrahydroquinoline

23. 1-[4-(3-Chloroanilinocarbonyl)benzoyl]-1,2,3,4-tetrahydroquinoline
24. 1-[4-(3,5-Dichloroanilinocarbonyl)benzoyl]-1,2,3,4-tetrahydroquinoline
25. 1-(4-Cyclohexylaminocarbonylbenzoyl)-1,2,3,4-tetrahydroquinoline
26. 1-(4-Cyclohexylcarbonylaminobenzoyl)-2,3,4,5-tetrahydro-1H-benzazepine
27. 1-(4-Benzoylaminobenzoyl)-2,3,4,5-tetrahydro-1H-benzazepine
28. 1-[4-(2-Methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
29. 1-[4-(3-Methoxybenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
30. 1-[4-(3-Chlorobenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
31. 1-[4-(3-Cyanobenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
32. 1-[4-(3,5-Dichlorobenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
33. 1-[4-(2,3-Dimethylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
34. 1-(4-Cyclohexylcarbonylaminobenzoyl)-1,2,3,4,5,6-hexahydrobenzazocine
35. 1-(4-Benzoylaminobenzoyl)-1,2,3,4,5,6-hexahydrobenzazocine

36. 1-[4-(2-Methylbenzoylamino)benzoyl]-
1,2,3,4,5,6-hexahydrobenzazocine
37. 1-[4-(3-Methoxybenzoylamino)benzoyl]-
1,2,3,4,5,6-hexahydrobenzazocine
38. 1-[4-(2,3-Dimethylbenzoylamino)benzoyl]-
1,2,3,4,5,6-hexahydrobenzazocine
39. 1-[4-(3,5-Dichlorobenzoylamino)benzoyl]-
1,2,3,4,5,6-hexahydrobenzazocine
40. 1-(4-Cyclohexylcarbonylamino)benzoyl)-1,2,3,5-
tetrahydro-4,1-benzoxazepine
41. 1-[4-(3-Methylbenzoylamino)benzoyl]-1,2,3,5-
tetrahydro-4,1-benzoxazepine
42. 1-[4-(2,3-Dimethylbenzoylamino)benzoyl]-
1,2,3,5-tetrahydro-4,1-benzoxazepine
43. 1-[4-(3,5-Dichlorobenzoylamino)benzoyl]-
1,2,3,5-tetrahydro-4,1-benzoxazepine
44. 3-Methyl-1-(4-cyclohexylcarbonylamino)benzoyl)-
1,2,3,4-tetrahydroquinoline
45. 3-Methyl-1-(4-benzoylamino)benzoyl)-1,2,3,4-
tetrahydroquinoline
46. 3-Methyl-1-[4-(2-methylbenzoylamino)benzoyl]-
1,2,3,4-tetrahydroquinoline
47. 3-Methyl-1-[4-(3-methoxybenzoylamino)benzoyl]-
1,2,3,4-tetrahydroquinoline
48. 3-Methyl-1-[4-(2,3-dimethylbenzoylamino)-
benzoyl]-1,2,3,4-tetrahydroquinoline

49. 3-Methyl-1-[4-(3,5-dichlorobenzoylamino)-benzoyl]-1,2,3,4-tetrahydroquinoline
50. 4-Methyl-1-(4-cyclohexylcarbonylaminobenzoyl)-1,2,3,4-tetrahydroquinoxaline
51. 4-Methyl-1-[4-(2-methylbenzoylamino)benzoyl]-1,2,3,4-tetrahydroquinoxaline
52. 4-Methyl-1-[4-(2,3-dimethylbenzoylamino)-benzoyl]-1,2,3,4-tetrahydroquinoxaline
53. 4-Methyl-1-[4-(3,5-dichlorobenzoylamino)-benzoyl]-1,2,3,4-tetrahydroquinoxaline
54. 2-Methyl-1-[4-(3,5-dichlorobenzoylamino)-benzoyl]-1,2,3,4-tetrahydroquinoline
55. 4-Methyl-1-[4-(3,5-dichlorobenzoylamino)-benzoyl]-1,2,3,4-tetrahydroquinoline
56. 1-[4-(2-Bromobenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
57. 1-[4-(3-Nitrobenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
58. 1-[4-(3-Trifluoromethylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
59. 1-[4-(3-Ethoxybenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
60. 1-[4-(3,5-Dimethoxybenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
61. 1-[4-(2-Chloro-4-nitrobenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

62. 1-[4-(2,4-Dichlorobenzoylamino)benzoyl]-
2,3,4,5-tetrahydro-1H-benzazepine
63. 1-[4-(2-Chloro-6-fluorobenzoylamino)benzoyl]-
2,3,4,5-tetrahydro-1H-benzazepine
64. 1-[4-(2,6-Dimethylbenzoylamino)benzoyl]-
2,3,4,5-tetrahydro-1H-benzazepine
65. 1-[4-(2-Chloro-4-aminobenzoylamino)benzoyl]-
2,3,4,5-tetrahydro-1H-benzazepine
66. 1-[4-(2-Chloro-4-acetylaminobenzoylamino)-
benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
67. 1-[4-(3-Aminobenzoylamino)benzoyl]-2,3,4,5-
tetrahydro-1H-benzazepine
68. 1-{4-[2-(4-Isopropylaminobutoxy)benzoylamino]-
benzoyl}-2,3,4,5-tetrahydro-1H-benzazepine hydrochloride
69. 1-[4-(3-Hydroxybenzoylamino)benzoyl]-2,3,4,5-
tetrahydro-1H-benzazepine
70. 1-{4-[2-(4-Aminobutoxy)benzoylamino]benzoyl}-
2,3,4,5-tetrahydro-1H-benzazepine
71. 1-{4-[2-(2-Diethylaminoethoxy)benzoylamino]-
benzoyl}-2,3,4,5-tetrahydro-1H-benzazepine hydrochloride
72. 1-{4-[2-(4-Acetylaminobutoxy)benzoylamino]-
benzoyl}-2,3,4,5-tetrahydro-1H-benzazepine
73. 1-{4-[2-(6-Phthalimidohexyloxy)benzoylamino]-
benzoyl}-2,3,4,5-tetrahydro-1H-benzazepine
74. 1-{4-[2-(6-Morpholinohexyloxy)benzoylamino]-
benzoyl}-2,3,4,5-tetrahydro-1H-benzazepine

75. 1-{4-[2-(6-[4-Methyl-1-piperazinyl]hexyloxy)-benzoylamino]benzoyl}-2,3,4,5-tetrahydro-1H-benzazepine-dihydrochloride

76. 1-(3-Methoxy-4-cyclohexylcarbonylaminobenzoyl)-2,3,4,5-tetrahydro-1H-benzazepine

77. 1-(3-methoxy-4-benzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

78. 1-[3-Methyl-4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

79. 4-Methyl-1-(4-cyclohexylcarbonylaminobenzoyl)-2,3,4,5-tetrahydro-1H-1,4-benzodiazepine hydrochloride

80. 4-Methyl-1-[4-(3,5-dichlorobenzoylamino)-benzoyl]-2,3,4,5-tetrahydro-1H-1,4-benzodiazepine hydrochloride

81. 4-Methyl-1-[4-(2,3-dimethylbenzoylamino)-benzoyl]-2,3,4,5-tetrahydro-1H-1,4-benzodiazepine hydrochloride

82. 4-Methyl-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-1,4-benzodiazepine hydrochloride

83. 4-Methyl-1-[4-(3-methoxybenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-1,4-benzodiazepine

84. 4-Methyl-1-[4-(3-chlorobenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-1,4-benzodiazepine

85. 4-Methyl-1-[4-(2,3,5-trichlorobenzoylamino)-benzoyl]-2,3,4,5-tetrahydro-1H-1,4-benzodiazepine

86. 4-Propyl-1-[4-(2,3-dimethylbenzoylamino)-

benzoyl]-2,3,4,5-tetrahydro-1H-1,4-benzodiazepine
hydrochloride

87. 5-Methyl-1-(4-benzoylamino benzoyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine

88. 5-Methyl-1-(4-cyclohexylcarbonylamino benzoyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine

89. 5-Methyl-1-[4-(3,5-dichlorobenzoylamino)-benzoyl]-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine

90. 5-Methyl-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine

91. 5-Methyl-1-[4-(2,3-dimethylbenzoylamino)-benzoyl]-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine

92. 4-Methyl-1-[3-methoxy-4-(3,5-dichlorobenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-1,4-benzodiazepine

93. 3-(1-Pyrrolidinyl)-1-[4-(2,3-dimethylbenzoylamino)benzoyl]-1,2,3,4-tetrahydroquinoline

94. 6-Methyl-1-[4-(3,5-dichlorobenzoylamino)-benzoyl]-1,2,3,4-tetrahydroquinoline

95. 6-Methoxy-1-[4-(3,5-dichlorobenzoylamino)-benzoyl]-1,2,3,4-tetrahydroquinoline

96. 3-Hydroxymethyl-1-[4-(3,5-dichlorobenzoylamino)benzoyl]-1,2,3,4-tetrahydroquinoline

97. 4-Methylamino-1-[4-(3,5-dichlorobenzoylamino)-benzoyl]-1,2,3,4-tetrahydroquinoline

98. 3-Amino-1-[4-(3,5-dichlorobenzoylamino)-benzoyl]-1,2,3,4-tetrahydroquinoline

99. 3-Acetylamino-1-[4-(3,5-dichlorobenzoylamino)-benzoyl]-1,2,3,4-tetrahydroquinoline
100. 4-Dimethylamino-1-[4-(3,5-dichlorobenzoylamino)benzoyl]-1,2,3,4-tetrahydroquinoline
101. 1-[4-(2-t-Butylaminoacetylamino)benzoyl]-2,3,4,5-tetrahydroquinoline-1H-benzazepine
102. 1-[4-[2-(N-Cyclohexyl-N-ethyl)acetylamino]benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
103. 1-[4-[2-(1-Piperidiny)acetylamino]benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
104. 1-[4-(2-Phenoxyacetylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
105. 1-[4-(2-Phthalimidoacetylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
106. 1-[4-[2-(1,1-Dimethyl-2-phenoxyethyl)aminoacetylamino]benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
107. 1-[4-[2-(3-Methylphenoxy)acetylamino]benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
108. 1-[4-[2-(3-Methoxyanilino)acetylamino]benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
109. 1-[4-[2-(8-Naphthyloxy)acetylamino]benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
110. 1-[4-[2-(4-Methylanilino)acetylamino]benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
111. 1-[4-[2-(3-Methoxyphenoxy)acetylamino]benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

112. 1-[4-(4-Pyridylcarbonylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

113. 1-{4-[2-(2,4-Dimethylanilino)acetyl]amino}benzoyl}-2,3,4,5-tetrahydro-1H-benzazepine

114. 1-{4-[2-(N-Ethylanilino)acetyl]amino}benzoyl}-2,3,4,5-tetrahydro-1H-benzazepine

115. 1-{4-[2-(N-Allylanilino)acetyl]amino}benzoyl}-2,3,4,5-tetrahydro-1H-benzazepine

116. 1-{4-[2-(2-Chloroanilino)acetyl]amino}benzoyl}-2,3,4,5-tetrahydro-1H-benzazepine

117. 1-{4-[2-(4-Acetyloxybutoxy)benzoyl]amino}benzoyl}-2,3,4,5-tetrahydro-1H-benzazepine

118. 1-[4-(2-Carboxymethoxybenzoyl)amino]benzoyl}-2,3,4,5-tetrahydro-1H-benzazepine

119. 1-[4-(2-Carbamoylmethoxybenzoyl)amino]benzoyl}-2,3,4,5-tetrahydro-1H-benzazepine

120. 1-{4-[2-(4-Hydroxybutoxy)benzoyl]amino}benzoyl}-2,3,4,5-tetrahydro-1H-benzazepine

121. 1-[4-(2-Ethoxycarbonylmethoxybenzoyl)amino]benzoyl}-2,3,4,5-tetrahydro-1H-benzazepine

122. 6-Fluoro-1-[4-(3,5-dichlorobenzoyl)amino]benzoyl]-1,2,3,4-tetrahydroquinoline

123. 6-Fluoro-1-{4-[di-(3,5-dichlorobenzoyl)amino]benzoyl}-1,2,3,4-tetrahydroquinoline

124. 1-[4-(2-Diethylaminocarbonylmethoxybenzoyl)amino]benzoyl}-2,3,4,5-tetrahydro-1H-benzazepine

125. 1-{4-[2-(2-[(N-(2-hydroxyethyl)-N-methyl-amino]ethoxy)benzoylamino]benzoyl]-2,3,4,5-tetrahydro-1H-benzoazepine hydrochloride
126. 1-[4-(2-Methylanilinocarbonylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
127. 1-[4-(2-Chlorophenylsulfonylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
128. 1-{4-[2-(4-Aminomethylanilino)acetylamino]-benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
129. 1-{4-[2-(N-Phenyl-N-(3-acetylaminopropyl)-amino)acetylamino]benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
130. 1-{4-[2-(N-Phenyl-N-propargylamino)acetyl-amino]benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
131. 4-(N-Methyl-N-ethylamino)-1-[4-(3,5-dichloro-benzoylamino)benzoyl]-1,2,3,4-tetrahydroquinoline
132. 5-Dimethylamino-1-[4-(2,4-dichlorobenzoyl-amino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
133. 4-Dimethylamino-1-[3-methoxy-4-(2-methyl-benzoylamino)benzoyl]-1,2,3,4-tetrahydroquinoline
134. 5-Dimethylamino-1-[3-methoxy-4-(2-chloro-benzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
135. 1-[4-(2,3-Dimethylbenzoylamino)benzoyl]-4-ethyl-2,3,4,5-tetrahydro-1H-1,4-benzodiazepine
136. 1-[4-(3,5-Dichlorobenzoylamino)benzoyl]-4-isopropyl-2,3,4,5-tetrahydro-1H-1,4-benzodiazepine
137. 1-[4-(2-Methylbenzoylamino)benzoyl]-5-methyl-

1,2,3,4,5,6-hexahydro-1,5-benzodiazocine

138. 1-[4-(2-Methylbenzoylamino)benzoyl]-1,2,3,4-tetrahydro-5,1-benzoxazepine

139. 5-Oxo-1-[4-(3,5-dichlorobenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

140. 4-Methyl-1-[2-chloro-4-(3,5-dichlorobenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-1,4-benzodiazepine

141. 5-Methylamino-1-[4-(3,5-dichlorobenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

142. 5-(N-Acetyl-N-methylamino)-1-[4-(3,5-dichlorobenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

143. 5-Hydroxy-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

144. 4-Dimethylamino-1-[3-methoxy-4-(2,3-dimethylbenzoylamino)benzoyl]-1,2,3,4-tetrahydroquinoline

145. 4-Dimethylaminomethyl-1-[4-(2-methylbenzoylamino)benzoyl]-1,2,3,4-tetrahydroquinoline

146. 4-Dimethylaminomethyl-1-[4-(3,5-dichlorobenzoylamino)benzoyl]-1,2,3,4-tetrahydroquinoline

147. 5-Methoxy-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

148. 4-Methyl-1-[3-methyl-4-(2,4-dichlorobenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-1,4-benzodiazepine

149. 5-Methoxy-1-[4-(2,4-dichlorobenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

150. 4-Dimethylamino-1-[4-(2-chlorobenzoylamino)-

benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

151. 4-Acetyloxy-1-[4-(2-methylbenzoylamino)-
benzoyl]-1,2,3,4-tetrahydroquinoline

152. 5-Hydroxyimino-1-[4-(3,5-dichlorobenzoyl-
amino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

153. 5-Acetyloxy-1-[4-(2-chlorobenzoylamino)-
benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

154. 5-Ethoxycarbonylmethoxy-1-[4-(2,4-dichloro-
benzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

155. 4-Allylamino-1-[4-(3,5-dichlorobenzoylamino)-
benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

156. 5-Dimethylamino-1-[3-methoxy-4-(2,3,5-
trichlorobenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-
benzazepine

157. 4-[4-(2-Methylbenzoylamino)benzoyl]-3,4-
dihydro-2H-1,4-benzothiazine

158. 5-Dimethylamino-1-[2-chloro-4-(2-methyl-
benzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

159. 5-Dimethylamino-1-[4-(2-methylanilino-
carbonyl)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

160. 5-Ethoxycarbonylmethoxy-1-[4-(2-methyl-
benzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

161. 5-(4-dimethylaminobutoxy)-1-[4-(2-methyl-
benzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

162. 5-Carboxymethoxy-1-[4-(2-chlorobenzoyl-
amino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

163. 5-Dimethylaminocarbonylmethoxy-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
164. 5-Carbamoylmethoxy-1-[4-(2-chlorobenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
165. 5-Dimethylamino-1-[3-ethoxy-4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
166. 5-[4-(2-Methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1,5-benzothiazepine
167. 5-Amino-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
168. 5-Dimethylamino-1-[3-hydroxy-4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
169. 5-n-Propylamino-1-[4-(2,4-dichlorobenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
170. 5-Dimethylamino-1-[3-benzyloxy-4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
171. 5-[4-(2-Methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1,5-benzothiazepin-1-oxide
172. 5-[3-(Phthalimid-1-yl)-propoxy]-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
173. 5-(3-Aminopropoxy)-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
174. 5-(3-Acetylaminopropoxy)-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

175. 5-Dimethylamino-1-[2-chloro-4-(2-t-butylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
176. 5-Methylamino-1-[2-chloro-4-(2-chlorobenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
177. 5-Dimethylamino-1-[2-methoxy-4-(2-chlorobenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
178. 5-Hydroxy-1-[4-(3,5-dichlorobenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
179. 5-Dimethylamino-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
180. 5-Dimethylamino-1-[4-(2-chlorobenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
181. 5-Methylamino-1-[4-(2-chlorobenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
182. 5-Methylamino-1-[2-chloro-4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
183. 5-Dimethylamino-1-[2-methoxy-4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
184. 5-Dimethylamino-1-[2-chloro-4-(2-chlorobenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
185. 5-Methylamino-1-[2-chloro-4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
186. 5-Cyclopropylamino-1-[2-chloro-4-(2,4-dichlorobenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
187. 5-Dimethylaminocarbonyloxy-1-[4-(2-methyl-

benzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

188. 5-Dimethylamino-1-[4-(2-trifluoromethyl-benzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

189. 5-Dimethylamino-1-[3-(2-chlorobenzoyloxy)-4-(2-chlorobenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

190. 5-(N-Methyl-N-Allylamino)-1-[2-chloro-4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

191. 5-Carbamoyloxy-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

192. 1-[4-(2-Methylbenzoylamino)benzoyl]-1,2,3,5-tetrahydro-4,1-benzothiazepine

193. 4-Oxo-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

194. 1-[4-(2-Methylbenzoylamino)benzoyl]-1,2,3,5-tetrahydro-4,1-benzothiazepine-1,1-dioxide

195. 5-Methylaminocarbonylmethoxy-1-[4-(2-chlorobenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

196. 5-Methylaminocarbonyloxy-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

197. 5-Dimethylamino-1-[2-dimethylamino-4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

198. 5-Methylamino-1-[2-chloro-4-(2-trifluoromethylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-

benzazepine

199. 5-Cyclopropylamino-1-[2-chloro-4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

200. 5-Cyclopropylamino-1-[2-chloro-4-(2-chlorobenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

201. 5-Allylamino-1-[2-chloro-4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

202. 5-(1-Piperidinyl)-1-[4-(2-chlorobenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

203. 5-(4-Benzyl-1-piperazinyl)-1-[4-(2-chlorobenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

204. 5-(1-Pyrrolidinyl)-1-[4-(2-chlorobenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

205. 5-(4-Acetyl-1-piperazinyl)-1-[4-(2-chlorobenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

206. 5-(4-Methyl-1-piperazinyl)-1-[4-(2-chlorobenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

207. 1-[4-(2-Chlorobenzoylamino)benzoyl]-2,3-dihydro-1H-benzazepine

208. 5-Methyl-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

209. 5-Methylidene-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

210. 5-Hydroxy-1-[2-chloro-4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

211. 5-(1-Morpholino)-1-[4-(2-chlorobenzoylamino)-benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

212. 5-Dimethylamino-1-[4-(2-fluorobenzoylamino)-benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

213. 5-Dimethylamino-1-[4-(2,4-difluorobenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

214. 4-Hydroxy-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

215. 5-Hydroxymethyl-1-[4-(2-methylbenzoylamino)-benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

216. 5-Dimethylamino-4-hydroxy-1-[4-(2-chlorobenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

217. 1-[4-(2-Methylbenzoylamino)benzoyl]-1,2-dihydroquinoline

218. 5-Dimethylamino-1-[2-methyl-4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine (the compound of Example 979)

219. 5-Dimethylamino-1-[2-methyl-4-(2-chlorobenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

220. 5-Dimethylamino-1-[2-methyl-4-(2,4-dichlorobenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

221. 5-Methylamino-1-[2-chloro-4-[2-(N-ethyl-anilino)acetylamino]benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

222. 5-Hydroxy-1-[2-chloro-4-[2-(N-ethylanilino)-acetylamino]benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

223. 5-Dimethylamino-1-[2-fluoro-4-(2-chloro-

benzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

224. 5-Methylamino-4-hydroxy-1-[2-chloro-4-(2-chlorobenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine · hydrochloride

225. 5-Hydroxymethyl-5-hydroxy-1-[2-chloro-4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

226. 5-Dimethylamino-1-[2-fluoro-4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

227. 5-Dimethylamino-1-[3-methyl-4-(2,4-dichlorobenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

228. 5-(N-Methyl-N-ethylamino)-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

229. 5-Ethylamino-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

230. 5-Dimethylamino-1-[4-(3,5-difluorobenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

231. 5-Acetyloxymethyl-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

232. 5-Dimethylamino-1-[3-fluoro-4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

233. 4,4-Dimethoxy-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

234. 5-Acetyloxyimino-1-[4-(2-chlorobenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

235. 5-Methylsulfonyloxymethyl-1-[4-(2-methyl-

benzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

236. 5,5-Epoxy-1-[4-(2-methylbenzoylamino)benzoyl]-
2,3,4,5-tetrahydro-1H-benzazepine

237. 5-Hydroxymethyl-5-hydroxy-1-[4-(2-methyl-
benzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

238. 5-Hydroxy-1-[2-methoxy-4-(2-methylbenzoyl-
amino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

239. 5-Dimethylamino-1-[4-(2-carbamoylmethoxy-
benzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

240. 5-Hydroxy-6-methyl-1-[2-chloro-4-(2-methyl-
benzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

241. 5-(2-Dimethylaminoethyl)amino-1-[2-chloro-4-
(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-
benzazepine

242. 5-Hydroxymethyl-5-methylamino-1-[4-(2-methyl-
benzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

243. 5-Methylaminomethyl-5-hydroxy-1-[4-(2-methyl-
benzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

244. 5-Aminomethyl-1-[4-(2-methylbenzoylamino)-
benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

245. 5-[N-Methyl-N-(3-methoxy-2-hydroxypropyl)-
amino]-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetra-
hydro-1H-benzazepine

246. 5-[N-Methyl-N-(3-diethylamino-2-hydroxy-
propyl)amino]-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-
tetrahydro-1H-benzazepine

247. 5-Dimethylamino-1-[3-methoxy-4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
248. 5-Dimethylamino-1-[3-methoxy-4-(2,4-dichlorobenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
249. 5-Dimethylamino-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine · hydrochloride
250. 5-Azidomethyl-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
251. 7-[4-(2-Chlorobenzoylamino)benzoyl]-1-methyl-1,2,3,4a,5,6,7,11b-octahydro-3-oxo[1]benzazepino[4,5-b]-[1,4]oxazine
252. 5-Benzylamino-1-[4-(2-chlorobenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
253. 5-Amino-1-[4-(2-chlorobenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
254. 5-Dimethylamino-4-methyl-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
255. 5-Acetylaminomethyl-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
256. 5-Hydroxy-4-methyl-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
257. 5-[2-(2-Pyridyl)ethylamino]-1-[4-(2-chlorobenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
258. 5-(N-Methyl-N-methanesulfonylamino)-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-

benzazepine

259. 5-(N-Methyl-N-benzoylamino)-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

260. 5-Ethoxycarbonylamino-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

261. 5-Methyl-5-hydroxy-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

262. 5-(N-Methyl-N-ethoxycarbonylmethylamino)-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

263. 5-Cyclopentylamino-1-[2-chloro-4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

264. 5-[N-Methyl-N-(2,3-dihydroxypropyl)amino]-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

265. 5-(N-Methyl-N-cyanomethylamino)-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

266. 5-(N-Methyl-N-carbamoylmethylamino)-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

267. 5-{N-Methyl-N-[3-(3,4,5,6-tetrahydro-2H-pyran-2-yloxy)propyl]amino}-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

268. 5-Dimethylaminomethyl-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

269. 5-Formylaminomethyl-1-[4-(2-methylbenzoyl-amino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

270. 5-[N-Methyl-N-(3-acetyloxypropyl)amino]-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

271. 5-[N-Methyl-N-(3-hydroxypropyl)amino]-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

272. Potassium {1-[2-chloro-4-(2-methylbenzoyl-amino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepin-5-yl}imino-o-sulfonate

273. 5-Dimethylamino-1-(4-benzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

274. 5-(1-Benzyl-4-piperidinyl)amino-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

275. 5-(2-Dimethylaminoacetyloxy)-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

276. 5-Dimethylamino-1-[4-(3-methoxybenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

277. 5-[(4-Methyl-1-piperazinyl)carbonylmethoxy]-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

278. 5-Morpholinocarbonylmethoxy-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

279. 5-Thiomorpholinocarbonylmethoxy-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-

benzazepine

280. 5-Anilinocarbonylamino-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

281. 5-(1-Oxothiomorpholino)carbonylmethoxy-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

282. 5-Hydrazino-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

283. 5-Methylaminocarbonylamino-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

284. 5-[(2- α -Carbamoyl-1-pyrrolidinyl)carbonylmethoxy]-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

285. 5-(Carbamoylmethylaminocarbonylmethoxy)-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

286. 5-(1,1-Dioxothiomorpholino)carbonylmethoxy-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

287. 7-Chloro-5-methylamino-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

288. 5-[(4-Acetyl-1-piperazinyl)carbonylmethoxy]-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

289. 5-Dimethylamino-1-[4-(3-nitrobenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

290. 5-[(4-Pyridyl)methylaminocarbonylmethoxy]-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

291. 5-[2-(Methylamino)acetyl amino]-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

292. 5-Dimethylamino-1-[4-(3-aminobenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

293. 5-{[N-Methyl-N-(2-hydroxyethyl)amino]carbonylmethoxy}-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

294. 5-Dimethylamino-1-[3-(2-diethylaminoethoxy)-4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

295. 5-[N-Methyl-N-(dimethylaminocarbonylmethyl)amino]-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

296. Potassium 2-[N-methyl-N-{1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepin-5-yl}amine]acetate

297. 5-{N-Methyl-N-[2-(1-imidazolyl)acetyl]amino}-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

298. 5-Dimethylamino-1-[4-(2-dimethylaminobenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

299. 5-[(2-Aminoacetyl)amino]-1-[4-(2-methyl-

benzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

300. 5-Dimethylamino-1-[4-(3-acetylaminobenzoyl-amino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

301. 5-(2-t-Butoxycarbonylaminoacetyl-amino)-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

302. 5-Methylamino-7-chloro-1-[4-(2-chlorobenzoyl-amino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

303. 5-Dimethylamino-7-chloro-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

304. 5-Dimethylamino-7-chloro-1-[4-(2-chlorobenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

305. 5-Dimethylamino-1-[4-(phenylacetyl-amino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

306. 5-Dimethylamino-1-[4-(3-phenylpropionyl-amino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

307. 5-Methylamino-7-chloro-1-[4-[(N-ethylanilino)acetyl-amino]benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

308. 5-Dimethylamino-7-chloro-1-[4-[(N-ethylanilino)acetyl-amino]benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

309. 5-Dimethylamino-1-[4-(2-bromobenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

310. 5-Cyclopropylamino-7-chloro-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

311. 5-Cyclopropylamino-7-chloro-1-[4-(2-chloro-

benzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

312. 5-hydroxy-1-[4-[2-(4-isopropylaminobutoxy)-benzoylamino]benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

313. 5-Dimethylaminocarbonylmethoxy-1-[4-[(N-ethyl-anilino)acetylamino]benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

314. 5-(N-Methyl-N-ethylamino)-1-[2-chloro-4-(2-chlorobenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

315. 5-Dimethylamino-1-[4-[(2-chloroanilino)acetylamino]benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

316. 5-Dimethylamino-1-[4-[(2-methylanilino)acetylamino]benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

317. 5-Dimethylamino-1-[4-[(N-methyl-2-methylanilino)acetylamino]benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

318. 5-Methylamino-9-chloro-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

319. 5-Dimethylamino-1-[4-(phenoxyacetylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

320. 6-Methylamino-1-[4-(2-methylbenzoylamino)benzoyl]-1,2,3,4,5,6-hexahydrobenzazocine

321. 5-Methylamino-7-chloro-1-[3-methoxy-4-(2-chlorobenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

322. 5-Cyclopropylamino-7-chloro-1-[3-methoxy-4-(2-

chlorobenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-
benzazepine

323. 5-Methylamino-7-chloro-1-[3-methoxy-4-(2-
methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-
benzazepine

Table 10

Test Comp. No.	IC ₅₀ (μ M)	Test Comp. No.	IC ₅₀ (μ M)
26	0.071	78	0.10
27	0.095	88	0.34
28	0.056	90	0.38
29	0.15	114	0.011
30	0.15	115	0.012
32	0.30	116	0.04
33	0.092	117	0.22
36	0.41	119	0.049
46	0.40	120	0.29
56	0.025	121	0.45
57	0.46	124	0.15
58	0.40	125	0.091
59	0.31	130	0.023
60	0.18	143	0.15
62	0.098	147	0.28
63	0.14	161	0.14
64	0.069	163	0.22
67	0.34	164	0.15
68	0.013	172	0.26
69	0.066	173	0.15
70	0.041	174	0.14
71	0.18	187	0.45
72	0.12	188	0.47
74	0.10	192	0.054
75	0.069	193	0.17
76	0.042	195	0.17
77	0.085	196	0.40

Test Comp. No.	IC ₅₀ (μ M)	Test Comp. No.	IC ₅₀ (μ M)
207	0.16	284	0.29
208	0.11	285	0.18
209	0.074	286	0.40
214	0.27	287	0.064
215	0.13	288	0.26
222	0.096	290	0.21
231	0.16	293	0.19
235	0.088	298	0.29
236	0.16	302	0.071
238	0.39	303	0.19
244	0.23	304	0.21
250	0.19	307	0.024
252	0.36	308	0.11
255	0.046	309	0.43
256	0.049	310	0.065
266	0.29	311	0.078
269	0.48	312	0.056
274	0.11	313	0.032
275	0.18	315	0.38
277	0.23	316	0.47
278	0.30	321	0.059
279	0.15	322	0.044
280	0.47	323	0.064
281	0.18		

Pharmacological TestExperiment 2 : V₂ receptor binding assay

Using rat kidney plasma membrane preparations prepared according to O. Hechter's method [cf: J. Bio. Chem., 253, 3211 (1978)], the plasma membrane (100000dpm, 4×10^{-10} M) of [³H]-Arg-vasopressin and a test compound (0.6 mg, 10^{-10} - 10^{-5} M) are incubated at 4°C for 3 hours in 100 mM Tris-HCl buffer (pH: 8.0, 250 μ l) containing 5 mM MgCl₂, 1 mM EDTA and 0.1 % BSA. After incubation, the mixture is filtered using the glass filter (GF/F) so as to separate the membrane preparation combined with vasopressin and then washed twice with the buffer (5 ml). This glass filter is taken out and mixed with liquid scintillation cocktail. The amount of [³H]-vasopressin combined with the membrane is measured by liquid scintillation counter and the rate of the inhibitory effect of the test compound is estimated according to the following equation.

$$\text{Rate of the inhibitory effect (\%)} = 100 - \frac{C_1 - B_1}{C_0 - B_1} \times 100$$

C¹: The amount of [³H]-vasopressin combined with the membrane in the presence of the test compound (in prescribed amount).

C⁰: The amount of [³H]-vasopressin combined with the membrane in the absence of the test compound.

B¹: The amount of [³H]-vasopressin combined

with the membrane in the presence of the
excess amount of vasopressin (10^{-6} M).

The results are expressed as IC_{50} values, which is
the concentration of the test compound required to achieve
the inhibitory effect in the rate of 50 %.

The results are shown in the following Table 6.

Table 11

Test Comp. No.	IC ₅₀ (μ M)	Test Comp. No.	IC ₅₀ (μ M)
1	0.98	28	0.018
2	0.20	29	0.069
3	0.40	30	0.029
4	0.58	31	0.098
5	1.2	32	0.016
6	0.076	33	0.007
7	0.20	34	0.049
8	0.32	35	0.20
9	0.53	36	0.028
10	0.082	37	0.16
11	1.05	38	0.029
12	1.97	39	0.071
13	1.02	40	0.33
14	0.23	41	0.20
15	0.13	42	0.063
16	0.17	43	0.17
17	0.23	44	0.050
18	1.0	45	0.19
19	1.7	46	0.018
20	1.4	47	0.20
21	1	48	0.021
22	0.33	49	0.063
23	1.07	50	1.3
24	1.09	51	0.40
25	1.67	52	0.32
26	0.025	53	1.6
27	0.070	54	0.11

Test Comp. No.	IC ₅₀ (μ M)	Test Comp. No.	IC ₅₀ (μ M)
55	0.091	86	0.58
56	0.037	87	0.046
57	0.16	88	0.021
58	0.14	89	0.035
59	0.24	90	0.014
60	0.15	91	0.005
61	0.090	92	0.41
62	0.023	93	0.52
63	0.046	94	0.095
64	0.007	95	0.089
65	0.081	96	0.039
66	0.45	97	0.024
67	0.050	98	0.45
68	0.19	99	1.6
69	0.12	100	0.011
70	0.012	101	0.60
71	0.085	102	0.29
72	0.16	103	0.54
74	0.51	104	0.37
75	0.30	105	0.72
76	0.017	106	0.44
77	0.090	107	0.032
78	0.084	108	0.12
79	0.53	109	0.49
80	0.070	110	0.044
81	0.15	111	0.087
82	0.17	112	0.29
83	0.73	113	0.28
84	0.11	114	0.006
85	0.068	115	0.006

Test Comp. No.	IC ₅₀ (μ M)	Test Comp. No.	IC ₅₀ (μ M)
116	0.039	146	0.056
117	0.24	147	0.009
118	0.55	148	0.34
119	0.059	149	0.004
120	0.28	150	0.14
121	0.18	151	0.18
122	0.10	152	0.039
123	0.10	153	0.063
124	0.13	154	0.063
125	0.28	155	0.028
126	0.062	156	0.15
127	0.99	157	0.38
128	0.23	158	0.018
129	0.29	159	0.020
130	0.007	160	0.020
131	0.027	161	0.009
132	0.013	162	0.059
133	0.022	163	0.009
134	0.048	164	0.010
135	0.081	165	0.098
136	0.18	166	0.070
137	0.41	167	0.032
138	0.11	168	0.083
139	0.10	169	0.071
140	0.024	170	0.25
141	0.010	171	0.87
142	0.008	172	0.023
143	0.008	173	0.008
144	0.02	174	0.007
145	0.06	175	0.038

Test Comp. No.	IC ₅₀ (μ M)	Test Comp. No.	IC ₅₀ (μ M)
176	0.004	206	0.088
177	0.15	207	0.045
178	0.012	208	0.007
179	0.040	209	0.004
180	0.034	210	0.004
181	0.038	211	0.12
182	0.005	212	0.035
183	0.26	213	0.033
184	0.023	214	0.058
185	0.005	215	0.006
186	0.030	216	0.91
187	0.029	217	0.37
188	0.039	218	0.022
189	0.087	219	0.023
190	0.082	220	0.026
191	0.009	221	0.024
192	0.011	222	0.010
193	0.036	223	0.022
194	0.21	224	0.38
195	0.010	225	0.030
196	0.013	226	0.019
197	0.99	227	0.029
198	0.040	228	0.029
199	0.019	229	0.029
200	0.024	230	0.020
201	0.023	231	0.007
202	0.14	232	0.020
203	0.070	233	0.15
204	0.11	234	0.14
205	0.074	235	0.006

Test Comp. No.	IC ₅₀ (μ M)	Test Comp. No.	IC ₅₀ (μ M)
236	0.006	267	0.12
237	0.041	268	0.018
238	0.020	269	0.003
239	0.17	270	0.046
240	0.022	271	0.030
241	0.006	272	0.40
242	0.17	273	0.027
243	0.40	274	0.024
244	0.018	275	0.018
245	0.059	276	0.032
246	0.027	277	0.016
247	0.048	278	0.013
248	0.060	279	0.008
250	0.12	280	0.045
251	0.094	281	0.011
252	0.063	282	0.38
253	0.052	283	0.096
254	0.016	284	0.019
255	0.005	285	0.008
256	0.004	286	0.019
257	0.045	287	0.007
258	0.20	288	0.015
259	0.25	289	0.071
260	0.13	290	0.021
261	0.011	291	0.13
262	0.029	292	0.18
263	0.053	293	0.065
264	0.030	294	0.33
265	0.025	295	0.026
266	0.013	296	0.25

Test Comp. No.	IC ₅₀ (μ M)	Test Comp. No.	IC ₅₀ (μ M)
297	0.051	311	0.013
298	0.10	312	0.29
299	0.22	313	0.012
300	0.48	314	0.096
301	0.14	315	0.025
302	0.011	316	0.060
303	0.025	317	0.072
304	0.024	318	0.060
305	0.038	319	0.058
306	0.077	320	0.039
307	0.010	321	0.012
308	0.023	322	0.025
309	0.015	323	0.014
310	0.008		

Experiment 3: Anti-antidiuretic activity (effect on endogenous ADH)

A test compound or solvent (dimethylformamide) is administered into a caudal vein of untreated, unrestrained SD rats (male, weight: 300 - 350 g) and the amount of urine, which is spontaneously excreted for a period of 2 hours thereafter, is collected and measured by using a metabolic gauge. During this measurement, the rats are allowed to take water and feed freely.

The amount of urine of control rats (solvent-treated group) is regarded as 100 %, and the results are expressed as ED₃ value, which is the dose of the test compound to be required to excrete the urine by three times than that of the control rats. The results are shown in the following Table 7.

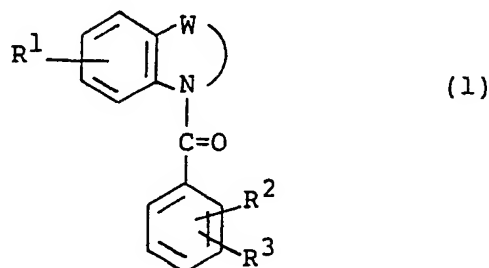
Table 12

Test compound No.	ED ₃ (mg/kg)
6	10
33	1.9
178	4.2
249	0.4 *)

*) : Physiological saline solution was used as a solvent instead of dimethylformamide.

What is claimed is:

1. A benzoheterocyclic compound of the following formula:



wherein R^1 is hydrogen atom, a halogen atom, a lower alkyl, an amino having optionally a lower alkyl substituent, or a lower alkoxy,

R^2 is hydrogen atom, a halogen atom, a lower alkoxy, a phenyl(lower)alkoxy, hydroxy, a lower alkyl, an amino having optionally a lower alkyl substituent, a carbamoyl-substituted lower alkoxy, an amino-substituted lower alkoxy having optionally a lower alkyl substituent, or a benzoyloxy which has optionally a halogen substituent on the phenyl ring,

R^3 is a group of the formula: $-N \begin{smallmatrix} R^4 \\ R^5 \end{smallmatrix}$ or a group of

the formula: $-C \begin{smallmatrix} O \\ || \\ -N \begin{smallmatrix} R^{11} \\ R^{12} \end{smallmatrix} \end{smallmatrix}$,

R^4 is hydrogen atom, a benzoyl which has optionally a halogen substituent on the phenyl ring, or a lower alkyl,

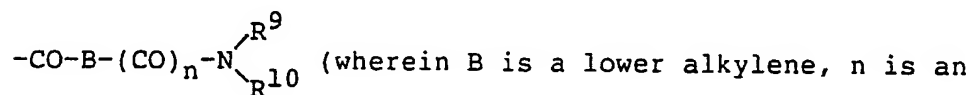
R^5 is a group of the formula: $-CO-C_6H_4-(R^{16})_m$
[wherein R^{16} is a halogen atom; a lower alkyl which has

optionally a substituent selected from a halogen atom and hydroxy; hydroxy; a lower alkoxy; a lower alkanoyloxy; a lower alkylthio; a lower alkanoyl; carboxy; a lower alkoxy-carbonyl; cyano; nitro; an amino which has optionally a substituent selected from a lower alkyl and a lower alkanoyl; phenyl; a cycloalkyl; a lower alkanoyloxy-substituted lower alkoxy; a carboxy-substituted lower alkoxy; a halogen-substituted lower alkoxy; a carbamoyl-substituted lower alkoxy; a hydroxy-substituted lower alkoxy; a lower alkoxy-carbonyl-substituted lower alkoxy; a phthalimido-substituted lower alkoxy; an aminocarbonyl-lower alkoxy having a lower alkyl substituent; or a group of the

formula: $\text{-O-A-N} \begin{matrix} \text{R}^6 \\ \text{R}^7 \end{matrix}$ (A is a lower alkylene, and R^6 and R^7 are

the same or different and are each hydrogen atom, a lower alkyl having optionally a hydroxy substituent, a lower alkanoyl, or benzoyl, or R^6 and R^7 may bind together with nitrogen atom to which they bond to form a 5- or 6-membered saturated heterocyclic group with or without being intervened with nitrogen or oxygen atom wherein the heterocyclic group has optionally a substituent selected from piperidinyl and a lower alkyl); and m is an integer of 0 to 3], a phenyl-lower alkoxy-carbonyl, a lower alkanoyl, a phenyl-lower alkanoyl, a cycloalkyl-lower alkanoyl, a cycloalkyl-carbonyl, tricyclo[3.3.1.1]decanyl-carbonyl, naphthyl-carbonyl, pyridyl-carbonyl, furoyl, thenoyl, a phenoxy-lower alkanoyl which phenyl ring has optionally 1 to 3 substi-

tuents selected from a lower alkyl, a lower alkoxy and an amino having optionally a lower alkanoyl substituent, a phthalimido-substituted lower alkanoyl, a lower alkoxy-carbonyl-lower alkanoyl, a carboxy-lower alkanoyl, a naphthyloxy-lower alkanoyl, a halogen-substituted lower alkanoyl, a group of the formula: $-\text{CO}-\text{C}_6\text{H}_4\text{N}-\text{R}^8$ (wherein R^8 is hydrogen atom, a lower alkyl, a phenyl-lower alkoxy-carbonyl, a carbamoyl-lower alkyl, an amino-lower alkanoyl having optionally a lower alkyl substituent, or a lower alkanoyl), an anilino-carbonyl which has optionally a lower alkyl substituent on the phenyl ring, phenoxy-carbonyl, a phenylsulfonyl which has optionally a substituent selected from a halogen atom and a lower alkyl on the phenyl ring, quinolylsulfonyl, or a group of the formula:



integer of 0 or 1, and R^9 and R^{10} are the same or different and are each hydrogen atom, a lower alkyl having optionally a hydroxy substituent, a cycloalkyl, a phenyl-lower alkyl, a lower alkanoyl, a lower alkenyl, a phenoxy-lower alkyl, a phenyl which has optionally 1 to 3 substituents selected from an amino-lower alkyl having optionally a lower alkanoyl substituent, a lower alkyl, a lower alkoxy and a halogen atom, a phthalimido-substituted lower alkyl, an amino-lower alkyl having optionally a lower alkanoyl substituent, a lower alkynyl, or an amino-lower alkyl having optionally a lower alkyl substituent, or R^9 and R^{10} may bind together

with nitrogen atom to which they bond to form a 5- or 6-membered saturated heterocyclic group with or without being intervened with nitrogen or oxygen atom wherein the heterocyclic group has optionally a substituent selected from a lower alkyl, a lower alkoxy-carbonyl and piperidinyl),

R^{11} is hydrogen atom or a lower alkyl,

R^{12} is a cycloalkyl, or a phenyl which has optionally 1 to 3 substituents selected from a lower alkoxy, a lower alkyl and a halogen atom,

W is a group of the formula: $-(CH_2)_p-$ (p is an integer of 3 to 5), or a group of the formula: $-CH=CH-(CH_2)_q-$ (q is an integer of 1 to 3), the carbon atom of these groups:

$-(CH_2)_p-$ and $-CH=CH-(CH_2)_q-$ being optionally replaced by oxygen atom, sulfur atom, sulfinyl, sulfonyl, or a group of

the formula: $\begin{array}{c} R^{13} \\ | \\ -N- \end{array}$ (R^{13} is hydrogen atom, a cycloalkyl, or a lower alkyl), and further said $-(CH_2)_p-$ and $-CH=CH-(CH_2)_q-$ groups having optionally 1 to 3 substituents selected from a lower alkyl having optionally a hydroxy substituent, a lower alkoxy-carbonyl, carboxy, hydroxy, oxo, a lower alkanoyloxy having optionally a halogen substituent, an amino-lower alkyl having optionally a substituent selected from a lower alkyl and a lower alkanoyl, a lower alkanoyloxy-substituted lower alkyl, a lower alkyl sulfonyloxy-lower alkyl, an azido-lower alkyl, a group of the formula: $\begin{array}{c} \diagup \\ \diagdown \end{array} O$, an aminocarbonyloxy having optionally a lower alkyl substituent, a lower alkoxy, a lower alkoxy-carbonyl-

substituted lower alkoxy, a carboxy-substituted lower alkoxy, an aminocarbonyl-lower alkoxy having optionally a lower alkyl substituent, an amino-lower alkoxy having optionally a substituent selected from a lower alkyl and a lower alkanoyl, a phthalimido-substituted lower alkoxy, hydroxyimino, a lower alkanoyloxy-imino, a lower alkylidene, a halogen atom, azido, sulfoxyimino, a group of the formula:

$$\begin{array}{c} R^{81}-N-CH_2COO- \\ | \end{array}$$

(R^{81} is hydrogen atom or a lower alkyl),

hydrazino, pyrrolyl, an amino-lower alkanoyloxy having optionally a lower alkyl substituent, a group of the formula:

$$-O-A-CO-N \begin{array}{l} \nearrow R^{82} \\ \searrow R^{83} \end{array}$$

(A is as defined above, and R^{82} and R^{83} are the same or different and are each hydrogen atom, a lower alkyl, a carbamoyl-substituted lower alkyl, a hydroxy-substituted lower alkyl, or a pyridyl-lower alkyl, or R^{82} and R^{83} may bind together with nitrogen atom to which they bond to form a 5- or 6-membered saturated heterocyclic group with or without being intervened with nitrogen, oxygen or sulfur atom wherein the heterocyclic group has optionally a substituent selected from oxo, a lower alkyl, a lower alkanoyl, and carbamoyl), and a group of the formula:

$$-(CO)_n-N \begin{array}{l} \nearrow R^{14} \\ \searrow R^{15} \end{array}$$

(wherein n is as defined above, and R^{14} and R^{15}

are the same or different and are each hydrogen atom, a lower alkyl, a lower alkenyl, a lower alkanoyl, a cycloalkyl, an oxiranyl-substituted lower alkyl, a lower

alkyl having 1 to 2 substituents selected from a lower alkoxy, hydroxy and an amino having optionally a lower alkyl substituent, a phenyl-lower alkyl, a pyridyl-lower alkyl, a lower alkylsulfonyl, benzoyl, a lower alkoxy-carbonyl, anilinocarbonyl, an aminocarbonyl having optionally a lower alkyl substituent, a cyano-substituted lower alkyl, a lower alkoxy-carbonyl-substituted lower alkyl, a carbamoyl-substituted lower alkyl, a carboxy-substituted lower alkyl, a tetrahydropyranyloxy-substituted lower alkyl, a lower alkanoyloxy-substituted lower alkyl, a piperidinyl having optionally a phenyl-lower alkyl substituent on the piperidinyl ring, a halogen-substituted lower alkanoyl, an imidazolyl-substituted lower alkanoyl, an amino-lower alkanoyl having optionally a substituent selected from a lower alkyl and a lower alkoxy-carbonyl, an aminocarbonyl-lower alkyl having optionally a lower alkyl substituent, or a phenyl-lower alkoxy-carbonyl, or R^{14} and R^{15} may bind together with nitrogen atom to which they bond to form a 5- or 6-membered saturated heterocyclic group with or without being intervened with nitrogen or oxygen, wherein the heterocyclic group may optionally have a substituent selected from a lower alkyl, a phenyl-lower alkyl or a lower alkanoyl), and a salt thereof.

2. The compound according to claim 1, wherein R^1 in the formula (1) is hydrogen atom, or a salt thereof.

3. The compound according to claim 1, wherein R^1

in the formula (1) is a halogen atom, and a salt thereof.

4. The compound according to claim 1, wherein R^1 in the formula (1) is a lower alkyl, an amino having optionally a lower alkyl substituent, or a lower alkoxy, and a salt thereof.

5. The compound according to claim 2, wherein R^2 is hydrogen atom, and a salt thereof.

6. The compound according to claim 2, wherein R^2 is a halogen atom, a lower alkoxy, or a lower alkyl, and a salt thereof.

7. The compound according to claim 2, wherein R^2 is a phenyl-lower alkoxy; hydroxy; an amino having optionally a lower alkyl substituent; a carbamoyl-substituted lower alkoxy; an amino-substituted lower alkoxy having optionally a lower alkyl substituent; or a benzoyloxy having optionally a halogen substituent on the phenyl ring thereof, and a salt thereof.

8. The compound according to claim 3, wherein R^2 is hydrogen atom, and a salt thereof.

9. The compound according to claim 3, wherein R^2 is a halogen atom, a lower alkoxy, or a lower alkyl, and a salt thereof.

10. The compound according to claim 3, wherein R^2 is a phenyl-lower alkoxy; hydroxy; an amino having optionally a lower alkyl substituent; a carbamoyl-substituted lower alkoxy; an amino-substituted lower alkoxy having optionally a lower alkyl substituent; or a benzoyloxy

having optionally a halogen substituent on the phenyl ring thereof, and a salt thereof.

11. The compound according to claim 4, wherein R^2 is hydrogen atom, and a salt thereof.

12. The compound according to claim 4, wherein R^2 is a halogen atom, a lower alkoxy, or a lower alkyl, and a salt thereof.

13. The compound according to claim 4, wherein R^2 is a phenyl-lower alkoxy; hydroxy; an amino having optionally a lower alkyl substituent; a carbamoyl-substituted lower alkoxy; an amino-substituted lower alkoxy having optionally a lower alkyl substituent; or a benzyloxy having optionally a halogen substituent on the phenyl ring thereof, and a salt thereof.

14. The compound according to claim 5, wherein R^3 is a group of the formula: $-NR^4R^5$ (R^4 and R^5 are as defined in claim 1), and a salt thereof.

15. The compound according to claim 5, wherein R^3 is a group of the formula: $-CO-NR^{11}R^{12}$ (R^{11} and R^{12} are as defined in claim 1), and a salt thereof.

16. The compound according to claim 6, wherein R^3 is a group of the formula: $-NR^4R^5$ (R^4 and R^5 are as defined in claim 1), and a salt thereof.

17. The compound according to claim 6, wherein R^3 is a group of the formula: $-CO-NR^{11}R^{12}$ (R^{11} and R^{12} are as defined in claim 1), and a salt thereof.

18. The compound according to claim 8, wherein R^3

is a group of the formula: $-NR^4R^5$ (R^4 and R^5 are as defined in claim 1), and a salt thereof.

19. The compound according to claim 8, wherein R^3 is a group of the formula: $-CO-NR^{11}R^{12}$ (R^{11} and R^{12} are as defined in claim 1), and a salt thereof.

20. The compound according to claim 9, wherein R^3 is a group of the formula: $-NR^4R^5$ (R^4 and R^5 are as defined in claim 1), and a salt thereof.

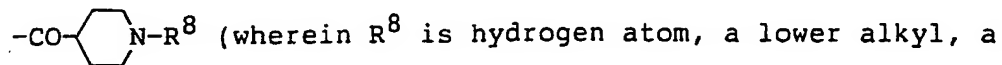
21. The compound according to claim 9, wherein R^3 is a group of the formula: $-CO-NR^{11}R^{12}$ (R^{11} and R^{12} are as defined in claim 1), and a salt thereof.

22. The compound according to claim 14, wherein R^4 is hydrogen atom, and R^5 is a group of the formula:

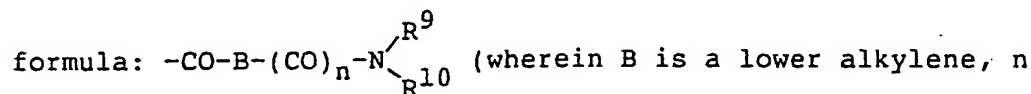
$-CO-\text{C}_6\text{H}_4(R^{16})_m$ (wherein R^{16} and m are as defined in claim 1), and a salt thereof.

23. The compound according to claim 14, wherein R^4 is hydrogen atom and R^5 is a phenyl-lower alkoxy-carbonyl, a lower alkanoyl, a phenyl-lower alkanoyl, a cycloalkyl-lower alkanoyl, a cycloalkyl-carbonyl, tricyclo[3.3.1.1]decanyl-carbonyl, naphthyl-carbonyl, pyridyl-carbonyl, furoyl, thenoyl, a phenoxy-lower alkanoyl which phenyl ring has optionally 1 to 3 substituents selected from a lower alkyl, a lower alkoxy and an amino having optionally a lower alkanoyl substituent, a phthalimido-substituted lower alkanoyl, a lower alkoxy-carbonyl-lower alkanoyl, a carboxy-lower alkanoyl, a naphthyloxy-lower alkanoyl, a halogen-

substituted lower alkanoyl, a group of the formula:



phenyl-lower alkoxy-carbonyl, a carbamoyl-lower alkyl, an amino-lower alkanoyl having optionally a lower alkyl substituent, or a lower alkanoyl), an anilinocarbonyl which has optionally a lower alkyl substituent on the phenyl ring, phenoxy-carbonyl, a phenylsulfonyl which has optionally a substituent selected from a halogen atom and a lower alkyl on the phenyl ring, quinolylsulfonyl, or a group of the



is an integer of 0 or 1, and R^9 and R^{10} are the same or different and are each hydrogen atom, a lower alkyl having optionally a hydroxy substituent, a cycloalkyl, a phenyl-lower alkyl, a lower alkanoyl, a lower alkenyl, a phenoxy-lower alkyl, a phenyl which has optionally 1 to 3 substituents selected from an amino-lower alkyl having optionally a lower alkanoyl substituent, a lower alkyl, a lower alkoxy and a halogen atom, a phthalimido-substituted lower alkyl, an amino-lower alkyl having optionally a lower alkanoyl substituent, a lower alkynyl, or an amino-lower alkyl having optionally a lower alkyl substituent, or R^9 and R^{10} may bind together with nitrogen atom to which they bond to form a 5- or 6-membered saturated heterocyclic group with or without being intervened with nitrogen or oxygen atom wherein the heterocyclic group has optionally a substituent selected from a lower alkyl, a lower alkoxy-carbonyl and

piperidiny), and a salt thereof.

24. The compound according to claim 14, wherein R^4 is a lower alkyl, and a salt thereof.

25. The compound according to claim 16, wherein R^4 is hydrogen atom, and R^5 is a group of the formula:

$-\text{CO}-\text{C}_6\text{H}_4(\text{R}^{16})_m$ (wherein R^{16} and m are as defined in claim 1), and a salt thereof.

26. The compound according to claim 16, wherein R^4 is hydrogen atom and R^5 is a phenyl-lower alkoxy-carbonyl, a lower alkanoyl, a phenyl-lower alkanoyl, a cycloalkyl-lower alkanoyl, a cycloalkylcarbonyl, tricyclo[3.3.1.1]decanyl-carbonyl, naphthylcarbonyl, pyridylcarbonyl, furoyl, thenoyl, a phenoxy-lower alkanoyl which phenyl ring has optionally 1 to 3 substituents selected from a lower alkyl, a lower alkoxy and an amino having optionally a lower alkanoyl substituent, a phthalimido-substituted lower alkanoyl, a lower alkoxy-carbonyl-lower alkanoyl, a carboxy-lower alkanoyl, a naphthyloxy-lower alkanoyl, a halogen-substituted lower alkanoyl, a group of the formula:

$-\text{CO}-\text{C}_6\text{H}_4\text{N}-\text{R}^8$ (wherein R^8 is hydrogen atom, a lower alkyl, a phenyl-lower alkoxy-carbonyl, a carbamoyl-lower alkyl, an amino-lower alkanoyl having optionally a lower alkyl substituent, or a lower alkanoyl), an anilinocarbonyl which has optionally a lower alkyl substituent on the phenyl ring, phenoxy-carbonyl, a phenylsulfonyl which has optionally a substituent selected from a halogen atom and a lower alkyl

on the phenyl ring, quinolylsulfonyl, or a group of the

formula: $-\text{CO}-\text{B}-(\text{CO})_n-\text{N} \begin{matrix} \text{R}^9 \\ \text{R}^{10} \end{matrix}$ (wherein B is a lower alkylene, n

is an integer of 0 or 1, and R^9 and R^{10} are the same or different and are each hydrogen atom, a lower alkyl having optionally a hydroxy substituent, a cycloalkyl, a phenyl-lower alkyl, a lower alkanoyl, a lower alkenyl, a phenoxy-lower alkyl, a phenyl which has optionally 1 to 3 substituents selected from an amino-lower alkyl having optionally a lower alkanoyl substituent, a lower alkyl, a lower alkoxy and a halogen atom, a phthalimido-substituted lower alkyl, an amino-lower alkyl having optionally a lower alkanoyl substituent, a lower alkynyl, or an amino-lower alkyl having optionally a lower alkyl substituent, or R^9 and R^{10} may bind together with nitrogen atom to which they bond to form a 5- or 6-membered saturated heterocyclic group with or without being intervened with nitrogen or oxygen atom wherein the heterocyclic group has optionally a substituent selected from a lower alkyl, a lower alkoxy-carbonyl and piperidinyl), and a salt thereof.

27. The compound according to claim 16, wherein R^4 is a lower alkyl, and a salt thereof.

28. The compound according to claim 7, wherein R^4 is hydrogen atom, and R^5 is a group of the formula:

$-\text{CO}-\text{C}_6\text{H}_4(\text{R}^{16})_m$ (wherein R^{16} and m are as defined in claim 1), and a salt thereof.

29. The compound according to claim 7, wherein R⁴ is hydrogen atom and R⁵ is a phenyl-lower alkoxy-carbonyl, a lower alkanoyl, a phenyl-lower alkanoyl, a cycloalkyl-lower alkanoyl, a cycloalkylcarbonyl, tricyclo[3.3.1.1]decanyl-carbonyl, naphthylcarbonyl, pyridylcarbonyl, furoyl, thenoyl, a phenoxy-lower alkanoyl which phenyl ring has optionally 1 to 3 substituents selected from a lower alkyl, a lower alkoxy and an amino having optionally a lower alkanoyl substituent, a phthalimido-substituted lower alkanoyl, a lower alkoxy-carbonyl-lower alkanoyl, a carboxy-lower alkanoyl, a naphthyloxy-lower alkanoyl, a halogen-substituted lower alkanoyl, a group of the formula:

$$-\text{CO}-\text{C}_6\text{H}_4-\text{N}-\text{R}^8$$

(wherein R⁸ is hydrogen atom, a lower alkyl, a phenyl-lower alkoxy-carbonyl, a carbamoyl-lower alkyl, an amino-lower alkanoyl having optionally a lower alkyl substituent, or a lower alkanoyl), an anilinocarbonyl which has optionally a lower alkyl substituent on the phenyl ring, phenoxycarbonyl, a phenylsulfonyl which has optionally a substituent selected from a halogen atom and a lower alkyl on the phenyl ring, quinolylsulfonyl, or a group of the formula:

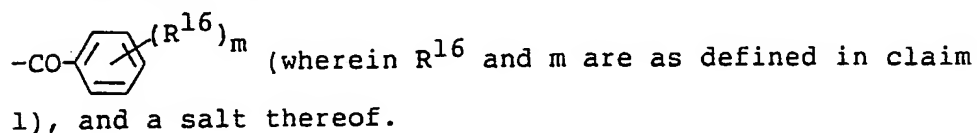
$$-\text{CO}-\text{B}-(\text{CO})_n-\text{N} \begin{array}{l} \text{R}^9 \\ \text{R}^{10} \end{array}$$

(wherein B is a lower alkylene, n is an integer of 0 or 1, and R⁹ and R¹⁰ are the same or different and are each hydrogen atom, a lower alkyl having optionally a hydroxy substituent, a cycloalkyl, a phenyl-lower alkyl, a lower alkanoyl, a lower alkenyl, a phenoxy-lower alkyl, a phenyl which has optionally 1 to 3

substituents selected from an amino-lower alkyl having optionally a lower alkanoyl substituent, a lower alkyl, a lower alkoxy and a halogen atom, a phthalimido-substituted lower alkyl, an amino-lower alkyl having optionally a lower alkanoyl substituent, a lower alkynyl, or an amino-lower alkyl having optionally a lower alkyl substituent, or R^9 and R^{10} may bind together with nitrogen atom to which they bond to form a 5- or 6-membered saturated heterocyclic group with or without being intervened with nitrogen or oxygen atom wherein the heterocyclic group has optionally a substituent selected from a lower alkyl, a lower alkoxycarbonyl and piperidinyl), and a salt thereof.

30. The compound according to claim 7, wherein R^4 is a lower alkyl, and a salt thereof.

31. The compound according to claim 18, wherein R^4 is hydrogen atom, and R^5 is a group of the formula:



32. The compound according to claim 18, wherein R^4 is hydrogen atom and R^5 is a phenyl-lower alkoxycarbonyl, a lower alkanoyl, a phenyl-lower alkanoyl, a cycloalkyl-lower alkanoyl, a cycloalkylcarbonyl, tricyclo[3.3.1.1]decanyl-carbonyl, naphthylcarbonyl, pyridylcarbonyl, furoyl, thenoyl, a phenoxy-lower alkanoyl which phenyl ring has optionally 1 to 3 substituents selected from a lower alkyl, a lower alkoxy and an amino having optionally a lower

alkanoyl substituent, a phthalimido-substituted lower alkanoyl, a lower alkoxy-carbonyl-lower alkanoyl, a carboxy-lower alkanoyl, a naphthyloxy-lower alkanoyl, a halogen-substituted lower alkanoyl, a group of the formula:

$-\text{CO}-\text{C}_6\text{H}_4-\text{N}-\text{R}^8$ (wherein R^8 is hydrogen atom, a lower alkyl, a phenyl-lower alkoxy-carbonyl, a carbamoyl-lower alkyl, an amino-lower alkanoyl having optionally a lower alkyl substituent, or a lower alkanoyl), an anilinocarbonyl which has optionally a lower alkyl substituent on the phenyl ring, phenoxy-carbonyl, a phenylsulfonyl which has optionally a substituent selected from a halogen atom and a lower alkyl on the phenyl ring, quinolylsulfonyl, or a group of the

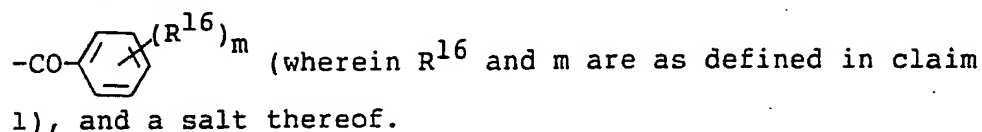
formula: $-\text{CO}-\text{B}-(\text{CO})_n-\text{N} \begin{matrix} \text{R}^9 \\ \text{R}^{10} \end{matrix}$ (wherein B is a lower alkylene, n

is an integer of 0 or 1, and R^9 and R^{10} are the same or different and are each hydrogen atom, a lower alkyl having optionally a hydroxy substituent, a cycloalkyl, a phenyl-lower alkyl, a lower alkanoyl, a lower alkenyl, a phenoxy-lower alkyl, a phenyl which has optionally 1 to 3 substituents selected from an amino-lower alkyl having optionally a lower alkanoyl substituent, a lower alkyl, a lower alkoxy and a halogen atom, a phthalimido-substituted lower alkyl, an amino-lower alkyl having optionally a lower alkanoyl substituent, a lower alkynyl, or an amino-lower alkyl having optionally a lower alkyl substituent, or R^9 and R^{10} may bind together with nitrogen atom to which they bond to form a 5- or 6-membered saturated heterocyclic group with

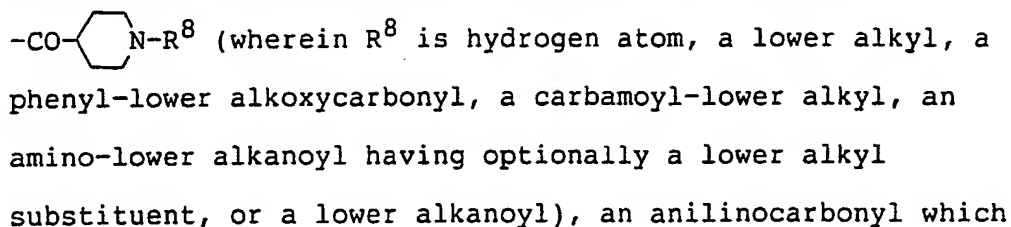
or without being intervened with nitrogen or oxygen atom wherein the heterocyclic group has optionally a substituent selected from a lower alkyl, a lower alkoxy-carbonyl and piperidinyl), and a salt thereof.

33. The compound according to claim 18, wherein R^4 is a lower alkyl, and a salt thereof.

34. The compound according to claim 20, wherein R^4 is hydrogen atom, and R^5 is a group of the formula:



35. The compound according to claim 20, wherein R^4 is hydrogen atom and R^5 is a phenyl-lower alkoxy-carbonyl, a lower alkanoyl, a phenyl-lower alkanoyl, a cycloalkyl-lower alkanoyl, a cycloalkyl-carbonyl, tricyclo[3.3.1.1]decanyl-carbonyl, naphthyl-carbonyl, pyridyl-carbonyl, furoyl, thenoyl, a phenoxy-lower alkanoyl which phenyl ring has optionally 1 to 3 substituents selected from a lower alkyl, a lower alkoxy and an amino having optionally a lower alkanoyl substituent, a phthalimido-substituted lower alkanoyl, a lower alkoxy-carbonyl-lower alkanoyl, a carboxy-lower alkanoyl, a naphthyloxy-lower alkanoyl, a halogen-substituted lower alkanoyl, a group of the formula:



has optionally a lower alkyl substituent on the phenyl ring, phenoxycarbonyl, a phenylsulfonyl which has optionally a substituent selected from a halogen atom and a lower alkyl on the phenyl ring, quinolylsulfonyl, or a group of the

formula: $-\text{CO}-\text{B}-(\text{CO})_n-\text{N} \begin{matrix} \nearrow \text{R}^9 \\ \searrow \text{R}^{10} \end{matrix}$ (wherein B is a lower alkylene, n

is an integer of 0 or 1, and R^9 and R^{10} are the same or different and are each hydrogen atom, a lower alkyl having optionally a hydroxy substituent, a cycloalkyl, a phenyl-lower alkyl, a lower alkanoyl, a lower alkenyl, a phenoxy-lower alkyl, a phenyl which has optionally 1 to 3 substituents selected from an amino-lower alkyl having optionally a lower alkanoyl substituent, a lower alkyl, a lower alkoxy and a halogen atom, a phthalimido-substituted lower alkyl, an amino-lower alkyl having optionally a lower alkanoyl substituent, a lower alkynyl, or an amino-lower alkyl having optionally a lower alkyl substituent, or R^9 and R^{10} may bind together with nitrogen atom to which they bond to form a 5- or 6-membered saturated heterocyclic group with or without being intervened with nitrogen or oxygen atom wherein the heterocyclic group has optionally a substituent selected from a lower alkyl, a lower alkoxy-carbonyl and piperidinyl), and a salt thereof.

36. The compound according to claim 20, wherein R^4 is a lower alkyl, and a salt thereof.

37. The compound according to claim 10, wherein R^4 is hydrogen atom, and R^5 is a group of the formula:

$\text{-CO-} \langle \text{C}_6\text{H}_4 \rangle (\text{R}^{16})_m$ (wherein R^{16} and m are as defined in claim 1), and a salt thereof.

38. The compound according to claim 10, wherein R^4 is hydrogen atom and R^5 is a phenyl-lower alkoxy carbonyl, a lower alkanoyl, a phenyl-lower alkanoyl, a cycloalkyl-lower alkanoyl, a cycloalkyl carbonyl, tricyclo[3.3.1.1]decanyl-carbonyl, naphthyl carbonyl, pyridyl carbonyl, furoyl, thenoyl, a phenoxy-lower alkanoyl which phenyl ring has optionally 1 to 3 substituents selected from a lower alkyl, a lower alkoxy and an amino having optionally a lower alkanoyl substituent, a phthalimido-substituted lower alkanoyl, a lower alkoxy carbonyl-lower alkanoyl, a carboxy-lower alkanoyl, a naphthyloxy-lower alkanoyl, a halogen-substituted lower alkanoyl, a group of the formula:

$\text{-CO-} \langle \text{C}_6\text{H}_4 \rangle \text{N-R}^8$ (wherein R^8 is hydrogen atom, a lower alkyl, a phenyl-lower alkoxy carbonyl, a carbamoyl-lower alkyl, an amino-lower alkanoyl having optionally a lower alkyl substituent, or a lower alkanoyl), an anilinocarbonyl which has optionally a lower alkyl substituent on the phenyl ring, phenoxycarbonyl, a phenylsulfonyl which has optionally a substituent selected from a halogen atom and a lower alkyl on the phenyl ring, quinolylsulfonyl, or a group of the

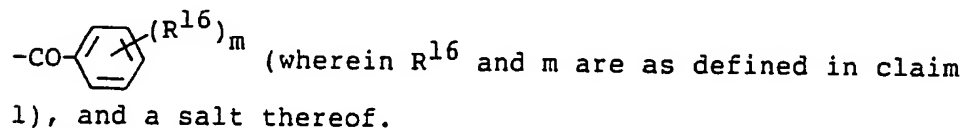
formula: $\text{-CO-B-(CO)}_n\text{-N} \begin{matrix} \text{R}^9 \\ \text{R}^{10} \end{matrix}$ (wherein B is a lower alkylene, n

is an integer of 0 or 1, and R^9 and R^{10} are the same or different and are each hydrogen atom, a lower alkyl having

optionally a hydroxy substituent, a cycloalkyl, a phenyl-lower alkyl, a lower alkanoyl, a lower alkenyl, a phenoxy-lower alkyl, a phenyl which has optionally 1 to 3 substituents selected from an amino-lower alkyl having optionally a lower alkanoyl substituent, a lower alkyl, a lower alkoxy and a halogen atom, a phthalimido-substituted lower alkyl, an amino-lower alkyl having optionally a lower alkanoyl substituent, a lower alkynyl, or an amino-lower alkyl having optionally a lower alkyl substituent, or R^9 and R^{10} may bind together with nitrogen atom to which they bond to form a 5- or 6-membered saturated heterocyclic group with or without being intervened with nitrogen or oxygen atom wherein the heterocyclic group has optionally a substituent selected from a lower alkyl, a lower alkoxy-carbonyl and piperidinyl), and a salt thereof.

39. The compound according to claim 10, wherein R^4 is a lower alkyl, and a salt thereof.

40. The compound according to claim 11, wherein R^4 is hydrogen atom, and R^5 is a group of the formula:



41. The compound according to claim 11, wherein R^4 is hydrogen atom and R^5 is a phenyl-lower alkoxy-carbonyl, a lower alkanoyl, a phenyl-lower alkanoyl, a cycloalkyl-lower alkanoyl, a cycloalkyl-carbonyl, tricyclo[3.3.1.1]decanyl-carbonyl, naphthyl-carbonyl, pyridyl-carbonyl, furoyl,

thenoyl, a phenoxy-lower alkanoyl which phenyl ring has optionally 1 to 3 substituents selected from a lower alkyl, a lower alkoxy and an amino having optionally a lower alkanoyl substituent, a phthalimido-substituted lower alkanoyl, a lower alkoxy-carbonyl-lower alkanoyl, a carboxy-lower alkanoyl, a naphthyloxy-lower alkanoyl, a halogen-substituted lower alkanoyl, a group of the formula:

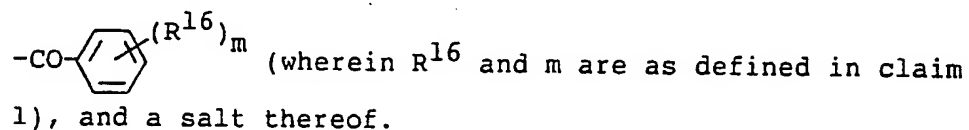
$-\text{CO}-\text{C}_6\text{H}_4-\text{N}-\text{R}^8$ (wherein R^8 is hydrogen atom, a lower alkyl, a phenyl-lower alkoxy-carbonyl, a carbamoyl-lower alkyl, an amino-lower alkanoyl having optionally a lower alkyl substituent, or a lower alkanoyl), an anilinocarbonyl which has optionally a lower alkyl substituent on the phenyl ring, phenoxycarbonyl, a phenylsulfonyl which has optionally a substituent selected from a halogen atom and a lower alkyl on the phenyl ring, quinolylsulfonyl, or a group of the formula: $-\text{CO}-\text{B}-(\text{CO})_n-\text{N} \begin{matrix} \text{R}^9 \\ \text{R}^{10} \end{matrix}$ (wherein B is a lower alkylene, n

is an integer of 0 or 1, and R^9 and R^{10} are the same or different and are each hydrogen atom, a lower alkyl having optionally a hydroxy substituent, a cycloalkyl, a phenyl-lower alkyl, a lower alkanoyl, a lower alkenyl, a phenoxy-lower alkyl, a phenyl which has optionally 1 to 3 substituents selected from an amino-lower alkyl having optionally a lower alkanoyl substituent, a lower alkyl, a lower alkoxy and a halogen atom, a phthalimido-substituted lower alkyl, an amino-lower alkyl having optionally a lower alkanoyl substituent, a lower alkynyl, or an amino-lower

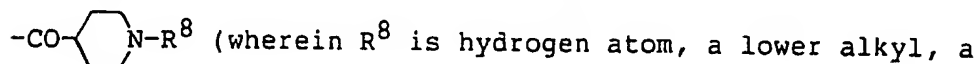
alkyl having optionally a lower alkyl substituent, or R^9 and R^{10} may bind together with nitrogen atom to which they bond to form a 5- or 6-membered saturated heterocyclic group with or without being intervened with nitrogen or oxygen atom wherein the heterocyclic group has optionally a substituent selected from a lower alkyl, a lower alkoxy-carbonyl and piperidinyl), and a salt thereof.

42. The compound according to claim 11, wherein R^4 is a lower alkyl, and a salt thereof.

43. The compound according to claim 12, wherein R^4 is hydrogen atom, and R^5 is a group of the formula:



44. The compound according to claim 12, wherein R^4 is hydrogen atom and R^5 is a phenyl-lower alkoxy-carbonyl, a lower alkanoyl, a phenyl-lower alkanoyl, a cycloalkyl-lower alkanoyl, a cycloalkyl-carbonyl, tricyclo[3.3.1.1]decanyl-carbonyl, naphthyl-carbonyl, pyridyl-carbonyl, furoyl, thenoyl, a phenoxy-lower alkanoyl which phenyl ring has optionally 1 to 3 substituents selected from a lower alkyl, a lower alkoxy and an amino having optionally a lower alkanoyl substituent, a phthalimido-substituted lower alkanoyl, a lower alkoxy-carbonyl-lower alkanoyl, a carboxy-lower alkanoyl, a naphthyloxy-lower alkanoyl, a halogen-substituted lower alkanoyl, a group of the formula:



phenyl-lower alkoxycarbonyl, a carbamoyl-lower alkyl, an amino-lower alkanoyl having optionally a lower alkyl substituent, or a lower alkanoyl), an anilinocarbonyl which has optionally a lower alkyl substituent on the phenyl ring, phenoxy-carbonyl, a phenylsulfonyl which has optionally a substituent selected from a halogen atom and a lower alkyl on the phenyl ring, quinolylsulfonyl, or a group of the

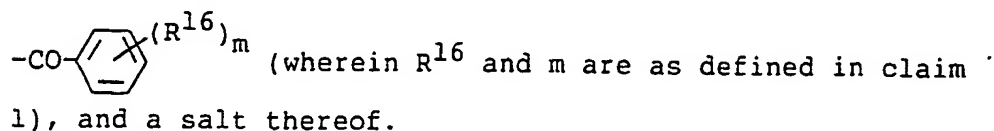
formula: $-\text{CO}-\text{B}-(\text{CO})_n-\text{N} \begin{matrix} \text{R}^9 \\ \text{R}^{10} \end{matrix}$ (wherein B is a lower alkylene, n

is an integer of 0 or 1, and R^9 and R^{10} are the same or different and are each hydrogen atom, a lower alkyl having optionally a hydroxy substituent, a cycloalkyl, a phenyl-lower alkyl, a lower alkanoyl, a lower alkenyl, a phenoxy-lower alkyl, a phenyl which has optionally 1 to 3 substituents selected from an amino-lower alkyl having optionally a lower alkanoyl substituent, a lower alkyl, a lower alkoxy and a halogen atom, a phthalimido-substituted lower alkyl, an amino-lower alkyl having optionally a lower alkanoyl substituent, a lower alkynyl, or an amino-lower alkyl having optionally a lower alkyl substituent, or R^9 and R^{10} may bind together with nitrogen atom to which they bond to form a 5- or 6-membered saturated heterocyclic group with or without being intervened with nitrogen or oxygen atom wherein the heterocyclic group has optionally a substituent selected from a lower alkyl, a lower alkoxy-carbonyl and piperidinyl), and a salt thereof.

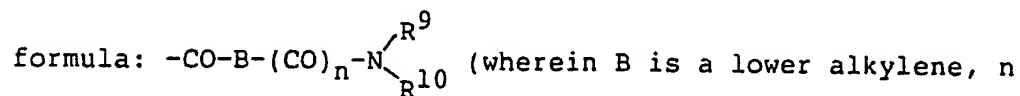
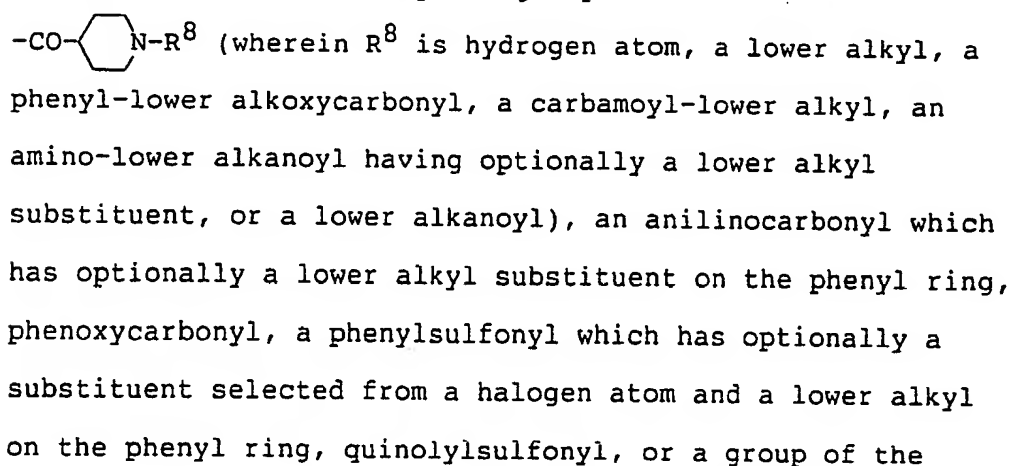
45. The compound according to claim 12, wherein R^4

is a lower alkyl, and a salt thereof.

46. The compound according to claim 13, wherein R^4 is hydrogen atom, and R^5 is a group of the formula:



47. The compound according to claim 13, wherein R^4 is hydrogen atom and R^5 is a phenyl-lower alkoxy-carbonyl, a lower alkanoyl, a phenyl-lower alkanoyl, a cycloalkyl-lower alkanoyl, a cycloalkyl-carbonyl, tricyclo[3.3.1.1]decanyl-carbonyl, naphthyl-carbonyl, pyridyl-carbonyl, furoyl, thenoyl, a phenoxy-lower alkanoyl which phenyl ring has optionally 1 to 3 substituents selected from a lower alkyl, a lower alkoxy and an amino having optionally a lower alkanoyl substituent, a phthalimido-substituted lower alkanoyl, a lower alkoxy-carbonyl-lower alkanoyl, a carboxy-lower alkanoyl, a naphthyloxy-lower alkanoyl, a halogen-substituted lower alkanoyl, a group of the formula:



is an integer of 0 or 1, and R^9 and R^{10} are the same or different and are each hydrogen atom, a lower alkyl having optionally a hydroxy substituent, a cycloalkyl, a phenyl-lower alkyl, a lower alkanoyl, a lower alkenyl, a phenoxy-lower alkyl, a phenyl which has optionally 1 to 3 substituents selected from an amino-lower alkyl having optionally a lower alkanoyl substituent, a lower alkyl, a lower alkoxy and a halogen atom, a phthalimido-substituted lower alkyl, an amino-lower alkyl having optionally a lower alkanoyl substituent, a lower alkynyl, or an amino-lower alkyl having optionally a lower alkyl substituent, or R^9 and R^{10} may bind together with nitrogen atom to which they bond to form a 5- or 6-membered saturated heterocyclic group with or without being intervened with nitrogen or oxygen atom wherein the heterocyclic group has optionally a substituent selected from a lower alkyl, a lower alkoxy-carbonyl and piperidinyl), and a salt thereof.

48. The compound according to claim 13, wherein R^4 is a lower alkyl, and a salt thereof.

49. The compound according to claim 22, wherein R^{16} is a halogen atom, or a lower alkyl having optionally a substituent selected from a halogen atom and hydroxy, and a salt thereof.

50. The compound according to claim 25, wherein R^{16} is a halogen atom, or a lower alkyl having optionally a substituent selected from a halogen atom and hydroxy, and a salt thereof.

51. The compound according to claim 31, wherein R^{16} is a halogen atom, or a lower alkyl having optionally a substituent selected from a halogen atom and hydroxy, and a salt thereof.

52. The compound according to claim 34, wherein R^{16} is a halogen atom, or a lower alkyl having optionally a substituent selected from a halogen atom and hydroxy, and a salt thereof.

53. The compound according to claim 1, wherein W is a group of the formula: $-(CH_2)_p-$ wherein p is an integer of 3 to 5, and the carbon atom of said group is optionally replaced by oxygen atom, sulfur atom, sulfinyl, sulfonyl, or

a group of the formula: $\begin{array}{c} R^{13} \\ | \\ -N- \end{array}$ (R^{13} is hydrogen atom, a cycloalkyl, or a lower alkyl), and further said $-(CH_2)_p-$ group has optionally 1 to 3 substituents selected from a lower alkyl having optionally a hydroxy substituent, a lower alkoxy carbonyl, carboxy, hydroxy, oxo, a lower alkanoyloxy having optionally a halogen substituent, an amino-lower alkyl having optionally a substituent selected from a lower alkyl and a lower alkanoyl, a lower alkanoyloxy-substituted lower alkyl, a lower alkyl sulfonyloxy-lower alkyl, an azido-lower alkyl, a group of the formula: $\begin{array}{c} \diagup \\ \diagdown \\ O \end{array}$, an amino-carbonyloxy having optionally a lower alkyl substituent, a lower alkoxy, a lower alkoxy carbonyl-substituted lower alkoxy, a carboxy-substituted lower alkoxy, an amino-carbonyl-lower alkoxy having optionally a lower alkyl

substituent, an amino-lower alkoxy having optionally a substituent selected from a lower alkyl and a lower alkanoyl, a phthalimido-substituted lower alkoxy, hydroxyimino, a lower alkanoyloxy-imino, a lower alkylidene, a halogen atom, azido, sulfoxyimino, a group of the formula:

$$\begin{array}{c} R^{81}-N-CH_2COO- \\ | \end{array}$$

(R^{81} is hydrogen atom or a lower alkyl),

hydrazino, pyrrolyl, an amino-lower alkanoyloxy having optionally a lower alkyl substituent, a group of the

formula: $-O-A-CO-N \begin{array}{l} R^{82} \\ R^{83} \end{array}$ (A is as defined above, and R^{82} and R^{83} are the same or different and are each hydrogen atom, a lower alkyl, a carbamoyl-substituted lower alkyl, a hydroxy-substituted lower alkyl, or a pyridyl-lower alkyl, or R^{82} and R^{83} may bind together with nitrogen atom to which they bond to form a 5- or 6-membered saturated heterocyclic group with or without being intervened with nitrogen, oxygen or sulfur atom wherein the heterocyclic group has optionally a substituent selected from oxo, a lower alkyl, a lower alkanoyl, and carbamoyl), and a group of the formula:



$-(CO)_n-N \begin{array}{l} R^{14} \\ R^{15} \end{array}$ (wherein n is as defined above, and R^{14} and R^{15}

are the same or different and are each hydrogen atom, a lower alkyl, a lower alkenyl, a lower alkanoyl, a cycloalkyl, an oxiranyl-substituted lower alkyl, a lower alkyl having 1 to 2 substituents selected from a lower alkoxy, hydroxy and an amino having optionally a lower alkyl substituent, a phenyl-lower alkyl, a pyridyl-lower alkyl, a

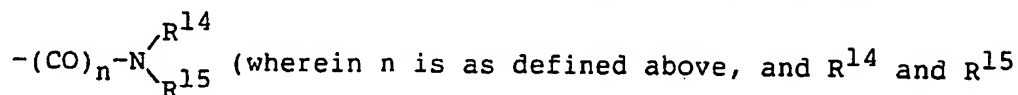
lower alkylsulfonyl, benzoyl, a lower alkoxy carbonyl, anilinocarbonyl, an aminocarbonyl having optionally a lower alkyl substituent, a cyano-substituted lower alkyl, a lower alkoxy carbonyl-substituted lower alkyl, a carbamoyl-substituted lower alkyl, a carboxy-substituted lower alkyl, a tetrahydropyranyloxy-substituted lower alkyl, a lower alkanoyloxy-substituted lower alkyl, a piperidinyl having optionally a phenyl-lower alkyl substituent on the piperidinyl ring, a halogen-substituted lower alkanoyl, an imidazolyl-substituted lower alkanoyl, an amino-lower alkanoyl having optionally a substituent selected from a lower alkyl and a lower alkoxy carbonyl, an aminocarbonyl-lower alkyl having optionally a lower alkyl substituent, or a phenyl-lower alkoxy carbonyl, or R^{14} and R^{15} may bind together with nitrogen atom to which they bond to form a 5- or 6-membered saturated heterocyclic group with or without being intervened with nitrogen or oxygen, wherein the heterocyclic group may optionally have a substituent selected from a lower alkyl, a phenyl-lower alkyl or a lower alkanoyl), and a salt thereof.

54. The compound according to claim 1, wherein W is a group of the formula: $-\text{CH}=\text{CH}-(\text{CH}_2)_q-$ wherein q is an integer of 1 to 3, and the carbon atom of said group is optionally replaced by oxygen atom, sulfur atom, sulfinyl,

sulfonyl, or a group of the formula: $\begin{array}{c} R^{13} \\ | \\ -\text{N}- \end{array}$ (R^{13} is hydrogen atom, a cycloalkyl, or a lower alkyl), and further said

-CH=CH-(CH₂)_q- group has optionally 1 to 3 substituents selected from a lower alkyl having optionally a hydroxy substituent, a lower alkoxy, a lower alkoxy-carbonyl, carboxy, hydroxy, oxo, a lower alkanoyloxy having optionally a halogen substituent, an amino-lower alkyl having optionally a substituent selected from a lower alkyl and a lower alkanoyl, a lower alkanoyloxy-substituted lower alkyl, a lower alkyl sulfonyloxy-lower alkyl, an azido-lower alkyl, a group of the formula: , an aminocarbonyloxy having optionally a lower alkyl substituent, a lower alkoxy, a lower alkoxy-carbonyl-substituted lower alkoxy, a carboxy-substituted lower alkoxy, an aminocarbonyl-lower alkoxy having optionally a lower alkyl substituent, an amino-lower alkoxy having optionally a substituent selected from a lower alkyl and a lower alkanoyl, a phthalimido-substituted lower alkoxy, hydroxyimino, a lower alkanoyloxy-imino, a lower alkylidene, a halogen atom, azido, sulfoxyimino, a group of the formula: $R^{81}-N-CH_2COO-$ (R^{81} is hydrogen atom or a lower alkyl), hydrazino, pyrrolyl, an amino-lower alkanoyloxy having optionally a lower alkyl substituent, a group of the formula: $-O-A-CO-N$  (A is as defined above, and R^{82} and R^{83} are the same or different and are each hydrogen atom, a lower alkyl, a carbamoyl-substituted lower alkyl, a hydroxy-substituted lower alkyl, or a pyridyl-lower alkyl, or R^{82} and R^{83} may bind together with nitrogen atom to which they

bond to form a 5- or 6-membered saturated heterocyclic group with or without being intervened with nitrogen, oxygen or sulfur atom wherein the heterocyclic group has optionally a substituent selected from oxo, a lower alkyl, a lower alkanoyl, and carbamoyl), and a group of the formula:

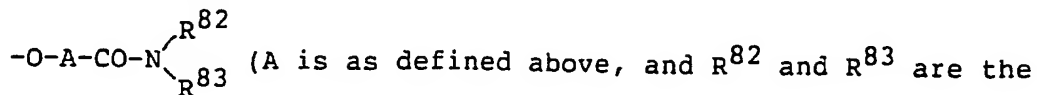


are the same or different and are each hydrogen atom, a lower alkyl, a lower alkenyl, a lower alkanoyl, a cycloalkyl, an oxiranyl-substituted lower alkyl, a lower alkyl having 1 to 2 substituents selected from a lower alkoxy, hydroxy and an amino having optionally a lower alkyl substituent, a phenyl-lower alkyl, a pyridyl-lower alkyl, a lower alkylsulfonyl, benzoyl, a lower alkoxycarbonyl, anilinocarbonyl, an aminocarbonyl having optionally a lower alkyl substituent, a cyano-substituted lower alkyl, a lower alkoxycarbonyl-substituted lower alkyl, a carbamoyl-substituted lower alkyl, a carboxy-substituted lower alkyl, a tetrahydropyranyloxy-substituted lower alkyl, a lower alkanoyloxy-substituted lower alkyl, a piperidinyl having optionally a phenyl-lower alkyl substituent on the piperidinyl ring, a halogen-substituted lower alkanoyl, an imidazolyl-substituted lower alkanoyl, an amino-lower alkanoyl having optionally a substituent selected from a lower alkyl and a lower alkoxycarbonyl, an aminocarbonyl-lower alkyl having optionally a lower alkyl substituent, or a phenyl-lower alkoxycarbonyl, or R^{14} and R^{15} may bind

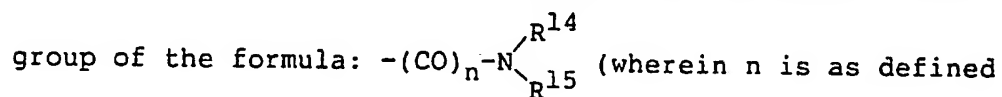
together with nitrogen atom to which they bond to form a 5- or 6-membered saturated heterocyclic group with or without being intervened with nitrogen or oxygen, wherein the heterocyclic group may optionally have a substituent selected from a lower alkyl, a phenyl-lower alkyl or a lower alkanoyl), and a salt thereof.

55. The compound according to claim 53, wherein W is a group of the formula: $-(CH_2)_p-$ (p is an integer of 3 to 5) and said $-(CH_2)_p-$ group has optionally 1 to 3 substituents selected from a lower alkyl having optionally a hydroxy substituent, a lower alkoxy-carbonyl, carboxy, hydroxy, oxo, a lower alkanoyloxy having optionally a halogen substituent, an amino-lower alkyl having optionally a substituent selected from a lower alkyl and a lower alkanoyl, a lower alkanoyloxy-substituted lower alkyl, a lower alkyl sulfonyloxy-lower alkyl, an azido-lower alkyl, a group of the formula: $\begin{array}{c} \diagup \\ O \end{array}$, an amino-carbonyloxy having optionally a lower alkyl substituent, a lower alkoxy, a lower alkoxy-carbonyl-substituted lower alkoxy, a carboxy-substituted lower alkoxy, an aminocarbonyl-lower alkoxy having optionally a lower alkyl substituent, an amino-lower alkoxy having optionally a substituent selected from a lower alkyl and a lower alkanoyl, a phthalimido-substituted lower alkoxy, hydroxyimino, a lower alkanoyloxy-imino, a lower alkylidene, a halogen atom, azido, sulfoxyimino, a group of the formula: $R^{81}-N-CH_2COO-$ (R^{81} is hydrogen atom or a lower alkyl), hydrazino, pyrrolyl, an amino-lower alkanoyloxy having

optionally a lower alkyl substituent, a group of the formula:



same or different and are each hydrogen atom, a lower alkyl, a carbamoyl-substituted lower alkyl, a hydroxy-substituted lower alkyl, or a pyridyl-lower alkyl, or R^{82} and R^{83} may bind together with nitrogen atom to which they bond to form a 5- or 6-membered saturated heterocyclic group with or without being intervened with nitrogen, oxygen or sulfur atom wherein the heterocyclic group has optionally a substituent selected from oxo, a lower alkyl, a lower alkanoyl, and carbamoyl), and a



above, and R^{14} and R^{15} are the same or different and are each hydrogen atom, a lower alkyl, a lower alkenyl, a lower alkanoyl, a cycloalkyl, an oxiranyl-substituted lower alkyl, a lower alkyl having 1 to 2 substituents selected from a lower alkoxy, hydroxy and an amino having optionally a lower alkyl substituent, a phenyl-lower alkyl, a pyridyl-lower alkyl, a lower alkylsulfonyl, benzoyl, a lower alkoxycarbonyl, anilino-carbonyl, an aminocarbonyl having optionally a lower alkyl substituent, a cyano-substituted lower alkyl, a lower alkoxy-carbonyl-substituted lower alkyl, a carbamoyl-substituted lower alkyl, a carboxy-substituted lower alkyl, a tetrahydro-pyranyloxy-substituted lower alkyl, a lower alkanoyloxy-substituted lower alkyl, a piperidinyll having optionally a phenyl-lower alkyl substituent on the piperidinyll ring, a

halogen-substituted lower alkanoyl, an imidazolyl-substituted lower alkanoyl, an amino-lower alkanoyl having optionally a substituent selected from a lower alkyl and a lower alkoxy-carbonyl, an aminocarbonyl-lower alkyl having optionally a lower alkyl substituent, or a phenyl-lower alkoxy-carbonyl, or R^{14} and R^{15} may bind together with nitrogen atom to which they bond to form a 5- or 6-membered saturated heterocyclic group with or without being intervened with nitrogen or oxygen, wherein the heterocyclic group may optionally have a substituent selected from a lower alkyl, a phenyl-lower alkyl or a lower alkanoyl), and a salt thereof.

56. The compound according to claim 53, wherein the carbon atom of the group of the formula: $-(CH_2)_p-$ is replaced by oxygen atom, sulfur atom, sulfinyl, sulfonyl, or a group of

the formula: $\begin{array}{c} R^{13} \\ | \\ -N- \end{array}$ (R^{13} is hydrogen atom, a cycloalkyl, or a lower alkyl), and a salt thereof.

57. The compound according to claim 55, wherein p in the group: $-(CH_2)_p-$ is 3 and the group has no substituent, and a salt thereof.

58. The compound according to claim 55, wherein p in the group: $-(CH_2)_p-$ is 3 and the group has a substituent of a group of the formula: $-(CO)_n-N \begin{array}{l} \nearrow R^{14} \\ \searrow R^{15} \end{array}$ (wherein R^{14} , R^{15} , and n is as defined above), and a salt thereof.

59. The compound according to claim 55, wherein p in the group: $-(CH_2)_p-$ is 4 and the group has no substituent, and

a salt thereof.

60. The compound according to claim 55, wherein p in the group: $-(CH_2)_p-$ is 4 and the group has a substituent of a group of the formula: $-(CO)_n-N$ $\begin{matrix} R^{14} \\ \diagup \\ R^{15} \end{matrix}$ (wherein R^{14} , R^{15} , and n is as defined above), and a salt thereof.

61. The compound according to claim 55, wherein p in the group: $-(CH_2)_p-$ is 5, and a salt thereof.

62. The compound according to claim 56, wherein p in the group: $-(CH_2)_p-$ is 3 and the carbon atom of this group is replaced by a group of the formula: $-N-$ $\begin{matrix} R^{13} \\ | \end{matrix}$ (wherein R^{13} is as defined above), and a salt thereof.

63. The compound according to claim 56, wherein p in the group: $-(CH_2)_p-$ is 4 and the carbon atom of this group is replaced by a group of the formula: $-N-$ $\begin{matrix} R^{13} \\ | \end{matrix}$ (wherein R^{13} is as defined above), and a salt thereof.

64. The compound according to claim 56, wherein p in the group: $-(CH_2)_p-$ is 5 and the carbon atom of this group is replaced by a group of the formula: $-N-$ $\begin{matrix} R^{13} \\ | \end{matrix}$ (wherein R^{13} is as defined above), and a salt thereof.

65. The compound according to claim 56, wherein the carbon atom of the group: $-(CH_2)_p-$ is replaced by oxygen atom, sulfur atom, sulfinyl, or sulfonyl, and a salt thereof.

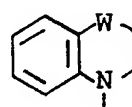
66. The compound according to claim 54, wherein q in

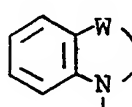
the group: $-\text{CH}=\text{CH}-(\text{CH}_2)_q-$ is 1, and a salt thereof.

67. The compound according to claim 54, wherein q in the group: $-\text{CH}=\text{CH}-(\text{CH}_2)_q-$ is 2, and a salt thereof.

68. The compound according to claim 54, wherein q in the group: $-\text{CH}=\text{CH}-(\text{CH}_2)_q-$ is 3, and a salt thereof.

69. The compound according to claim 58 or 60, wherein n in the substituent: $-(\text{CO})_n-\text{N} \begin{smallmatrix} \nearrow \text{R}^{14} \\ \searrow \text{R}^{15} \end{smallmatrix}$ is 0, and R^{14} and R^{15} are the same or different and are each hydrogen atom, a lower alkyl, or a cycloalkyl, and a salt thereof.

70. The compound according to claim 63 wherein the heterocyclic group of the formula:  is 2,3,4,5-tetrahydro-1H-1,4-benzodiazepine, and a salt thereof.

71. The compound according to claim 67 wherein the heterocyclic group of the formula:  is 2,3-dihydro-1H-benzazepine, and a salt thereof.

72. 1-[4-(2-Methylbenzoylamino)benzoyl]-4-methyl-2,3,4,5-tetrahydro-1H-1,4-benzodiazepine.

73. 5-Dimethylamino-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine.

74. 5-Dimethylamino-1-[2-chloro-4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine.

75. 5-Methylamino-1-[2-chloro-4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine.

76. 5-Cyclopropylamino-1-[2-chloro-4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine.

77. 5-Cyclopropylamino-1-[2-chloro-4-(2-chlorobenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine.

78. 5-Dimethylamino-1-[2-methyl-4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine.

79. 4-Dimethylamino-1-[3-methoxy-4-(2-methylbenzoylamino)benzoyl]-1,2,3,4-tetrahydroquinoline.

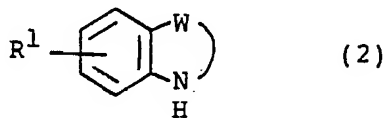
80. 7-Chloro-5-methylamino-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine.

81. 7-Chloro-5-methylamino-1-[4-(2-chlorobenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine.

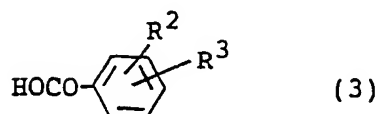
82. A vasopressin antagonistic composition which comprises as an active ingredient a compound of the formula (1) as set forth in claim 1, or a pharmaceutically acceptable salt thereof in admixture with a pharmaceutically acceptable carrier or diluent.

83. A process for preparing a compound of the formula (1) as set forth in claim 1, which comprises the following steps of

(a) reacting a compound of the formula (2):

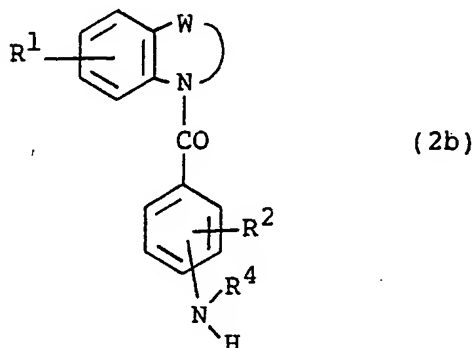


wherein R^1 and W are the same as defined in claim 1, with a compound of the formula (3):



wherein R^2 and R^3 are the same as defined in claim 1, to give a compound of the formula (1),

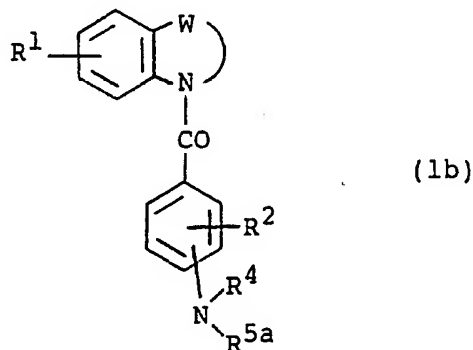
(b) reacting a compound of the formula (2b):



wherein R^1 , R^2 , R^4 and W are as defined in claim 1, with a compound of the formula (4):

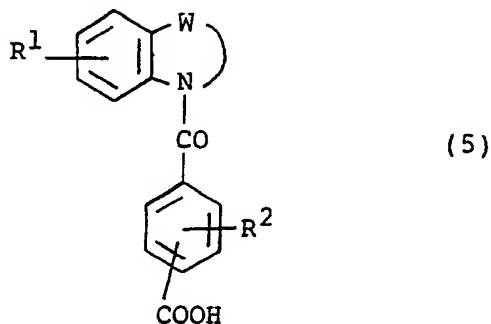


wherein R^{5a} is the same as R^5 as defined in claim 1 except excluding an anilinocarbonyl having optionally a lower alkyl substituent on the phenyl ring, a phenylsulfonyl having optionally a substituent selected from a halogen atom and a lower alkyl on the phenyl ring and quinolylsulfonyl to give a compound of the formula (1b):

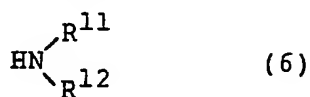


wherein R^1 , R^2 , R^4 and W are as defined in claim 1, and R^{5a} is as defined above,

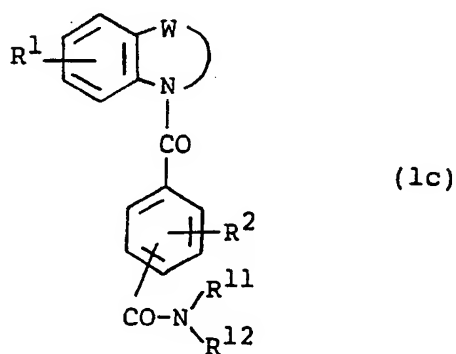
(c) reacting a compound of the formula (5):



wherein R^1 , R^2 , and W are as defined in claim 1, with a compound of the formula (6):

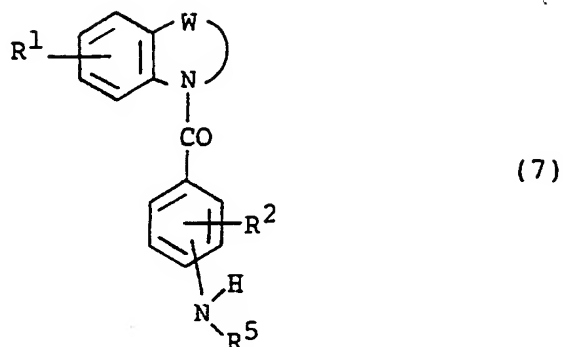


wherein R^{11} and R^{12} are as defined in claim 1, to give a of the formula (1c):

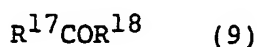


wherein R^1 , R^2 , R^{11} , R^{12} and W are as defined in claim 1,

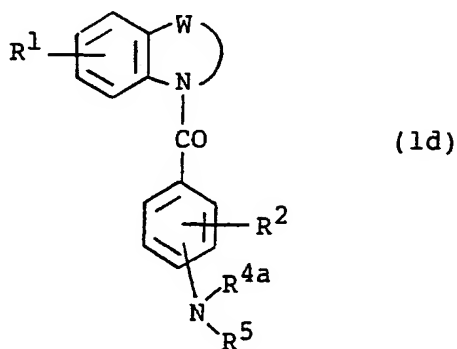
(d) reacting a compound of the formula (7):



wherein R^1 , R^2 , R^5 and W are as defined in claim 1, with a compound of the formula (8) or (9):

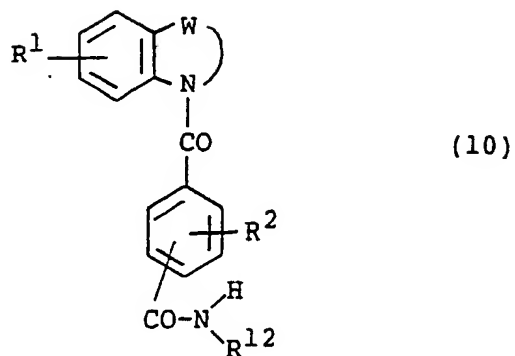


wherein R^{4a} is a lower alkyl, X is a halogen atom, and R^{17} and R^{18} are each hydrogen atom or a lower alkyl, to give a compound of the formula (1d):

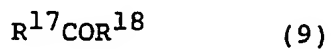


wherein R^1 , R^2 , R^5 and W are as defined in claim 1, and R^{4a} is as defined above,

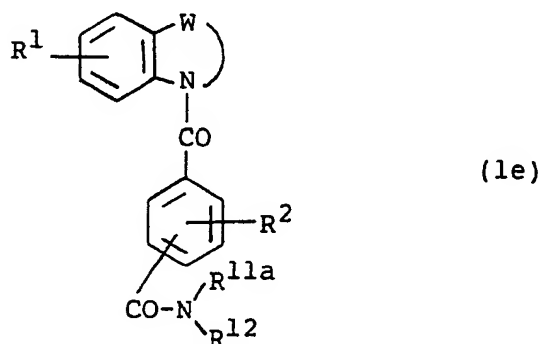
(e) reacting a compound of the formula (10):



wherein R^1 , R^2 , R^{12} , and W are as defined in claim 1, with a compound of the formula (11) or (9):

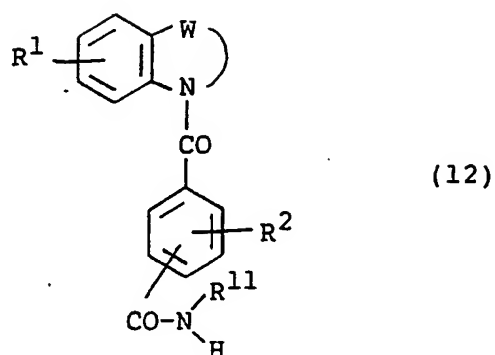


wherein R^{11a} is a lower alkyl, and X , R^{17} and R^{18} are as defined above, to give a compound of the formula (1e):



wherein R^1 , R^2 , R^{12} and W are as defined in claim 1, and R^{11a} is as defined above,

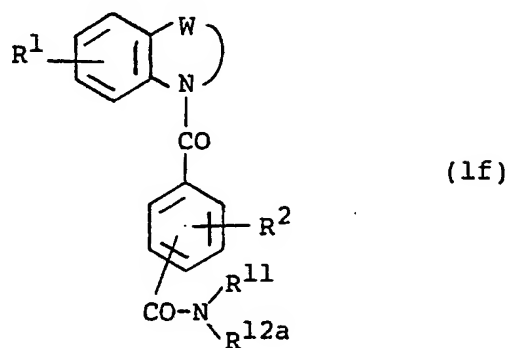
(f) Reacting a compound of the formula (12):



wherein R^1 , R^2 , R^{11} , and W are as defined in claim 1, with a compound of the formula (13):

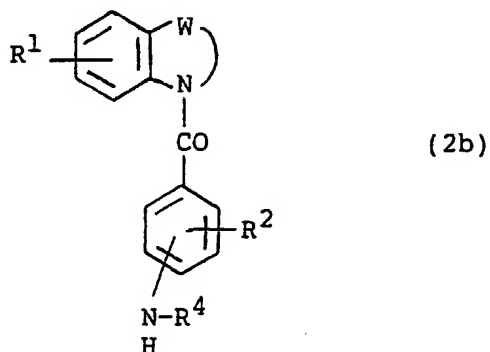


wherein R^{12a} is a cycloalkyl and X is as defined above, to give a compound of the formula (1f):



wherein R^1 , R^2 , R^{11} , and W are as defined above, and R^{12a} is as defined above,

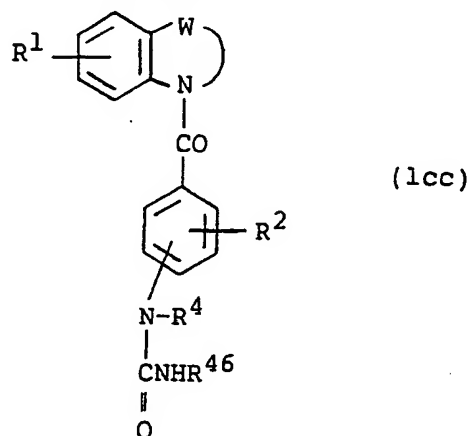
(g) reacting a compound of the formula (2b):



wherein R^1 , R^2 , R^4 , and W are as defined in claim 1, with a compound of the formula (38):

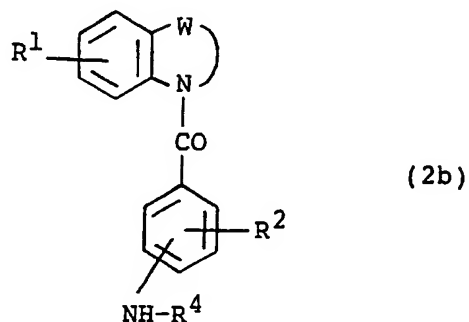


wherein R^{46} is a phenyl having optionally a lower alkyl substituent, to give a compound of the formula (1cc):

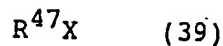


wherein R^1 , R^2 , R^4 , and W are as defined in claim 1, and R^{46} is as defined above,

(h) reacting a compound of the formula (2b):

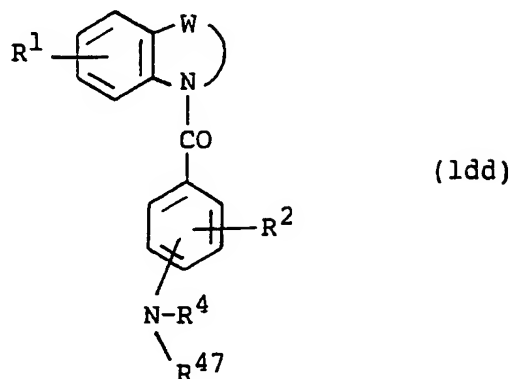


wherein R^1 , R^2 , R^4 , and W are as defined in claim 1, with a compound of the formula (39):



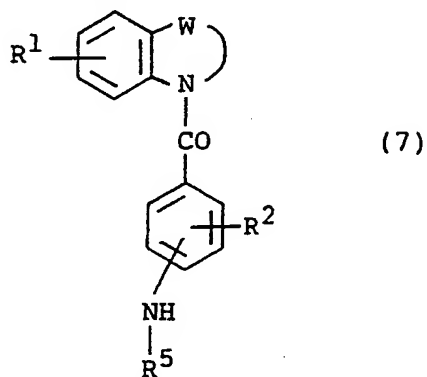
wherein R^{47} is a phenylsulfonyl which has optionally a substituent selected from a halogen atom and a lower alkyl on the phenyl ring, or quinolylsulfonyl, and X is as defined

above, to give a compound of the formula (1dd):



wherein R^1 , R^2 , R^4 , and W are as defined in claim 1, and R^{47} is as defined above, or

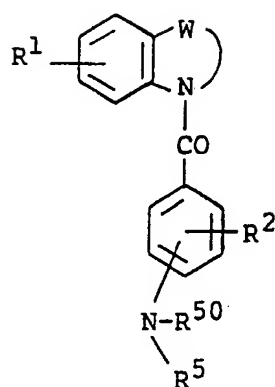
(i) reacting a compound of the formula (7):



wherein R^1 , R^2 , R^5 , and W are as defined in claim 1, with a compound of the formula (42):



wherein R^{50} is a benzoyl having optionally a halogen substituent on the phenyl ring, to give a compound of the formula (1hh):



(1hh)

wherein R^1 , R^2 , R^5 , and W are as defined in claim 1, and R^{50} is as defined above.

Fig. 1.

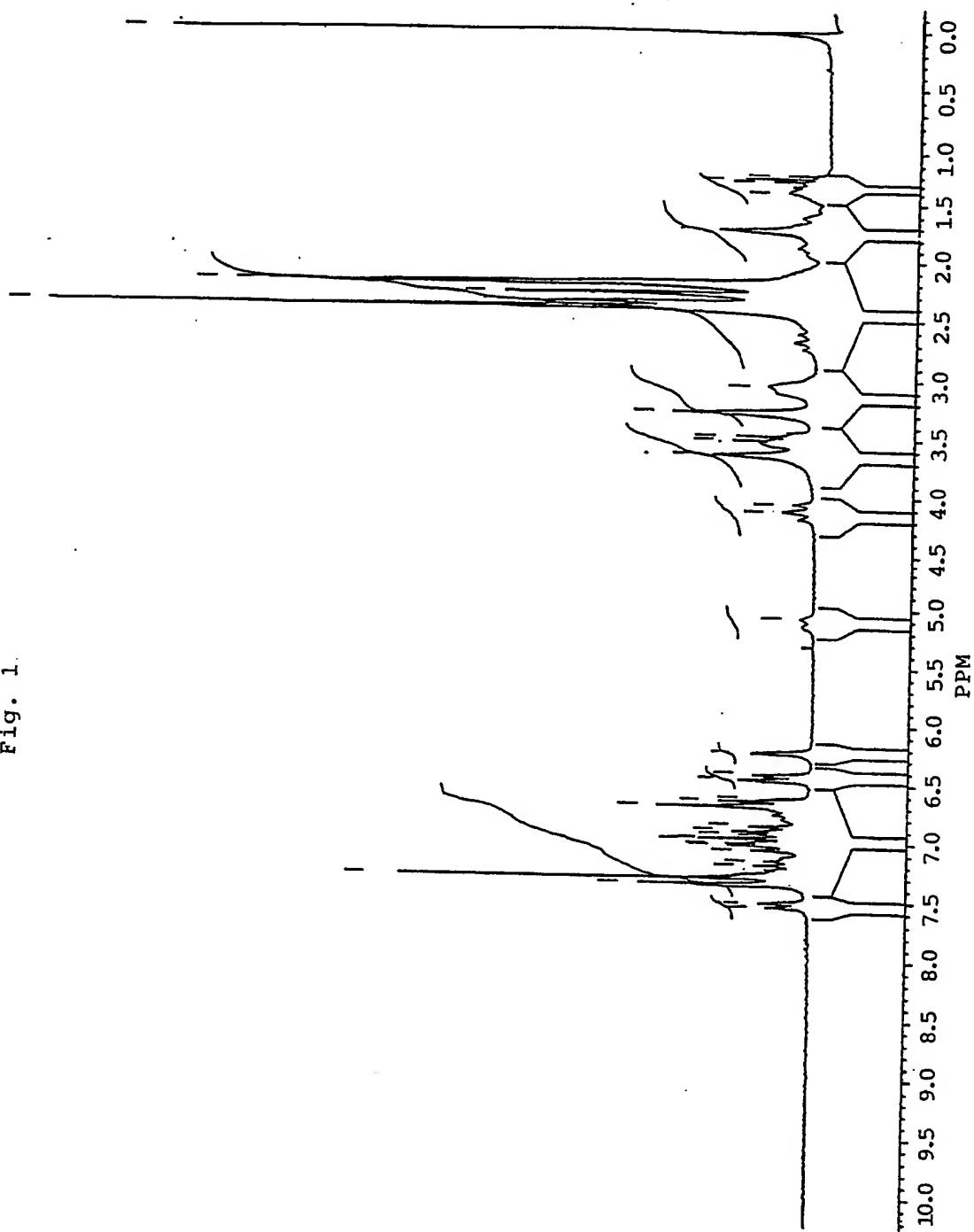


Fig. 2

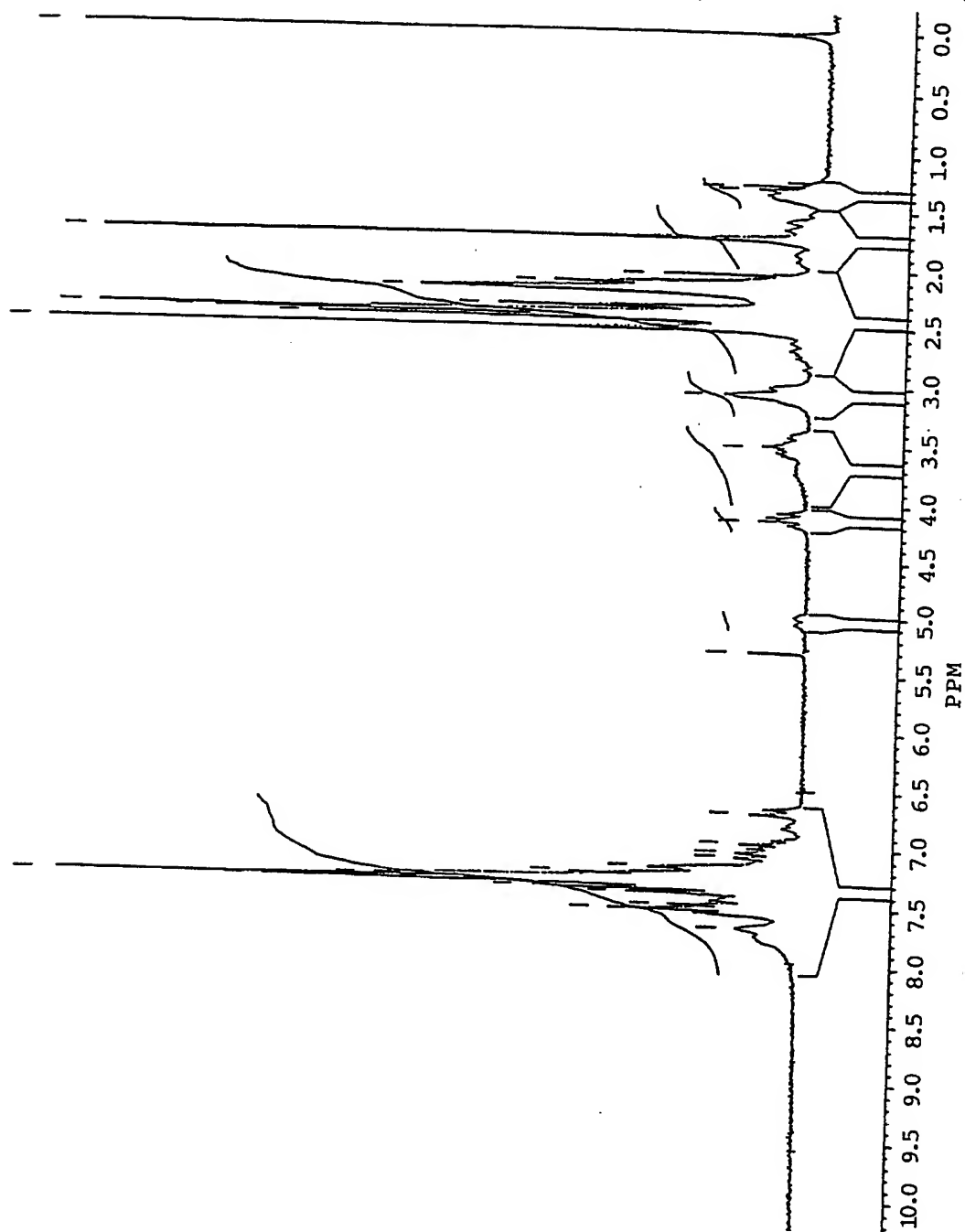
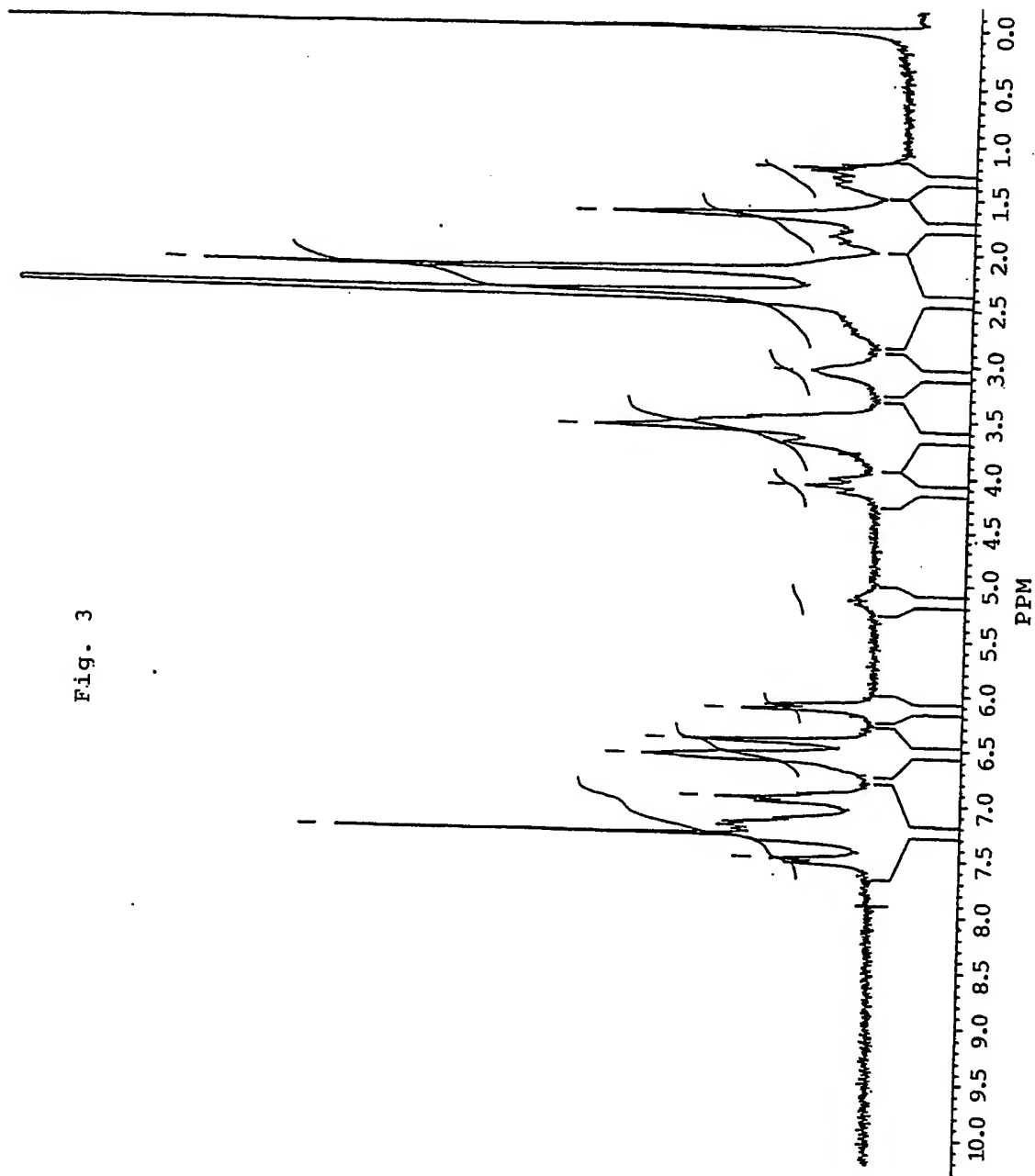
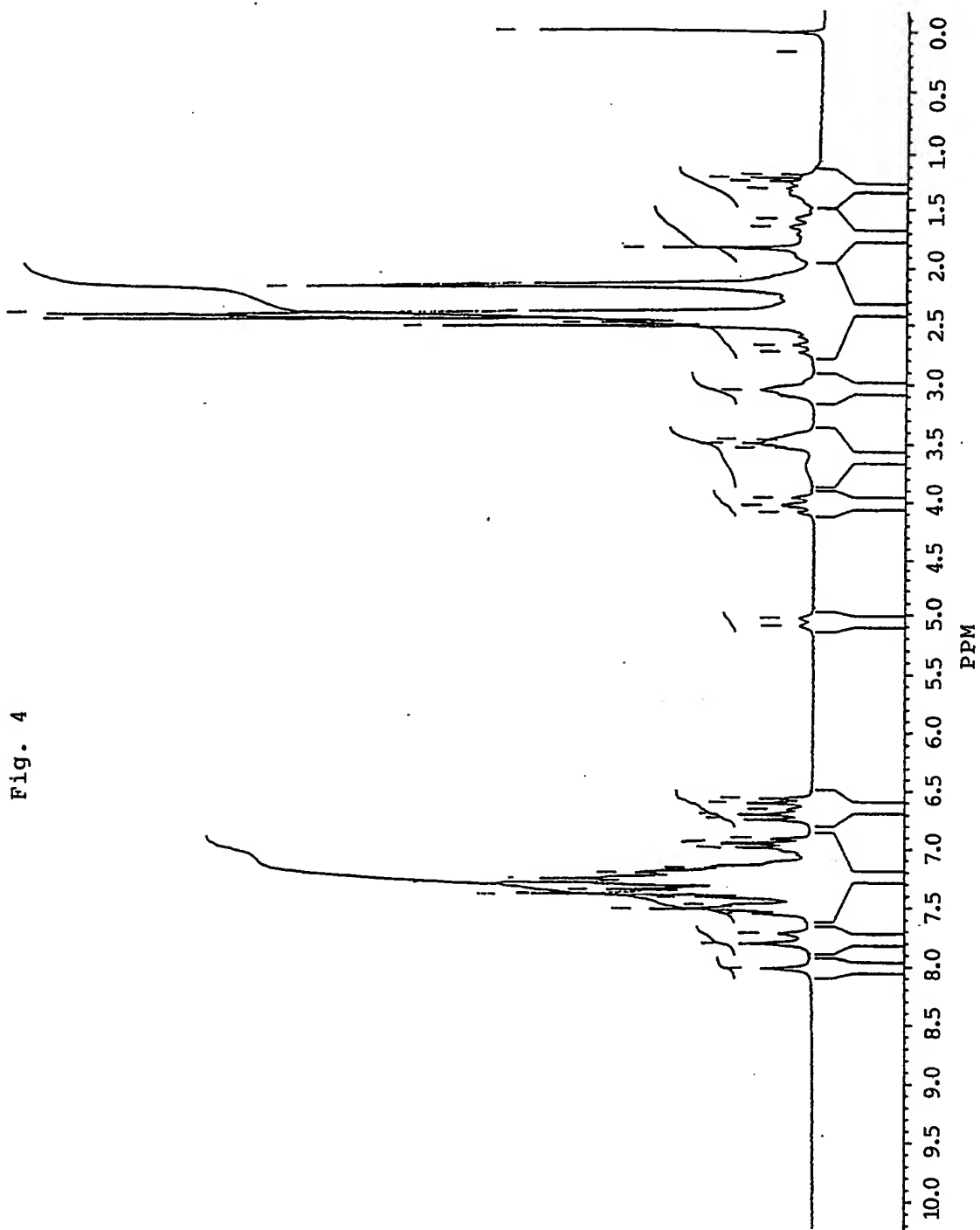



Fig. 3





INTERNATIONAL SEARCH REPORT

International Application No PCT/JP 90/01340

I. CLASSIFICATION OF SUBJECT MATTER (if several classification symbols apply, indicate all) ⁶		
According to International Patent Classification (IPC) or to both National Classification and IPC		
IPC5: A 61 K 31/00, C 07 D 215/08, 215/12, 223/16, 225/06, 241/42 243/14, 265/36, 267/14		
II. FIELDS SEARCHED		
Minimum Documentation Searched ⁷		
Classification System	Classification Symbols	
IPC5	A 61 K; C 07 D	
Documentation Searched other than Minimum Documentation to the Extent that such Documents are Included in Fields Searched ⁸		
III. DOCUMENTS CONSIDERED TO BE RELEVANT⁹		
Category *	Citation of Document, ¹¹ with indication, where appropriate, of the relevant passages ¹²	Relevant to Claim No. ¹³
A	US, A, 3458498 (CHARLES M.C. KOO ET AL.) 29 July 1969, see the whole document --	1-83
A	US, A, 4335123 (OTTO GRÄWINGER ET AL.) 15 June 1982, see the whole document --	1,82
A	Chemical Abstracts, volume 102, no. 25, 24 June 1985, (Columbus, Ohio, US), see page 582, abstract 220763r, & JP, A, 6004170 (4-Quinolone derivatives) 10 January 1985 --	1,82
<div style="display: flex; justify-content: space-between;"> <div style="width: 45%;"> <p>* Special categories of cited documents: ¹⁰</p> <p>"A" document defining the general state of the art which is not considered to be of particular relevance</p> <p>"E" earlier document but published on or after the international filing date</p> <p>"L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)</p> <p>"O" document referring to an oral disclosure, use, exhibition or other means</p> <p>"P" document published prior to the international filing date but later than the priority date claimed</p> </div> <div style="width: 45%;"> <p>"T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention</p> <p>"X" document of particular relevance, the claimed invention cannot be considered novel or cannot be considered to involve an inventive step</p> <p>"Y" document of particular relevance, the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.</p> <p>"&" document member of the same patent family</p> </div> </div>		
IV. CERTIFICATION		
Date of the Actual Completion of the International Search	Date of Mailing of this International Search Report	
3rd January 1991	21 JAN 1991	
International Searching Authority	Signature of Authorizing Officer	
EUROPEAN PATENT OFFICE	 MISS T. TAZELAAR	

III. DOCUMENTS CONSIDERED TO BE RELEVANT (CONTINUED FROM THE SECOND SHEET)		
Category *	Citation of Document, with indication, where appropriate, of the relevant passages	Relevant to Claim No
A	DE, A, 2314392 (A.H. ROBINS CO. INC.) 27 September 1973, see particularly pages 12, 14 and the claims --	1
A	DE, A, 1906593 (UCB, UNION CHIMIQUE-CHEMISCHE BEDRIJVEN S.A.) 18 September 1969, see the claims --	1
A	DE, A, 1595863 (KNOLL AG) 12 February 1970, see particularly pages 7-8 --	1
A	FR, A, 1405271 (LEPETIT S.P.A.) 4 July 1969, see the whole document -- -----	1

FURTHER INFORMATION C NTINUED FROM THE SECOND SHEET

V. ☒ OBSERVATIONS WHERE CERTAIN CLAIMS WERE FOUND incompletely searchable

This international search report has not been established in respect of certain claims under Article 17(2) (a) for the following reasons:

1. ☐ Claim numbers because they relate to subject matter not required to be searched by this Authority, namely:

2. ☒ Claim numbers 1-71 because they relate to parts of the international application that do not comply with the prescribed requirements to such an extent that no meaningful international search can be carried out, specifically:

The scope of the claims 1-71 is so broadly formulated that many compounds of a very wide range of structures are included. The search has thus been limited to the compounds considered to be most relevant.

3. ☐ Claim numbers because they are dependent claims and are not drafted in accordance with the second and third sentences of PCT Rule 6.4(a).

VI. ☐ OBSERVATIONS WHERE UNITY OF INVENTION IS LACKING :

This International Searching Authority found multiple inventions in this international application as follows:

1. ☐ As all required additional search fees were timely paid by the applicant, this international search report covers all searchable claims of the international application.

2. ☐ As only some of the required additional search fees were timely paid by the applicant, this international search report covers only those claims of the international application for which fees were paid, specifically claims:

3. ☐ No required additional search fees were timely paid by the applicant. Consequently, this international search report is restricted to the invention first mentioned in the claims; it is covered by claim numbers:

4. ☐ As all searchable claims could be searched without effort justifying an additional fee, the International Searching Authority did not invite payment of any additional fee.

Remark on Protest

☐ The additional search fees were accompanied by applicant's protest.

☐ No protest accompanied the payment of additional search fees.

**ANNEX TO THE INTERNATIONAL SEARCH REPORT
ON INTERNATIONAL PATENT APPLICATION NO. PCT/JP 90/01340**

SA 41012

This annex lists the patent family members relating to the patent documents cited in the above-mentioned international search report.
The members are as contained in the European Patent Office EDP file on 28/11/90
The European Patent office is in no way liable for these particulars which are merely given for the purpose of information.

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
US-A- 3458498	29/07/69	US-A- 3516987	23/06/70
		US-A- 3542760	24/11/70
US-A- 4335123	15/06/82	AT-T- 3422	15/06/83
		AU-B- 533484	24/11/83
		AU-D- 6179380	05/03/81
		CA-A- 1154015	20/09/83
		DE-A- 2934609	12/03/81
		EP-A-B- 0025864	01/04/81
		JP-A- 56034668	06/04/81
DE-A- 2314392	27/09/73	AU-D- 5280373	05/09/74
		FR-A- 2182886	14/12/73
		JP-A- 49011893	01/02/74
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		CH-A- 501658	15/01/71
		CH-A- 501659	15/01/71
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		CH-A- 433313	00/00/00
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		DE-A- 1470009	16/01/69
		FR-E- 94101	04/07/69
		GB-A- 1090611	00/00/00
		US-A- 3346565	00/00/00

For more details about this annex : see Official Journal of the European patent Office, No. 12/82